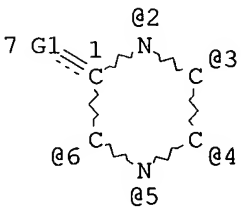
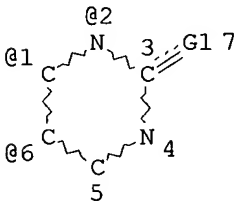
```
=> d que
L3      882418 SEA FILE=REGISTRY ABB=ON  PLU=ON  NCNC3/ES OR NC2NC2/ES AND (O
               OR S)/ELS
L8             STR
```

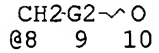


(VI) Part I

```
VAR G1=O/S
REP G2=(0-3) CH2
VPA 8-2/3/4/5/6 U
NODE ATTRIBUTES:
CONNECT IS E1  RC AT  10
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   1
NUMBER OF NODES IS  10

STEREO ATTRIBUTES: NONE
L10         380 SEA FILE=REGISTRY SUB=L3 SSS FUL L8
L16      493506 SEA FILE=REGISTRY ABB=ON  PLU=ON  NCNC3/ES AND (O OR S)/ELS
L19             STR
```

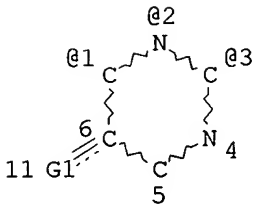


(VI) Part 2




```
VAR G1=O/S
REP G2=(0-3) CH2
VPA 8-2/1/6 U
NODE ATTRIBUTES:
CONNECT IS E1  RC AT  10
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   1
NUMBER OF NODES IS  10

STEREO ATTRIBUTES: NONE
```

L21 1208 SEA FILE=REGISTRY SUB=L16 SSS FUL L19
L22 STR



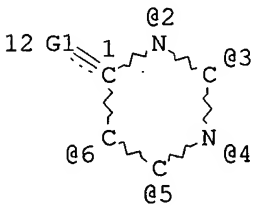
(VI) Part 3

CH2-G2~O
@8 9 10

VAR G1=O/S
REP G2=(0-3) CH2
VPA 8-1/2/3 U
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 10
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1
NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE
L24 4 SEA FILE=REGISTRY SUB=L16 SSS FUL L22
L25 3 SEA FILE=REGISTRY ABB=ON PLU=ON L24/COM
L26 STR



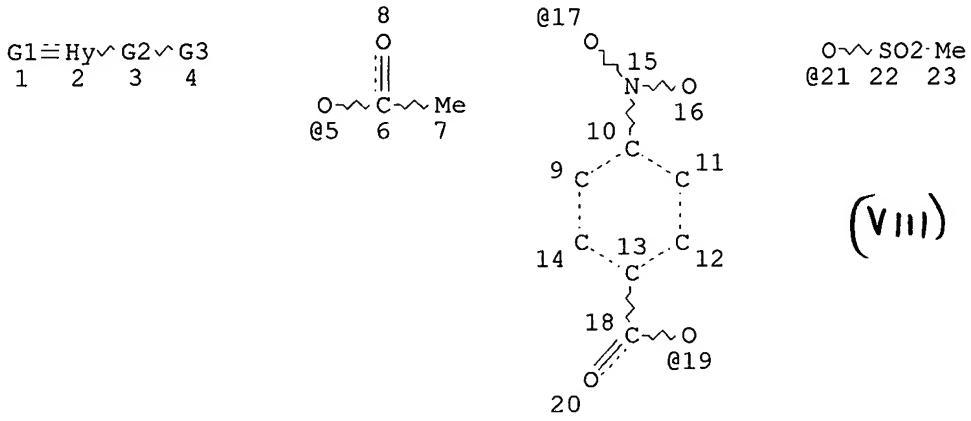
(VI) Part 4

CH2-G2~O
@8 9 10

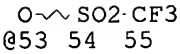
VAR G1=O/S
REP G2=(0-3) CH2
VPA 8-2/3/4/5/6 U
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 10
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1
NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE
L28 1295 SEA FILE=REGISTRY SUB=L16 SSS FUL L26
L29 1954 SEA FILE=REGISTRY ABB=ON PLU=ON L10 OR L21 OR L25 OR L28
L30 STR

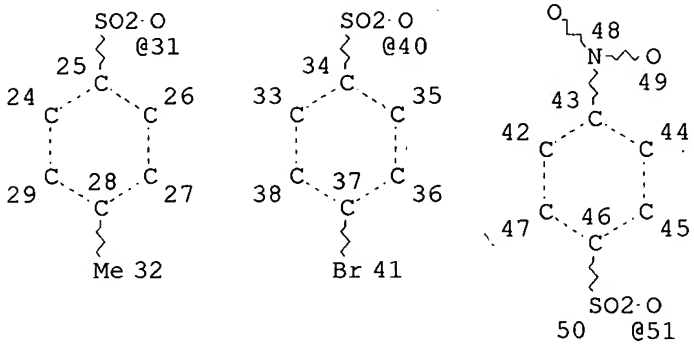


(VIII)



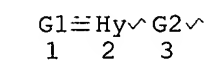
30 39 @52

Page 1-A

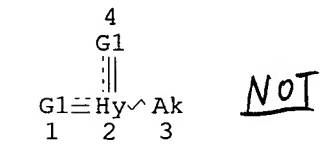


Page 2-A
VAR G1=O/S
REP G2=(1-4) CH2
VAR G3=5/17/19/21/31/40/52/51/53
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 16
CONNECT IS E1 RC AT 49

```
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY  UNS  AT   2
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E4 C  E2 N  AT   2

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  55

STEREO ATTRIBUTES: NONE
L33         171 SEA FILE=REGISTRY SUB=L3 SSS FUL L30
L39             STR
```




```
VAR G1=O/S
REP G2=(1-4) CH2
VAR G3=I/BR/CL
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY  UNS  AT   2
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E4 C  E2 N  AT   2

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   4

STEREO ATTRIBUTES: NONE
L41          868 SEA FILE=REGISTRY SUB=L3 SSS FUL L39
L43         2987 SEA FILE=REGISTRY ABB=ON  PLU=ON  L29 OR L41 OR L33
L45              STR
```



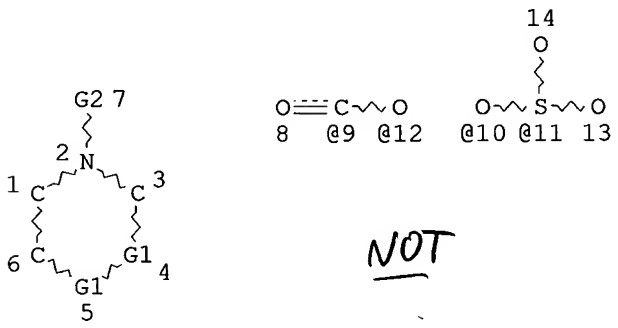

```
VAR G1=O/S
NODE ATTRIBUTES:
CONNECT IS E2  RC AT   3
DEFAULT MLEVEL IS ATOM
GGCAT   IS LIN  LOC  SAT  AT   3
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E4 C  E2 N  AT   2

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   4

STEREO ATTRIBUTES: NONE
L46         1826 SEA FILE=REGISTRY SUB=L43 SSS FUL L45
L47         1161 SEA FILE=REGISTRY ABB=ON  PLU=ON  L43 NOT L46
L48              STR
```

G1≡Hy~Ak
1 2 3

VAR G1=O/S
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 3
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY UNS AT 2
GGCAT IS LIN LOC SAT AT 3
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E4 C E2 N AT 2

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 3

STEREO ATTRIBUTES: NONE
L49 1001 SEA FILE=REGISTRY SUB=L47 SSS FUL L48
L64 STR



NOT

VAR G1=C/N
VAR G2=H/X/NO2/9/12/10/11
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE
L65 690 SEA FILE=REGISTRY SUB=L49 SSS FUL L64
L66 311 SEA FILE=REGISTRY ABB=ON PLU=ON L49 NOT L65
L67 201 SEA FILE=HCAPLUS ABB=ON PLU=ON L66
L68 147 SEA FILE=HCAPLUS ABB=ON PLU=ON L67 NOT P/DT
L69 103 SEA FILE=HCAPLUS ABB=ON PLU=ON L68 AND PY<1997
L70 54 SEA FILE=HCAPLUS ABB=ON PLU=ON L67 AND P/DT
L71 157 SEA FILE=HCAPLUS ABB=ON PLU=ON L69 OR L70
L72 136 SEA FILE=HCAPLUS ABB=ON PLU=ON L71 AND PY<1997

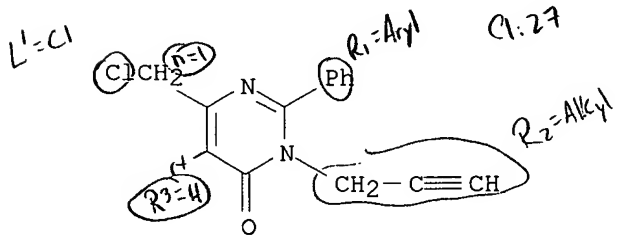
=> d bib ab hitstr 1-136

L72 ANSWER 1 OF 136 HCAPLUS COPYRIGHT 2002 ACS

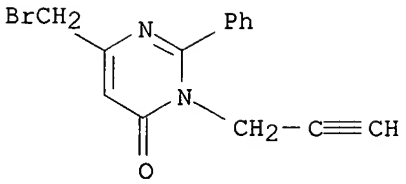
AN 1998:178148 HCAPLUS
DN 128:227320
TI Preparation of 2-arylpyrimidines as herbicides
IN Tice, Colin Michael; Musco, Vincent Angelo; Roemmele, Renee Caroline; Warner, Harlow Lester
PA Rohm and Haas Co., USA
SO U.S., 30 pp., Cont.-in-part of U.S. 5,453,414.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5726124	A	19980310	US 1994-331249	19941028	
	US 5300477	A	19940405	US 1993-62802	19930520	<--
	US 5453414	A	19950926	US 1994-185579	19940118	<--
	EP 663396	A1	19950719	EP 1994-309757	19941223	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
	AU 9481812	A1	19950727	AU 1994-81812	19941230	<--
	AU 697648	B2	19981015			
	CA 2140182	AA	19950719	CA 1995-2140182	19950113	<--
	HU 70087	A2	19950928	HU 1995-135	19950117	<--
	CN 1109879	A	19951011	CN 1995-101695	19950117	<--
	BR 9500248	A	19951017	BR 1995-248	19950118	<--
	JP 07278119	A2	19951024	JP 1995-23485	19950118	<--
PRAI	US 1992-916247	B2	19920717			
	US 1993-62802	A2	19930520			
	US 1994-185579	A2	19940118			
	US 1994-331249	A	19941028			

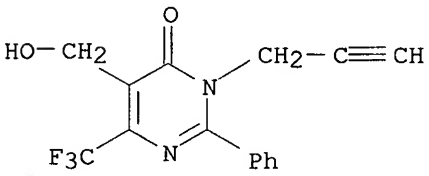
OS CASREACT 128:227320; MARPAT 128:227320
AB The 2-arylpyrimidines I [R= acyl, alkoxyalkyl, alkoxyimino, dialkoxyalkyl, formyl, hydroxyalkyl, alkoxyalkoxy, cyanoalkyl or hydroxyimino; R1 = H, halo, alkyl, haloalkyl, aryl or alkoxy; R2 = (un)substituted aryl; R3 = satd. or unsatd. alkyl; X = O or S] are prepd. as herbicides.
IT **158713-97-4P 158713-98-5P 170564-53-1P**
170564-67-7P 170564-71-3P 170564-75-7P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. as herbicide)
RN 158713-97-4 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(chloromethyl)-2-phenyl-3-(2-propynyl)- (9CI) (CA INDEX NAME)



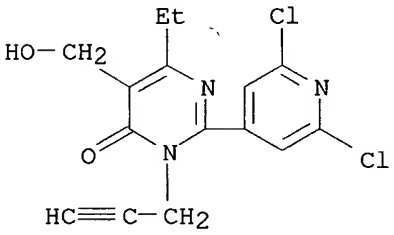
RN 158713-98-5 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(bromomethyl)-2-phenyl-3-(2-propynyl)- (9CI) (CA INDEX NAME)



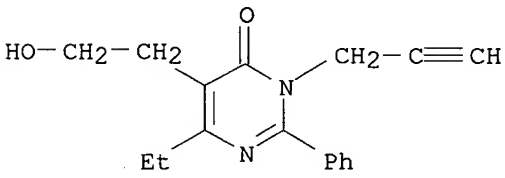
RN 170564-53-1 HCAPLUS
CN 4(3H)-Pyrimidinone, 5-(hydroxymethyl)-2-phenyl-3-(2-propynyl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



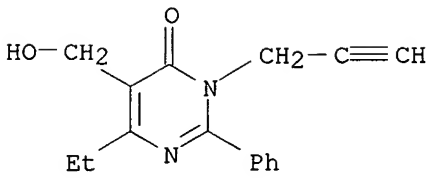
RN 170564-67-7 HCAPLUS
CN 4(3H)-Pyrimidinone, 2-(2,6-dichloro-4-pyridinyl)-6-ethyl-5-(hydroxymethyl)-3-(2-propynyl)- (9CI) (CA INDEX NAME)



RN 170564-71-3 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-ethyl-5-(2-hydroxyethyl)-2-phenyl-3-(2-propynyl)- (9CI) (CA INDEX NAME)



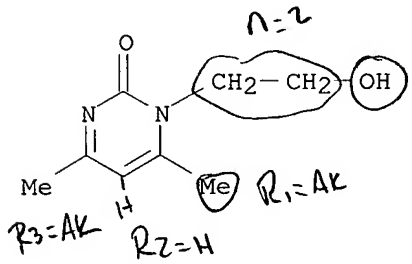
RN 170564-75-7 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-ethyl-5-(hydroxymethyl)-2-phenyl-3-(2-propynyl)- (9CI) (CA INDEX NAME)



L72 ANSWER 2 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1997:356424 HCAPLUS
DN 126:334395
TI Pyrimidinone derivative for treatment of senescence, diabetic- and radiation-induced slow-healing wound
IN Izmajlov, Gennadij Alekseevich; Izmajlov, Sergej Gennadievich; Reznik, Vladimir Savvich; Gorbunov, Sergej Mikhajlovich; Zuev, Yurij Alekseevich; Gilmutdinov, Il Garafeevich
PA Institut Organicheskoj I Fizicheskoj Khimii, Estonia
SO Russ., 163 pp.
From: Izobreteniya 1996, (20), 163.
CODEN: RUXXE7
DT **Patent**
LA Russian
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2063752	C1	19960720	RU 1993-29221	19930608 <--

AB Title only translated.
IT **14716-32-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrimidinone deriv. for treatment of senescence and slow-healing wounds)
RN 14716-32-6 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)



Claim 26

L72 ANSWER 3 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1997:44544 HCAPLUS
DN 126:59963
TI Preparation of heterocyclylacetamide compounds as inhibitors of chymases
IN Akahoshi, Fumihiko; Yoshimura, Takuya; Eda, Masahiro; Ashimori, Atsuyuki; Fukuyama, Hajime; Nakajima, Masahide; Imada, Teruaki; Okunishi, Hideki; Miyazaki, Mizuo
PA The Green Cross Corporation, Japan
SO PCT Int. Appl., 15 pp.

CODEN: PIXXD2
DT **Patent**
LA Japanese
FAN.CNT 1

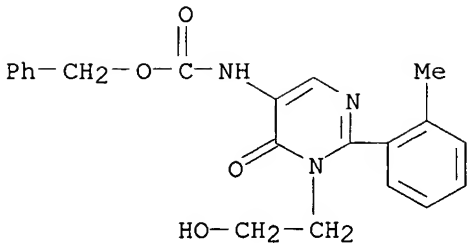
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9633974	A1	19961031	WO 1996-JP1171	19960426 <--
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2219364	AA	19961031	CA 1996-2219364	19960426 <--
EP 826671	A1	19980304	EP 1996-912273	19960426
R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
US 5948785	A	19990907	US 1997-952319	19971027
CN 1304931	A	20010725	CN 2000-121797	20000731
PRAI JP 1995-104314	A	19950427		
WO 1996-JP1171	W	19960426		

OS MARPAT 126:59963

AB Heterocyclic compd. represented by general formula (I; R = H, CHO, CONH2, COR1, CO2R1, CONHOR1, CONHR1, CONR1R11, CONHSO2R1, COSR1, COCOR2, COCO2R2, CONHCO2R2, COCONHR3R4, CSXR1, SO2WR1, SO2NR1R11, SO2E; wherein R1, R11 = alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclylalkyl; R2 - R4 = H, alkyl, arylalkyl; or NR3R4 = heterocyclyl; X = direct bond, NH, O, S; W = direct bond, NH, NHCO, NHCO2, NHCONH; E = OH, NH2; R5 - R7 = H, alkyl; or one of R5 - R7 = aryl, arylalkyl, arylalkenyl, heteroaryl, heteroarylalkyl, heteroarylalkenyl and the other = H; M = C, N; provide that when M = N, R6 is absent; Y = cycloalkyl, aryl, heteroaryl; Z = CF2 R8, CF2CONR9R10, CF2CO2R9, CO2R9, CONR9R10; wherein R8 = H, halo, alkyl, perfluoroalkyl, etc.; R19, R10 = H, alkyl, alkenyl, cycloalkyl, cycloalkylalkyl, heteroarylalkyl, aryl, etc.; or NR9R10 = heterocyclyl; n = 0,1) or pharmacol. acceptable salts thereof, which have excellent effects of inhibiting chymases on mammals including human being and can be orally or parenterally administered, are prepd. They are highly selective inhibitors of chymases including human heart chymase without inhibiting human leukocyte elastase and are safe and excellent in absorbability, and can be used in the prevention and treatment of various diseases caused by chymases such as those caused by angiotensin II. Thus, (5-benzyloxycarbonylamino-6-oxo-2-phenyl-1,6-dihydro-1-pyrimidinyl)acetic acid (prepn. given) was condensed with 3-amino-1,1,1-trifluoro-4-phenyl-2-butanol (prepn. given) using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, HOBT, and Et3N in DMF followed oxidn. with DMSO and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in the presence of Cl2CHCO2H in toluene and hydrogenolysis over 10% Pd-C in a mixt. of 1 N aq. HCl and EtOH under H atm. to give I (R = R7 = H, R5 = Y = Ph, Z = CF3, M = N; R6 is absent; n = 1). The latter compd. and I (R = HO2CCH2CH2CO, R5 = Y = Ph, R7 = H, Z = CF3, M = N; R6 is absent; n = 1) in vitro inhibited human leukocyte elastase with Ki value of 7.2 and 1.8 .mu.M, resp.

IT **184710-98-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclylacetamide compds. as inhibitors of chymases)

RN 184710-98-3 HCAPLUS
CN Carbamic acid, [1,6-dihydro-1-(2-hydroxyethyl)-2-(2-methylphenyl)-6-oxo-5-pyrimidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L72 ANSWER 4 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1996:593946 HCAPLUS
DN 125:221867
TI Preparation and formulation of antipsychotic (indolylpiperidinylalkyl)pyri midine derivatives
IN Vandenberk, Jan; Kennis, Ludo Edmond Josephine; Mertens, Josephus Carolus
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

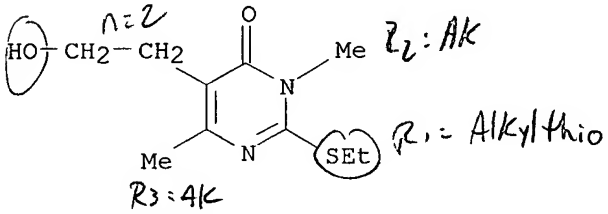
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9623784	A1	19960808	WO 1996-EP363	19960123	<--
	W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AZ, BY, KG, KZ, RU, TJ, TM					
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
	CA 2210913	AA	19960808	CA 1995-2210913	19950721	<--
	TW 421649	B	20010211	TW 1996-85100173	19960109	
	AU 9646645	A1	19960821	AU 1996-46645	19960123	<--
	AU 702931	B2	19990311			
	EP 808313	A1	19971126	EP 1996-902258	19960123	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE					
	BR 9606815	A	19971230	BR 1996-6815	19960123	
	CN 1172482	A	19980204	CN 1996-191701	19960123	
	JP 10512893	T2	19981208	JP 1996-523243	19960123	
	PL 183291	B1	20020628	PL 1996-321565	19960123	
	IL 116930	A1	20000629	IL 1996-116930	19960129	
	ZA 9600702	A	19970730	ZA 1996-702	19960130	
	US 5919788	A	19990706	US 1997-860380	19970627	
	FI 9703164	A	19970730	FI 1997-3164	19970730	
	NO 9703508	A	19970730	NO 1997-3508	19970730	
PRAI	EP 1995-200229	A	19950131			
	WO 1996-EP363	W	19960123			

OS MARPAT 125:221867
AB The title compds. I [R1 and R2 are each independently hydrogen, halogen, C1-6 alkyl or C1-6 alkyloxy; R3 and R4 are each independently hydrogen, C1-6 alkyl, Ph or Ph substituted with one, two or three substituents selected from halo, hydroxy, nitro, cyano, trifluoromethyl, C1-6 alkyl, C1-6 alkyloxy, C1-6 alkylthio, mercapto, amino, mono- and di(C1-6 alkyl)amino, carboxyl, C1-6 alkyloxycarbonyl and C1-6 alkylcarbonyl; Alk

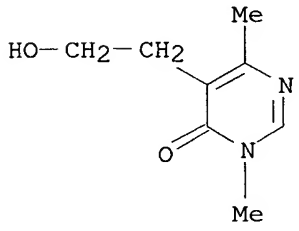
is C1-4 alkanediyl; D is a pyrimidinone, piperidone, etc.] are prepd. Thiazolopyrimidine deriv. II (prepn. given) showed ED50 of 0.16 mg/Kg in rats in a test for apomorphine antagonism.

IT **181525-30-4P 181525-31-5P 181525-32-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of antipsychotic (indolylpiperidinylalkyl)pyrimidine derivs.)

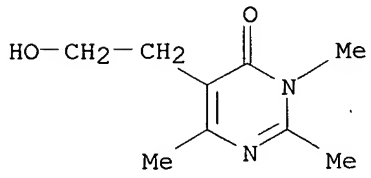
RN 181525-30-4 HCAPLUS
CN 4(3H)-Pyrimidinone, 2-(ethylthio)-5-(2-hydroxyethyl)-3,6-dimethyl- (9CI) (CA INDEX NAME)



RN 181525-31-5 HCAPLUS
CN 4(3H)-Pyrimidinone, 5-(2-hydroxyethyl)-3,6-dimethyl- (9CI) (CA INDEX NAME)

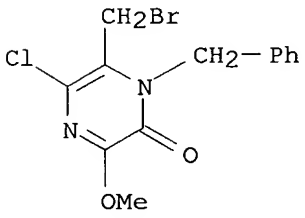


RN 181525-32-6 HCAPLUS
CN 4(3H)-Pyrimidinone, 5-(2-hydroxyethyl)-2,3,6-trimethyl- (9CI) (CA INDEX NAME)

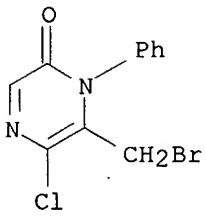


L72 ANSWER 5 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1996:423717 HCAPLUS
DN 125:221640
TI Synthesis of new pyrrolo[3,4-b]- and [3,4-c]pyridin(on)es and related 1,7-naphthyridinones and 2,7-naphthyridines via intramolecular Diels-Alder reactions of 2(1H)-pyrazinones
AU Buysens, Kris J.; Vandenberghe, Didier M.; Hoornaert, Georges J.
CS Laboratorium Organische Synthese, Department Chemistry, K.U. Leuven, Louvain, B-3001, Belg.

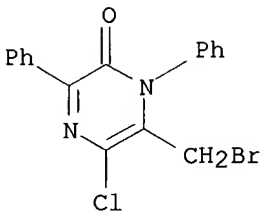
SO Tetrahedron (**1996**), 52(27), 9161-9178
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier
DT Journal
LA English
OS CASREACT 125:221640
AB 2(1H)-pyrazinones with in 6-position a 2-propynylaminomethyl or 3-butynylaminomethyl side chain undergo intramol. Diels-Alder reactions providing cycloadducts which can be isolated or functionalized in some cases. By further thermolysis of these compds. either pyrrolo-[3,4-b]pyridinones and/or pyrrolo[3,4-c]pyridines or 1,7-naphthyridinones and/or 2,7-naphthyridines can be generated. E.g., refluxing 1-benzyl-5-chloro-3-methoxy-6-(2-propynylaminomethyl)-2(1H)-pyrazinone in toluene gave 55% 1-benzyl-6-cyano-1,5,6,7-tetrahydro-3-methoxy-2H-pyrrolo[3,4-b]pyridin-2-one.
IT **175468-52-7P 175468-57-2P 175468-58-3P**
180893-42-9P 180893-43-0P 180893-44-1P
180893-45-2P 180893-46-3P 180893-47-4P
180893-48-5P 180893-49-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of pyrrolopyridinones and related naphthyridines via intramol. Diels-Alder reactions of pyrazinones)
RN 175468-52-7 HCAPLUS
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-5-chloro-3-methoxy-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



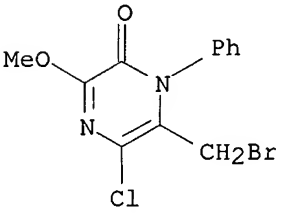
RN 175468-57-2 HCAPLUS
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-5-chloro-1-phenyl- (9CI) (CA INDEX NAME)



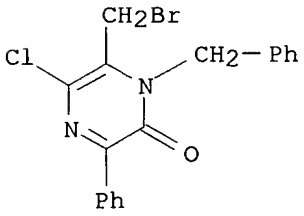
RN 175468-58-3 HCAPLUS
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-5-chloro-1,3-diphenyl- (9CI) (CA INDEX NAME)



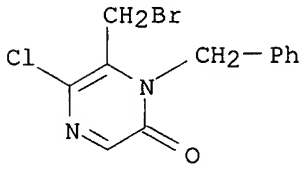
RN 180893-42-9 HCAPLUS
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-5-chloro-3-methoxy-1-phenyl- (9CI) (CA INDEX NAME)



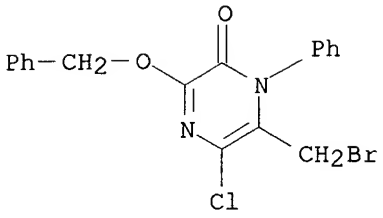
RN 180893-43-0 HCAPLUS
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-5-chloro-3-phenyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



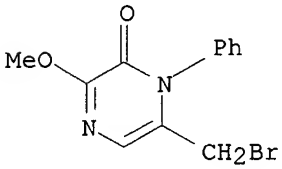
RN 180893-44-1 HCAPLUS
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-5-chloro-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



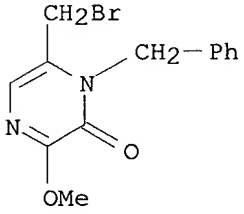
RN 180893-45-2 HCAPLUS
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-5-chloro-1-phenyl-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 180893-46-3 HCAPLUS
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-3-methoxy-1-phenyl- (9CI) (CA INDEX NAME)



RN 180893-47-4 HCAPLUS
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-3-methoxy-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



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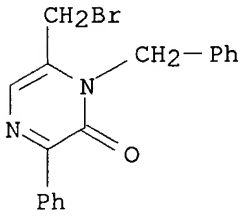
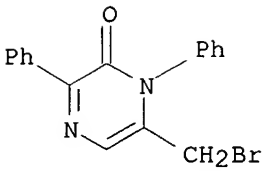
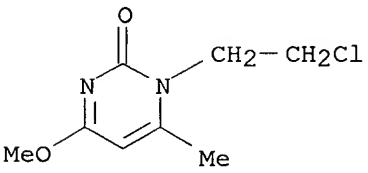
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-3-phenyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 180893-49-6 HCAPLUS
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-1,3-diphenyl- (9CI) (CA INDEX NAME)



L72 ANSWER 6 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1996:405041 HCAPLUS
DN 125:167908
TI Investigation of functionally substituted azines. Synthesis and thermolysis of (chloroethoxy)pyrimidines
AU Dovlatyan, V. V.; Eliazyan, K. A.; Pivazyan, V. A.; Kazaryan, E. A.
CS Arm. S-kh. Akad., Yerevan, 375009, Armenia
SO Khimiya Geterotsiklicheskikh Soedinenii (**1996**), (2), 237-239
CODEN: KGSSAQ; ISSN: 0132-6244
PB Latviiskii Institut Organicheskogo Sinteza
DT Journal
LA Russian
AB Title compds. I (R = NH2, R1 = OCH2CH2Cl; R = OCH2CH2Cl, R1 = OMe) were prepd., resp., from I (R = NH2, R1 = Cl; R = Cl, R1 = OMe). Thermolysis of I (R = NH2, R1 = OCH2CH2Cl) gave oxazolopyrimidinium chloride II; thermolysis of I (R = OCH2CH2Cl, R1 = OMe) gave pyrimidinone III.
IT **180141-20-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 180141-20-2 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-chloroethyl)-4-methoxy-6-methyl- (9CI) (CA INDEX NAME)



L72 ANSWER 7 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1996:367740 HCAPLUS
DN 125:26236
TI Novel antibiotic compounds and methods to treat gram-positive bacteria and mycoplasma infections
IN Brown, Neal C.; Wright, George
PA University of Massachusetts Medical Center, USA
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9606614	A1	19960307	WO 1995-US10943	19950830 <--

W: AU, BG, BR, CA, CN, CZ, FI, HU, IS, JP, KP, KR, MX, NO, NZ, PL, RO, RU, SD, SG, UA, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

US 5516905	A	19960514	US 1994-298011	19940830	<--
CA 2198739	AA	19960307	CA 1995-2198739	19950830	<--
AU 9534185	A1	19960322	AU 1995-34185	19950830	<--
AU 703511	B2	19990325			
EP 772439	A1	19970514	EP 1995-930997	19950830	
EP 772439	B1	20001004			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

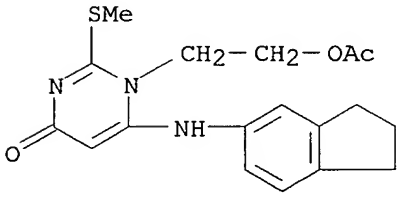
JP 10509134	T2	19980908	JP 1995-508925	19950830	
AT 196735	E	20001015	AT 1995-930997	19950830	
ES 2151608	T3	20010101	ES 1995-930997	19950830	
AU 9935782	A1	19990909	AU 1999-35782	19990622	
PRAI US 1994-298011	A	19940830			
AU 1995-34185	A3	19950830			
WO 1995-US10943	W	19950830			

OS MARPAT 125:26236

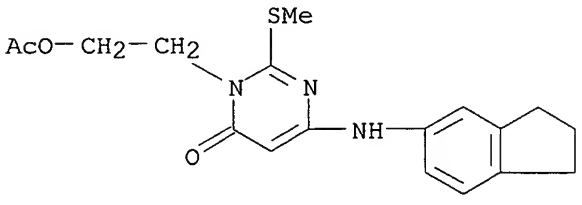
AB A method of inhibiting replication of mycoplasma and gram-pos. bacteria is described. Useful new compds. for in vivo and in vitro inhibition and therapy for infections utilizing HPUra-like compds. are also provided. These include a no. of novel 3-substituted uracil and isocytosine compds., and 10-substituted guanine and adenine compds. The compds. inhibit the activity of DNA polymerase III. Twenty compds. such as 3-(2-hydroxyethyl)-6-(5-indanylamino)uracil are claimed.

IT **177793-12-3P 177793-13-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(antibiotic compds. for treatment of gram-pos. bacteria and mycoplasma infections)

RN 177793-12-3 HCAPLUS
CN 4(1H)-Pyrimidinone, 1-[2-(acetyloxy)ethyl]-6-[(2,3-dihydro-1H-inden-5-yl)amino]-2-(methylthio)- (9CI) (CA INDEX NAME)



RN 177793-13-4 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-[2-(acetyloxy)ethyl]-6-[(2,3-dihydro-1H-inden-5-yl)amino]-2-(methylthio)- (9CI) (CA INDEX NAME)



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NEWS 3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4	Apr 09	ZDB will be removed from STN
NEWS 5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 9	Jun 03	New e-mail delivery for search results now available
NEWS 10	Jun 10	MEDLINE Reload
NEWS 11	Jun 10	PCTFULL has been reloaded
NEWS 12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS 14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS 15	Jul 30	NETFIRST to be removed from STN
NEWS 16	Aug 08	CANCERLIT reload
NEWS 17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18	Aug 08	NTIS has been reloaded and enhanced
NEWS 19	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 20	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 21	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 23	Sep 03	JAPIO has been reloaded and enhanced
NEWS 24	Sep 16	Experimental properties added to the REGISTRY file
NEWS 25	Sep 16	Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 26	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 27	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 28	Oct 21	EVENTLINE has been reloaded
NEWS 29	Oct 24	BEILSTEIN adds new search fields
NEWS 30	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 31	Oct 25	MEDLINE SDI run of October 8, 2002
NEWS 32	Nov 18	DKILIT has been renamed APOLLIT
NEWS 33	Nov 25	More calculated properties added to REGISTRY
NEWS 34	Dec 02	TIBKAT will be removed from STN
NEWS 35	Dec 04	CSA files on STN

NEWS EXPRESS	October 14 CURRENT WINDOWS VERSION IS V6.01, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN

12/15/2002

10032846

NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:35:09 ON 16 DEC 2002
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STRUCTURE FILE UPDATES: 15 DEC 2002 HIGHEST RN 476300-36-4
DICTIONARY FILE UPDATES: 15 DEC 2002 HIGHEST RN 476300-36-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> s 14716-32-6/rn
L1 1 14716-32-6/RN

=> d l1 Hitstr
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

The following are valid formats:

Substance information can be displayed by requesting individual fields or predefined formats. The predefined substance formats are: (RN = CAS Registry Number)

REG - RN
SAM - Index Name, MF, and structure - no RN
FIDE - All substance data, except sequence data
IDE - FIDE, but only 50 names
SQIDE - IDE, plus sequence data
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used
SQD - Protein sequence data, includes RN
SQD3 - Same as SQD, but 3-letter amino acid codes are used
SQN - Protein sequence name information, includes RN

CALC - Table of calculated properties
EPROP - Table of experimental properties
PROP - EPROP and CALC

Any CA File format may be combined with any substance format to obtain CA references citing the substance. The substance formats must be cited first. The CA File predefined formats are:

ABS -- Abstract
APPS -- Application and Priority Information
BIB -- CA Accession Number, plus Bibliographic Data
CAN -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND -- Index Data
IPC -- International Patent Classification
PATS -- PI, SO
STD -- BIB, IPC, and NCL

IABS --ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when it is available.
The MAX format is the same as ALL.
The IALL format is the same as ALL with BIB ABS and IND indented, with text labels.

For additional information, please consult the following help messages:

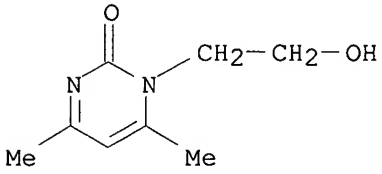
HELP DFIELDS -- To see a complete list of individual display fields.
HELP FORMATS -- To see detailed descriptions of the predefined formats.
ENTER DISPLAY FORMAT (IDE):end

=> d l1

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS
RN **14716-32-6** REGISTRY
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)
OTHER NAMES:

10032846

CN N-(.beta.-Hydroxyethyl)-4,6-dimethyl-2-pyrimidone
CN N-(.beta.-Hydroxyethyl)-4,6-dimethylpyrimidin-2(1H)-one
CN Xymedon
CN Xymedone
FS 3D CONCORD
MF C8 H12 N2 O2
CI COM
LC STN Files: BEILSTEIN*, BIOSIS, CA, CANCERLIT, CAPLUS, CHEMCATS, MEDLINE, RTECS*, TOXCENTER
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

36 REFERENCES IN FILE CA (1962 TO DATE)
36 REFERENCES IN FILE CAPLUS (1962 TO DATE)

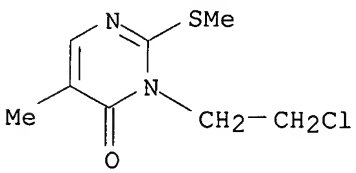
=> s 131728032-0/rn
INCONSISTENT NUMERIC RANGE EXPRESSION '131728032-0'
The lower limit in a numeric range must be given before the upper limit. For example, '5-1/C' is not valid. The correct form is '1-5/C'.

=> s 1317280-32-0/rn
L2 0 1317280-32-0/RN

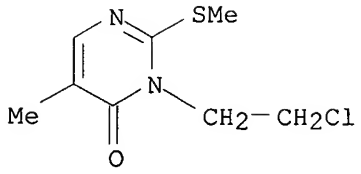
=> s 131728-32-0/rn
L3 1 131728-32-0/RN

=> d l3

L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS
RN **131728-32-0** REGISTRY
CN 4(3H)-Pyrimidinone, 3-(2-chloroethyl)-5-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C8 H11 Cl N2 O S
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, USPATFULL
(*File contains numerically searchable property data)



10032846



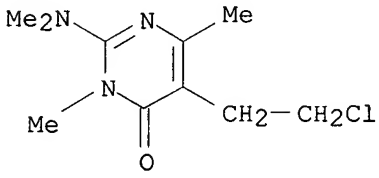
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

=> s 132137-02-1/rn
L4 1 132137-02-1/RN

=> d l4

L4 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS
RN **132137-02-1** REGISTRY
CN 4(3H)-Pyrimidinone, 5-(2-chloroethyl)-2-(dimethylamino)-3,6-dimethyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C10 H16 Cl N3 O
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

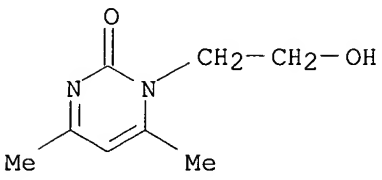
=> s 176793-48-9/rn
L5 1 176793-48-9/RN

=> d l5

L5 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS
RN **176793-48-9** REGISTRY
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)
OTHER NAMES:
CN N-(.beta.-Hydroxyethyl)-4,6-dimethylpyrimidin-2(1H)-one hydrochloride
MF C8 H12 N2 O2 . Cl H
SR CA

10032846

LC STN Files: CA, CAPLUS
CRN (14716-32-6)



● HCl

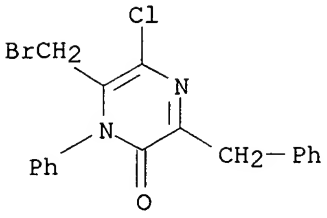
1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

=> logoff
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:H

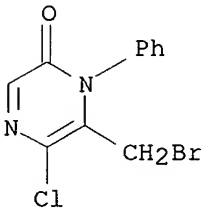
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	8.60	8.81

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 10:38:30 ON 16 DEC 2002

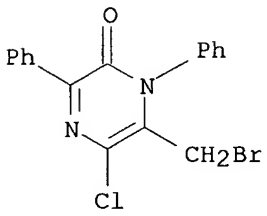
(9CI) (CA INDEX NAME)



RN 175468-54-9 HCAPLUS
RN 175468-57-2 HCAPLUS
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-5-chloro-1-phenyl- (9CI) (CA INDEX NAME)



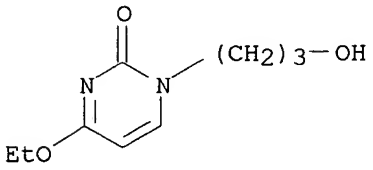
RN 175468-58-3 HCAPLUS
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-5-chloro-1,3-diphenyl- (9CI) (CA INDEX NAME)



L72 ANSWER 10 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1995:969421 HCAPLUS
DN 124:7968
TI Modular design and synthesis of aminimide-containing molecules
IN Hogan, Joseph C., Jr.; Casebier, David; Furth, Paul; Tu, Cheng
PA Arqule Partners, L.P., USA
SO PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 9518186 A1 19950706 WO 1993-US12612 19931228 <--
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
CA 2179983 AA 19950706 CA 1993-2179983 19931228 <--
AU 9460159 A1 19950717 AU 1994-60159 19931228 <--
AU 689764 B2 19980409
EP 737232 A1 19961016 EP 1994-906465 19931228 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
JP 09510693 T2 19971028 JP 1993-517995 19931228
CN 1105355 A 19950719 CN 1993-121725 19931230 <--
PRAI WO 1993-US12612 19931228
OS CASREACT 124:7968
AB The design and synthesis of a variety of aminimide-derived mol. modules and their use in the construction of new mols. and fabricated materials is disclosed. The new mols. and fabricated materials are mol. recognition agents useful in the design and synthesis of drugs, and have applications in sepns. and materials science. Examples given include monomers/polymers, drug conjugates, mimetics of peptides, (oligo)nucleotides, carbohydrates, and lipids, and a combinatorial library (matrix of 16). For instance, the (uridylmethyl)propylhydrazine I was acylated with acetyl chloride and alkylated with tert-Bu bromoacetate to give the aminimide II, which was deprotected with CF3CO2H. The resulting acid was used to perform a similar acylation of a similarly prepd. (cytidylmethyl)propylhydrazine, followed by another alkylation with tert-Bu bromoacetate. A 3rd cycle using I gave the tris(aminimide) III, which presents the sequence U-C-U as a recognition sequence for the RNA codon A-G-A.
IT **171241-38-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of aminimide-contg. mols.)
RN 171241-38-6 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-ethoxy-1-(3-hydroxypropyl)- (9CI) (CA INDEX NAME)



L72 ANSWER 11 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1995:932771 HCAPLUS
DN 124:44749
TI Antiviral activity of bicyclic pyrimidine nucleosides
AU Loakes, D.; Brown, D. M.; Mahmood, N.; Balzarini, J.; De Clercq, E.
CS Med. Res. Council, Lab. Mol. Biol., Cambridge, CB2 2QH, UK
SO Antiviral Chemistry & Chemotherapy (**1995**), 6(6), 371-8
CODEN: ACCHEH; ISSN: 0956-3202
PB Blackwell
DT Journal
LA English
AB A no. of pyrimidine nucleosides, which may show two hydrogen bonding

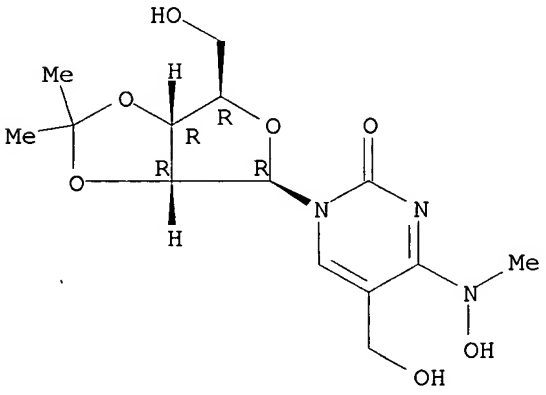
modes, have been prepd. and tested for antiviral activity against a series of viruses. While none of the compds. described showed significant activity against human immunodeficiency virus (HIV), the bicyclic 2'-deoxynucleoside, derived from the base 6H,8H-3,4-dihydropyrimido[4,5-c][1,2]oxazin-7-one, was shown to inhibit herpes simplex virus type 1 (HSV-1) at similar concns. as (E)-5-(2-bromovinyl)-2'-deoxyuridine (BVDU) and acyclovir (ACV). Compds. 6-(2-deoxyribofuranosyl)-6H,8H-2-methyl-3,4-dihydropyrimido[4,5-c][1,2]oxazin-7-one and N4-hydroxy-5-(2-chloroethyl)-2'-deoxyuridine were as active as ACV against varicella-zoster virus.

IT **156214-57-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiviral activity of bicyclic pyrimidine nucleosides)

RN 156214-57-2 HCAPLUS

CN Cytidine, N-hydroxy-5-(hydroxymethyl)-N-methyl-2',3'-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L72 ANSWER 12 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1995:931231 HCAPLUS

DN 123:340171

TI Preparation of 2-aryl-4-pyrimidinones as herbicides

IN Tice, Colin Michael; Roemmele, Renee Caroline; Musco, Vincent Angelo; Warner, Harlow Lester

PA Rohm and Haas Co., USA

SO Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW

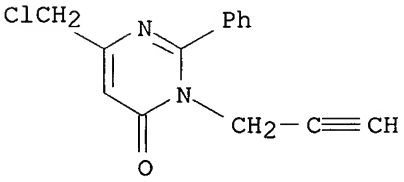
DT **Patent**

LA English

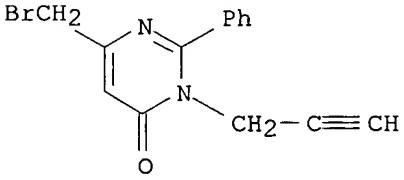
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 663396	A1	19950719	EP 1994-309757	19941223	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
	US 5453414	A	19950926	US 1994-185579	19940118	<--
	US 5726124	A	19980310	US 1994-331249	19941028	
PRAI	US 1994-185579	A	19940118			
	US 1994-331249	A	19941028			
	US 1992-916247	B2	19920717			

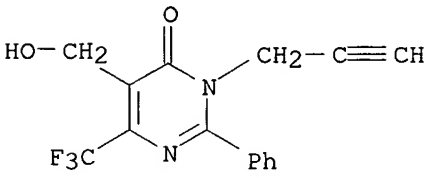
US 1993-62802 A2 19930520
OS MARPAT 123:340171
AB Title compds. [I; R2 = (un)substituted Ph, -pyridyl, -thienyl, etc.; R3 = alk(en)yl, alkynyl, alkoxy, etc.; R5 = H, acyl, OH, alkoxyimino, etc.; R6 = H, alk(en)yl, (un)substituted Ph, heteroaryl, etc.; X = O or S] were prepd. Thus, PhC(:NH)OMe was amidated by H2NCH2C.tplbond.CH and the product cyclocondensed with CF3COCHEtCO2Et to give title compd. II (R = F). II (R = H) gave complete control of 8 weeds at 1200g/ha preemergent.
IT **158713-97-4P 158713-98-5P 170564-53-1P**
170564-67-7P 170564-71-3P 170564-75-7P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-aryl-4-pyrimidinones as herbicides)
RN 158713-97-4 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(chloromethyl)-2-phenyl-3-(2-propynyl)- (9CI) (CA INDEX NAME)



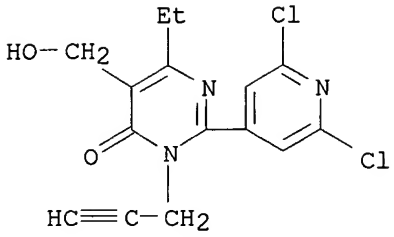
RN 158713-98-5 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(bromomethyl)-2-phenyl-3-(2-propynyl)- (9CI) (CA INDEX NAME)



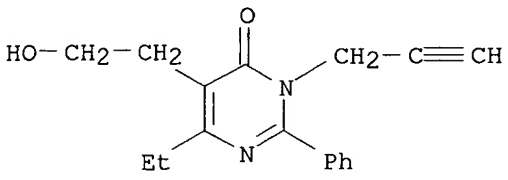
RN 170564-53-1 HCAPLUS
CN 4(3H)-Pyrimidinone, 5-(hydroxymethyl)-2-phenyl-3-(2-propynyl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



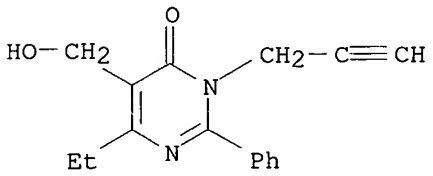
RN 170564-67-7 HCAPLUS
CN 4(3H)-Pyrimidinone, 2-(2,6-dichloro-4-pyridinyl)-6-ethyl-5-(hydroxymethyl)-3-(2-propynyl)- (9CI) (CA INDEX NAME)



RN 170564-71-3 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-ethyl-5-(2-hydroxyethyl)-2-phenyl-3-(2-propynyl)-(9CI) (CA INDEX NAME)

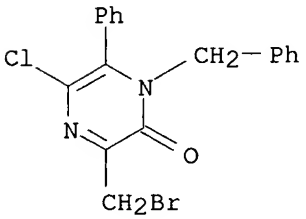


RN 170564-75-7 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-ethyl-5-(hydroxymethyl)-2-phenyl-3-(2-propynyl)-(9CI) (CA INDEX NAME)

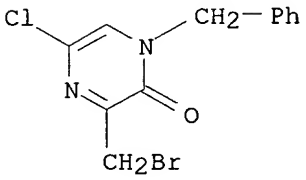


L72 ANSWER 13 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1995:927936 HCAPLUS
DN 124:145943
TI Intramolecular Diels-Alder reactions of 2(1H)-pyrazinones: synthesis of new furo/pyranopyridinones and -pyridines
AU Buysens, Kris J.; Vandenberghe, Didier M.; Toppet, Suzanne M.; Hoornaert, Georges J.
CS Laboratorium Organische Synthese, K.U. Leuven, Louvain, B-3001, Belg.
SO Tetrahedron (**1995**), 51(45), 12463-78
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier
DT Journal
LA English
AB 2(1H)-Pyrazinones with either a 3- or 4-alkynyloxy side chain in the 3-position and 2(1H)-pyrazinones carrying the corresponding 2- or 3-alkynyloxy(m)ethyl substituent undergo intramol. Diels-Alder reaction. The formation of either fused pyridinones and/or pyridines depends on the substitution pattern of the anchored pyrazinone and runs via the loss of either nitrile or isocyanate from the intermediate cycloadduct. The influence of the position of the oxygen atom and the length of the side chain on the reaction conditions is also discussed.

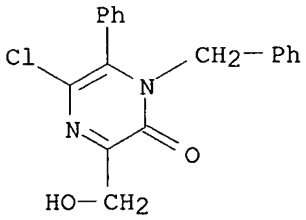
IT **173199-91-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of furo- and pyranopyridinones and -pyridines by intramol. Diels-Alder reactions of pyrazinones)
RN 173199-91-2 HCAPLUS
CN 2(1H)-Pyrazinone, 3-(bromomethyl)-5-chloro-6-phenyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



IT **173199-90-1P 173200-00-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of furo- and pyranopyridinones and -pyridines by intramol. Diels-Alder reactions of pyrazinones)
RN 173199-90-1 HCAPLUS
CN 2(1H)-Pyrazinone, 3-(bromomethyl)-5-chloro-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 173200-00-5 HCAPLUS
CN 2(1H)-Pyrazinone, 5-chloro-3-(hydroxymethyl)-6-phenyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

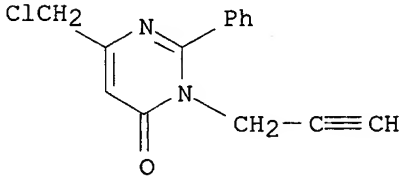


L72 ANSWER 14 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1995:894116 HCAPLUS
DN 123:332750
TI Preparation of 2-arylpyrimidines as herbicides.
IN Tice, Colin M.; Musco, Vincent A.; Roemmele, Renee C.; Warner, Harlow L.

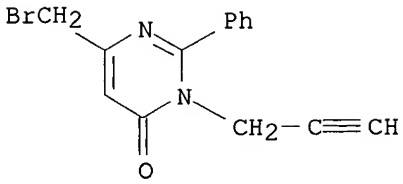
PA Rohm and Haas Company, USA
SO U.S., 35 pp. Cont.-in-part of U.S. 5,300,477.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5453414	A	19950926	US 1994-185579	19940118	<--
	US 5300477	A	19940405	US 1993-62802	19930520	<--
	US 5726124	A	19980310	US 1994-331249	19941028	
	EP 663396	A1	19950719	EP 1994-309757	19941223	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
	AU 9481812	A1	19950727	AU 1994-81812	19941230	<--
	AU 697648	B2	19981015			
	CA 2140182	AA	19950719	CA 1995-2140182	19950113	<--
	ZA 9500348	A	19950718	ZA 1995-348	19950117	<--
	HU 70087	A2	19950928	HU 1995-135	19950117	<--
	CN 1109879	A	19951011	CN 1995-101695	19950117	<--
	BR 9500248	A	19951017	BR 1995-248	19950118	<--
	JP 07278119	A2	19951024	JP 1995-23485	19950118	<--
PRAI	US 1993-62802	A2	19930520			
	US 1992-916247	B2	19920717			
	US 1994-185579	A2	19940118			
	US 1994-331249	A	19941028			

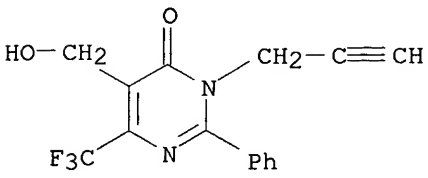
OS MARPAT 123:332750
AB The title compds. I [R2 = (un)substituted aryl; R3 = satd. or unsatd. alkyl; R5 = acyl, alkoxyalkyl, alkoxyimino, dialkoxyalkyl, formyl, hydroxyalkyl, hydroxyimino; R6 = H, halo, (halo)alkyl, aryl, alkoxy; X = O or S] are prepd. as herbicides. Mixts. of I with some known herbicides, such as urea derivs., are synergistic.
IT **158713-97-4P 158713-98-5P 170564-53-1P**
170564-67-7P 170564-75-7P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. as herbicide)
RN 158713-97-4 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(chloromethyl)-2-phenyl-3-(2-propynyl)- (9CI) (CA INDEX NAME)



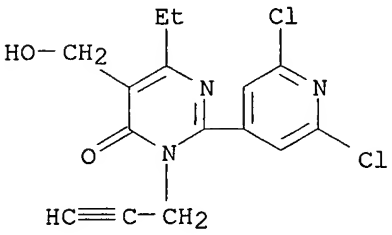
RN 158713-98-5 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(bromomethyl)-2-phenyl-3-(2-propynyl)- (9CI) (CA INDEX NAME)



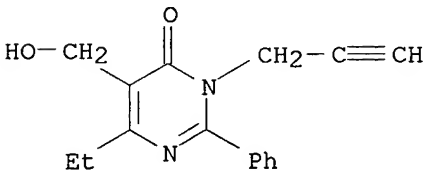
RN 170564-53-1 HCAPLUS
CN 4(3H)-Pyrimidinone, 5-(hydroxymethyl)-2-phenyl-3-(2-propynyl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 170564-67-7 HCAPLUS
CN 4(3H)-Pyrimidinone, 2-(2,6-dichloro-4-pyridinyl)-6-ethyl-5-(hydroxymethyl)-3-(2-propynyl)- (9CI) (CA INDEX NAME)



RN 170564-75-7 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-ethyl-5-(hydroxymethyl)-2-phenyl-3-(2-propynyl)- (9CI) (CA INDEX NAME)



L72 ANSWER 15 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1995:750621 HCAPLUS
DN 123:143921
TI Pyrimidinones as antiarthritics and anti-inflammatories
IN Nugent, Richard Allen; Schlachter, Stephen T.
PA Upjohn Co., USA
SO PCT Int. Appl., 22 pp.

CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

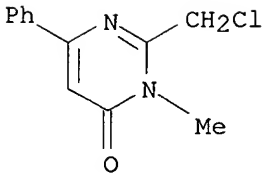
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI WO 9511235	A1	19950427	WO 1994-US10571	19940921	<--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ					
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9477989	A1	19950508	AU 1994-77989	19940921	<--
EP 724573	A1	19960807	EP 1994-928624	19940921	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
JP 09504010	T2	19970422	JP 1994-511063	19940921	
PRAI US 1993-139078		19931020			
US 1993-161676		19931203			
WO 1994-US10571		19940921			

OS MARPAT 123:143921

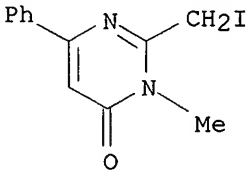
AB The claimed compds., useful in the treatment of inflammation, are I and pharmaceutically acceptable salts [wherein (a) R2 = (CH2)nY where either (1) n = 1 and Y = C1-6 alkoxy, morpholinyl, piperidinyl, pyrrolidinyl, PhO, PhS, PhSO2, PhS(O), -NHCO-C1-6 carboxylic acid, N3, NH2, NMe2, H (provided R4 = PhCH2O), halo (provided R3 = C1-6 alkyl) or CHQ2 where Q = CO2R6 or PO(OR7)2, or (2) n = 2 and Y = CHQ2; or (b) R2 = terminal olefin substituted with (1) (hetero)aryl, or (2) OH and C1-6 alkyl, Ph, or (CH2)mCOO2R6 (where m = 1-3); or (c) R2 = C3-6 cycloalkyl (optionally substituted with halo, (PO(OC2H5)2)2 or cyano); R3 = H or C1-6 alkyl; R4 = H, OH, C1-6 alkyl, alkoxy, PhCH2O or PhO; R5 = H, halo, C1-6 alkyl, C1-6 alkoxy, PhO, C1-6 alkylthio, PhS, NH2, aryl (except that R5 = other than Ph when R4 and Y = H) or heteroaryl; R6 = H, C1-6 alkyl, PhCH2, Ph, Ph substituted with 1-5 F, Cl, Br, iodo, NO2, OCH3 or C1-4 alkyl; and R7 = H, C1-C6 alkyl, PhCH2, Ph, Ph substituted with 1-5 F, Cl, Br, iodo, NO2, OCH3 or C1-4 alkyl, or where both R7's together = CH2CH2, CH2CH2CH2 or CH2C(CH3)]. For example, 2,3-dimethyl-6-phenyl-4(3H)-pyrimidinone was lithiated with LiN(SiMe3)2 in THF at -78.degree. and quenched in hexachloroethane/THF at -40.degree. to give 51% of its 2-chloromethyl analog, which reacted with morpholine in refluxing THF to give 27% title compd. II. In a delayed-type hypersensitivity granuloma assay in mice, II gave 68% inhibition at 10 mg/kg. Prepns. of 31 invention compds. and 4 similar non-invention pyrimidinones, plus bioassay results for 20 compds., are given.

IT **166747-68-8P 166747-76-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of pyrimidinones as antiarthritics and antiinflammatories)

RN 166747-68-8 HCAPLUS
CN 4(3H)-Pyrimidinone, 2-(chloromethyl)-3-methyl-6-phenyl- (9CI) (CA INDEX NAME)



RN 166747-76-8 HCAPLUS
CN 4(3H)-Pyrimidinone, 2-(iodomethyl)-3-methyl-6-phenyl- (9CI) (CA INDEX NAME)



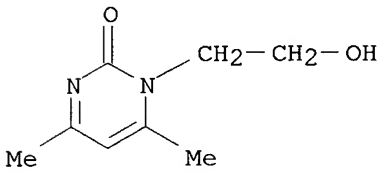
L72 ANSWER 16 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1995:745040 HCAPLUS
DN 123:188090
TI Effect of xymedon on cholesterol metabolism and experimental atherosclerosis in rabbits
AU Dautova, G. S.; Kosykh, V. A.; Repin, V. S.; Kamburg, R. A.; Ibragimov, O. B.; Popova, L. G.; Valeyeva, I. Ch.
CS All-Russian Cardiology Res. Center, Moscow, 121552, Russia
SO Eksperimental'naya i Klinicheskaya Farmakologiya (**1995**), 58(1), 25-9
CODEN: EKFAE9; ISSN: 0869-2092
PB Meditsina
DT Journal
LA Russian
AB The effects produced by the two pyrimidine derivs. pyridinol carbamate (parmidine) and xymedon on cholesterol metab. and exptl. atherosclerosis were comparatively studied in rabbits. The rabbits were fed either a chow contg. cholesterol (200 mg/kg body wt.) or the same diet also contg. xymedon (30 mg/kg body wt.) or pyridinol carbamate (30 mg/kg body wt.). Total plasma cholesterol showed 5.5- and 4.7-fold increases in the rabbits receiving only cholesterol or cholesterol + pyridinol carbamate, resp., as compared with that in the animals on a std. lab. chow. In the rabbits given cholesterol + xymedon, cholesterol levels were 24% less than that in the animals taking cholesterol alone. In these animals, the aortic atherosclerotic damage index (ADI) was equal to 24.1%, which was 1.8-fold less than that in the cholesterol-fed rabbits. In the rabbits given cholesterol + pyridinol carbamate, ADI was decreased by 1.7 times, but did not differ from that in the hypocholesterolemic rabbits. At the same time xymedon and pyridinol carbamate reduced the hepatic levels of total and esterified cholesterol. To elucidate the mechanism of action of xymedon, its effects on cholesterol metab. in cultured rabbit hepatocytes and murine macrophage J774 were studied. Xymedon did not alter the esterification and other parameters of cholesterol metab. in the cultured hepatocytes. It is suggested that the hypocholesterolemic effect was realized at the level of intestinal rather than hepatic cholesterol

metabolic changes. The investigations on murine macrophage J744 showed that xymedon reduced cholesterol esterification in macrophages, evidently by inhibiting the activity of the enzyme acyl-CoA: cholesterol acyltransferase. The anti-atherosclerotic effect of xymedon seems to result from redns. in plasma cholesterol levels and cholesterol esterification in blood vascular cells.

IT **14716-32-6**, Xymedon
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effect of xymedon on cholesterol metab. and exptl. atherosclerosis in rabbits)

RN 14716-32-6 HCAPLUS

CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)



L72 ANSWER 17 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1995:656693 HCAPLUS

DN 123:228098

TI Synthesis of some polycyclic noncondensed pyrimidine structures

AU Reznik, V. S.; Salikhov, I. Sh.; Shvetsov, Yu. S.; Efremov, Yu. Ya.; Rizvanov, I. Kh.

CS A. E. Arbuzov Inst. Org. Phys. Chem., Kazan, 420083, Russia

SO Izvestiya Akademii Nauk, Seriya Khimicheskaya (**1995**), (2), 335-40
CODEN: IASKEA

PB Institut Organicheskoi Khimii im. N. D. Zelinskogo Rossiiskoi Akademii Nauk

DT Journal

LA Russian

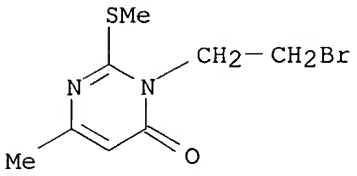
OS CASREACT 123:228098

AB The methods for the synthesis of compds. contg. two or more pyrimidine rings which are bonded by aliph. chains with different nos. of carbon atoms are described. Thus, e.g., alkylation of the Na salt of 6-methyl-2-methylthio-4-hydroxypyrimidine with CH2Br2 in n-butanol afforded the corresponding NCN and NCO isomers I and II; the reaction in DMF afforded II and OCO isomer III.

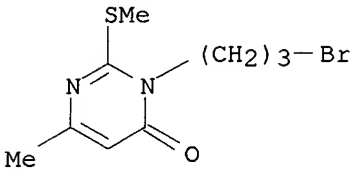
IT **168641-08-5P 168641-11-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of compds. contg. two or more pyrimidine rings bonded by aliph. chains)

RN 168641-08-5 HCAPLUS

CN 4(3H)-Pyrimidinone, 3-(2-bromoethyl)-6-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)



RN 168641-11-0 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(3-bromopropyl)-6-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

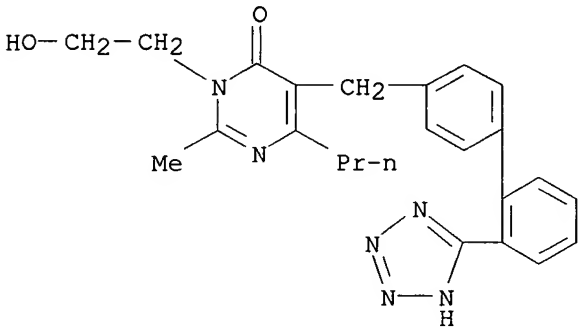


L72 ANSWER 18 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1995:656620 HCAPLUS
DN 123:285889
TI Synthesis and angiotensin II receptor antagonist activity of C-linked pyrimidine derivatives
AU Nicolaie, E.; Cure, G.; Goyard, J.; Kirchner, M.; Teulon, J. M.; Versigny, A.; Cazes, M.; Virone-Oddos, A.; Caussade, F.; Cloarec, A.
CS Carpibem, Rueil-Malmaison, 92500, Fr.
SO European Journal of Medicinal Chemistry (**1995**), 30(5), 365-75
CODEN: EJMCA5; ISSN: 0223-5234
PB Elsevier
DT Journal
LA English
AB The synthesis and pharmacol. activity of nonpeptide angiotensin II receptor antagonists are presented. These 3-N-substituted pyrimidine-4(3H)-one and 4-O,N,S-substituted pyrimidine derivs. represent a series of C-linked biphenyl tetrazole angiotensin II antagonists. In vitro, they displayed a high affinity for rat adrenal angiotensin II receptors, several compds. causing more than 60% displacement of [125I]Sar1-Ile8-angiotensin II from the rat adrenal angiotensin II receptor at 10-7 M. In vivo, several compds. displayed a high oral antihypertensive activity in renal hypertensive rat with decreases in systolic arterial pressure (SAP) greater than 60 mm Hg at 10 mg/kg. 2-Methyl-6-oxo-4-propyl-5-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1(6H)-pyrimidineethanol hydrochloride was compared with Losartan in the renal artery-ligated rat model. It was shown that at 3 mg/kg po, 2-methyl-6-oxo-4-propyl-5-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1(6H)-pyrimidineethanol hydrochloride induced a maximal decrease in mean arterial pressure (MAP) of 60.8 mm Hg, which was similar to that was obsd. with Losartan (maximal decrease of 60 mm Hg at 3 mg/kg) with a long duration of action (greater than 16 h).
IT **141309-82-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(2-methyl-6-oxo-4-propyl-5-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1(6H)-pyrimidineethanol; [[(tetrazolyl)biphenylyl]methyl]pyrimidines as angiotensin II receptor antagonists)

RN 141309-82-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 3-(2-hydroxyethyl)-2-methyl-6-propyl-5-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



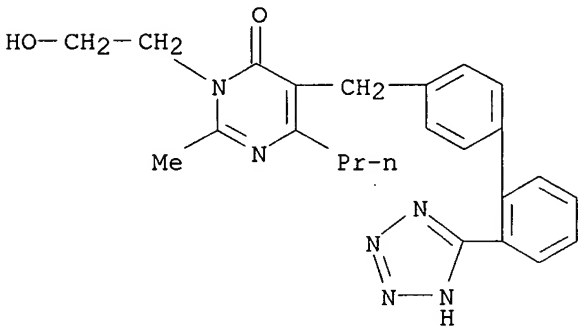
IT **141309-47-9P 169772-88-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

([[(tetrazolyl)biphenylyl]methyl]pyrimidines as angiotensin II receptor antagonists)

RN 141309-47-9 HCAPLUS

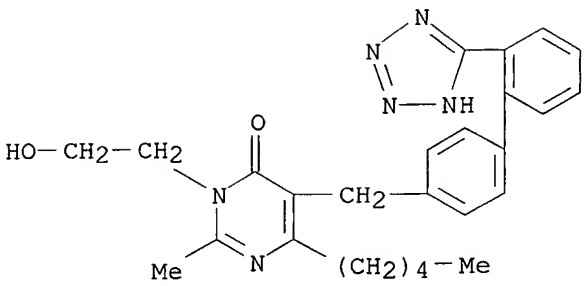
CN 4(3H)-Pyrimidinone, 3-(2-hydroxyethyl)-2-methyl-6-propyl-5-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



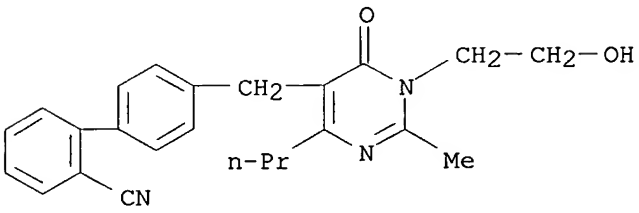
● HCl

RN 169772-88-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 3-(2-hydroxyethyl)-2-methyl-6-pentyl-5-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

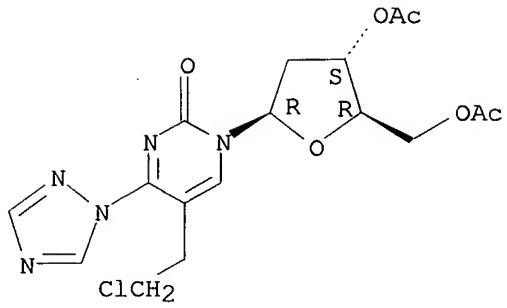


IT **169772-84-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
([[(tetrazolyl)biphenylyl]methyl]pyrimidines as angiotensin II receptor antagonists)
RN 169772-84-3 HCAPLUS
CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[1,6-dihydro-1-(2-hydroxyethyl)-2-methyl-6-oxo-4-propyl-5-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

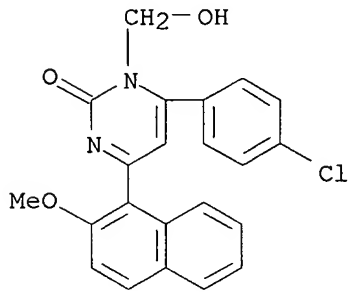


L72 ANSWER 19 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1995:630975 HCAPLUS
DN 123:257199
TI The synthesis of bicyclic N4-amino-2'-deoxycytidine derivatives
AU Loakes, D.; Brown, D. M.
CS Laboratory Molecular Biology, Medical Research Council, Cambridge, UK
SO Nucleosides & Nucleotides (**1995**), 14(3-5), 291-3
CODEN: NUNUD5; ISSN: 0732-8311
PB Dekker
DT Journal
LA English
OS CASREACT 123:257199
AB A no. of bicyclic N4-amino-2'-deoxycytidine derivs., e.g. I, II, III (dR = 3,5-di-O-acetyl-2-deoxyribofuranosyl), were prepd. from triazolo deriv. IV and methylhydrazines. Their ambivalent hydrogen bonding potential makes them of interest for mutagenesis studies, and for incorporation into oligonucleotides for probes and primers.
IT **126164-58-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of bicyclic N4-amino-2'-deoxycytidine derivs.)
RN 126164-58-7 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-(2-chloroethyl)-1-(3,5-di-O-acetyl-2-deoxy-.beta.-D-erythro-pentofuranosyl)-4-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L72 ANSWER 20 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1995:611674 HCAPLUS
DN 123:256650
TI Some reactions of 4-(2-methoxynaphthyl)-6-(4-chlorophenyl)pyrimidin-2(1H)-one and its corresponding 2-chloro derivative
AU Essawy, S. A.; Khalil, A. A.; Issac, Y. A.; Ghany, A. M. Abdel
CS Faculty Science, Benha University, Benha, Egypt
SO Egyptian Journal of Chemistry (**1994**), 37(4), 413-21
CODEN: EGJCA3; ISSN: 0367-0422
PB National Information and Documentation Centre
DT Journal
LA English
AB Many pyrimidines have biol. and medicinal activities. Some new condensed and a noncondensed theterocycles which might have biol. activity were prepd. The starting material 4-(2-methoxynaphthyl)-6-(4-chlorophenyl) pyrimidin-2(1H)-one was prepd. according to the reported procedure from 1-(2-methoxynaphthyl)-3-(p-chlorophenyl)-2-propen-1-one and urea. The IR spectrum of 4-(2-methoxynaphthyl)-6-(p-chlorophenyl) pyrimidin-2(1H)-one showed absorption bands of cyclic amide CO (1665 cm-1) and NH or OH (3450-3000 cm-1).
IT **169116-05-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 169116-05-6 HCAPLUS
CN 2(1H)-Pyrimidinone, 6-(4-chlorophenyl)-1-(hydroxymethyl)-4-(2-methoxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)

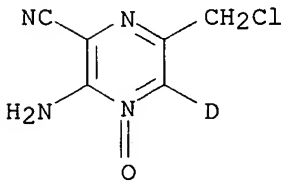


L72 ANSWER 21 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1995:362671 HCAPLUS

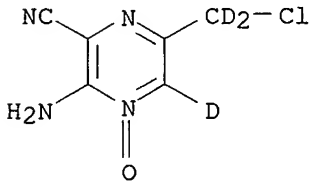
DN 123:112720
TI Methotrexate analogs as antineoplastic agents and dihydrofolate reductase inhibitors
IN Chan, Carcy L.
PA USA
SO U.S., 13 pp.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5382582	A	19950117	US 1993-37819	19930326 <--

OS MARPAT 123:112720
AB Methotrexate (MTX) analogs I wherein R is Me or hydro, D1 is deutero, and X is halo or hydro, and therapeutically acceptable salts thereof, the synthesis of the MTX analogs, and use of the analogs in modulating cellular function. Anticancer activity of 7-d-MTX and 7,9,9-d3-MTX as compared with MTX IC50(nM) for two human leukemia cell lines: for K562 cells, 6, 40, and 8, resp.; for CCRF/CEM cells, 9.5,48.0, and 11.3, resp. ID50 (nM) values for 7-d-MTX, 7,9,9-d3-MTX and MTX against DHFR (dihydrofolate reductase): 50, 120, and 63, resp. for chicken liver DHFR. The half life of 7-d-MTX is about 60% greater than the half life of MTX, thus verifying that 7-d-MTX is broken down much less rapidly than MTX; further expts. confirmed that deuteration at the 7-position of MTX impedes formation of 7-OH-MTX in vivo as well as in vitro.
IT **166171-81-9P**, 2-Amino-3-cyano-5-chloromethyl-6-deuteropyrazine-1-oxide **166171-90-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(methotrexate analogs as antineoplastic agents and dihydrofolate reductase inhibitors)
RN 166171-81-9 HCAPLUS
CN Pyrazine-5-d-carbonitrile, 3-amino-6-(chloromethyl)-, 4-oxide (9CI) (CA INDEX NAME)

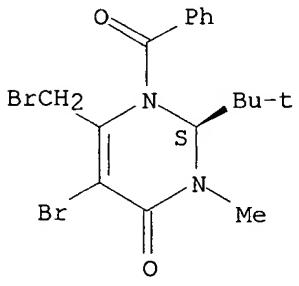


RN 166171-90-0 HCAPLUS
CN Pyrazine-5-d-carbonitrile, 3-amino-6-(chloromethyl-d2)-, 4-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 22 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1995:326755 HCAPLUS
DN 122:188086
TI Enantioselective synthesis of .beta.-amino acids. 5. Stereoselective reaction of chiral pyrimidinone enolates with aldehydes
AU Murer, Peter; Rheiner, Beat; Juaristi, Eusebio; Seebach, Dieter
CS Laboratorium Organische Chemie Eidgenoessischen Technischen Hochschule, Universitaetstrasse, Zuerich, CH-8092, Switz.
SO Heterocycles (**1994**), 39(1), 319-44
CODEN: HTCYAM; ISSN: 0385-5414
PB Japan Institute of Heterocyclic Chemistry
DT Journal
LA English
AB Hydropyrimidinones I and II were prepd. from Me crotonate via 3-aminobutanoate, and their corresponding lithium enoate and dienolate derivs. were added to various aldehydes. The high regio- and stereoselectivities obsd. in these aldol reactions pave the road for the prepn. of enantiomerically pure .beta.-hydroxy-.beta.'-amino acids. The structures of the products were confirmed by x-ray crystal structure anal. (eight examples).
IT **161755-16-4P**
RL: BYP (Byproduct); PREP (Preparation)
(asym. synthesis of .beta.-amino acids via stereoselective aldol reactions of chiral pyrimidinone enolates)
RN 161755-16-4 HCAPLUS
CN 4(1H)-Pyrimidinone, 1-benzoyl-5-bromo-6-(bromomethyl)-2-(1,1-dimethylethyl)-2,3-dihydro-3-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L72 ANSWER 23 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1995:283835 HCAPLUS
DN 122:48832
TI Synthesis of 3,N4-Etheno, 3,N4-Ethano, and 3-(2-Hydroxyethyl) Derivatives of 2'-Deoxycytidine and Their Incorporation into Oligomeric DNA
AU Zhang, Weifeng; Rieger, Robert; Iden, Charles; Johnson, Francis
CS Department of Chemistry, State University of New York at Stony Brook, Stony Brook, NY, 11794, USA
SO Chemical Research in Toxicology (**1995**), 8(1), 148-56
CODEN: CRTOEC; ISSN: 0893-228X
PB American Chemical Society
DT Journal
LA English
AB 3,N4-Etheno, 3,N4-ethano, and 3-(2-hydroxyethyl)derivs. of

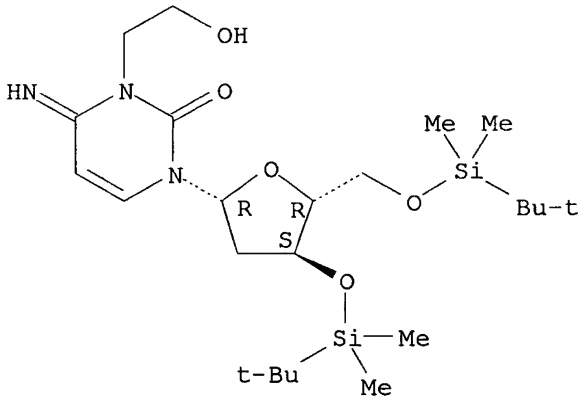
2'-deoxycytidine arise in mammalian DNA that has been exposed to the metabolic products of either vinyl chloride or the antitumor drug bis(chloroethyl)nitrosourea. These chem.-related adducts are thought to be assocd. with both mutagenesis and carcinogenesis. In this paper the authors report reliable syntheses of these deoxynucleosides and incorporation of the latter into oligodeoxynucleotides by the phosphoramidite route, using automated methods. It was found that 3-(2-hydroxyethyl)-2'-deoxycytidine is unstable in aq. soln. and undergoes an autoinduced hydrolysis to 3-(2-hydroxyethyl)-2'-deoxyuridine. The rate of this hydrolysis was found to be pH-dependent, having a max. around pH 8, and a half-life of approx. 5 h. At higher or lower acidities, the reaction rate falls, indicating that the process involves a general acid-base catalysis. Thus in this case, oligomers were obtained that possessed 3-(2-hydroxyethyl)-2'-deoxyuridine residues, rather than the cytidine analog. It is likely that the former represents the longer-lived species in DNA under physiol. conditions. Representative oligomers contg. these chem. lesions were analyzed by mass spectrometric and enzymic degrdn. methods to confirm their structures.

IT **111447-34-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and benzoylation)

RN 111447-34-8 HCAPLUS

CN Cytidine, 2'-deoxy-3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-3-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

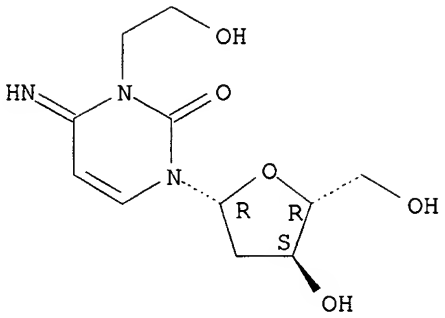


IT **76495-79-9P**
RL: ADV (Adverse effect, including toxicity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of etheno- and ethano- and hydroxyethyl derivs. of deoxycytidine and their incorporation into oligomeric DNA)

RN 76495-79-9 HCAPLUS

CN Cytidine, 2'-deoxy-3-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L72 ANSWER 24 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1995:252342 HCAPLUS
DN 122:31543
TI Preparation of 2-arylpyrimidin-4-ones as herbicides
IN Tice, Colin M.
PA Rohm and Haas Co., USA
SO U.S., 22 pp. Cont.-in-part of U.S. Ser. No. 916,247, abandoned.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5300477	A	19940405	US 1993-62802	19930520	<--
	JP 06312980	A2	19941108	JP 1993-162546	19930630	<--
	EP 579424	A1	19940119	EP 1993-305207	19930702	<--
	EP 579424	B1	19961023			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
	EP 696588	A1	19960214	EP 1995-117397	19930702	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
	AT 144500	E	19961115	AT 1993-305207	19930702	<--
	ES 2093929	T3	19970101	ES 1993-305207	19930702	
	CA 2099925	AA	19940118	CA 1993-2099925	19930706	<--
	AU 9341781	A1	19940120	AU 1993-41781	19930706	<--
	AU 672605	B2	19961010			
	ZA 9305033	A	19940117	ZA 1993-5033	19930713	<--
	BR 9302896	A	19940216	BR 1993-2896	19930716	<--
	HU 65082	A2	19940428	HU 1993-2053	19930716	<--
	CN 1084165	A	19940323	CN 1993-108539	19930717	<--
	US 5453414	A	19950926	US 1994-185579	19940118	<--
	US 5726124	A	19980310	US 1994-331249	19941028	
PRAI	US 1992-916247	B2	19920717			
	US 1992-916780	A	19920717			
	US 1993-62802	A	19930520			
	EP 1993-305207	A3	19930702			
	US 1994-185579	A2	19940118			

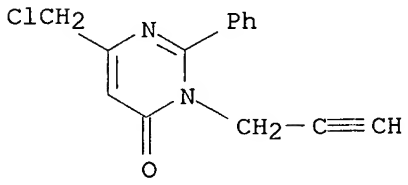
OS MARPAT 122:31543
AB Title compds. [I; R2 = (un)substituted (hetero)aryl; R3 = (halo)alk(en)yl, alkynyl, oxoalkyl, aryl, etc.; R5 = H, halo, alkyl, alkoxy, aryl, etc.; R6 = H, halo, (halo)alkyl, alkoxy, alkoxycarbonyl, aryl, etc.; X = O or S] were prepd. Thus, PhC(:NH)OMe was condensed with HC.tplbond.CCH2NH2 and the product cyclocondensed with CF3COCHEtCO2Et to give title compd. II which gave 80-100% control of 8 weeds (e.g., foxtail 100%) at 1.00lb/acre

preemergent.

IT **158713-97-4P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide)

RN 158713-97-4 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-(chloromethyl)-2-phenyl-3-(2-propynyl)- (9CI) (CA INDEX NAME)



L72 ANSWER 25 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1995:92361 HCAPLUS

DN 122:55981

TI Synthesis of N-substituted oxo- and thioxopyrimidines from 1,2,4-dithiazolium salts

AU Holzer, Max; Dobner, Bodo; Briel, Detlef

CS Fakultoet Biowissenschaften, Pharmazie Psychologie, Universitaet Leipzig, Leipzig, D-04103, Germany

SO Liebigs Annalen der Chemie (**1994**), (9), 901-9
CODEN: LACHDL; ISSN: 0170-2041

DT Journal

LA German

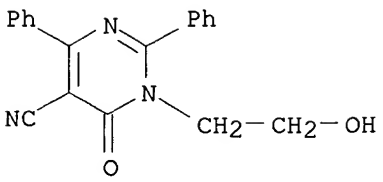
OS CASREACT 122:55981

AB 2,4-Diaryl-substituted 1,3-thiazine-5-carbonitriles I (X = O, S, R = aryl), obtained by reaction of 1,2,4-dithiazolium salts II with activated cyanoacetates, undergo ring transformations in the presence of primary and secondary amines. Thus, I react with primary amines, R1NH2, under mild conditions to give hardly accessible N-3-substituted oxopyrimidine- or thioxopyrimidine-5-carbonitriles III and with secondary amines, R22NH, to give N-3-unsubstituted pyrimidine derivs. IV and with diamines to give imidazo[1,2-c]pyrimidines or pyrimido[1,2-c]pyrimidines V (n = 2,3). After alkylation of 1,3-thiazines I, highly reactive 1,3-thiazinium salts 8 can be isolated.

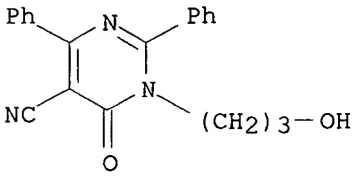
IT **159851-77-1P 159851-78-2P 159851-82-8P 159851-83-9P 159851-84-0P 159851-85-1P 159851-94-2P 159851-95-3P 159851-96-4P 159851-97-5P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(Synthesis of N-substituted oxo- and thioxopyrimidines from 1,2,4-dithiazolium salts)

RN 159851-77-1 HCAPLUS

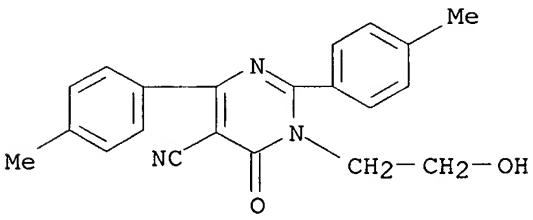
CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-1-(2-hydroxyethyl)-6-oxo-2,4-diphenyl- (9CI) (CA INDEX NAME)



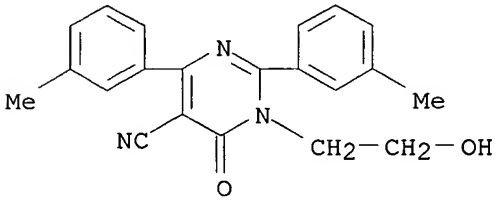
RN 159851-78-2 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-1-(3-hydroxypropyl)-6-oxo-2,4-diphenyl- (9CI) (CA INDEX NAME)



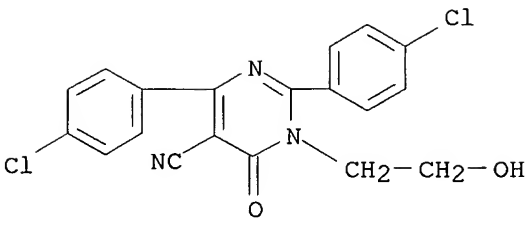
RN 159851-82-8 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-1-(2-hydroxyethyl)-2,4-bis(4-methylphenyl)-6-oxo- (9CI) (CA INDEX NAME)



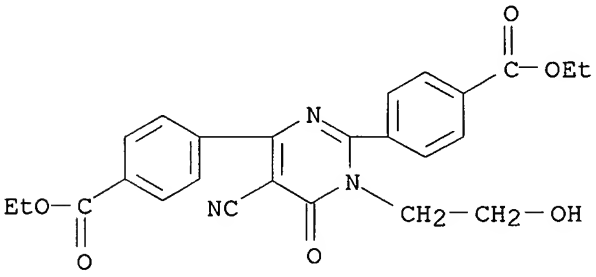
RN 159851-83-9 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-1-(2-hydroxyethyl)-2,4-bis(3-methylphenyl)-6-oxo- (9CI) (CA INDEX NAME)



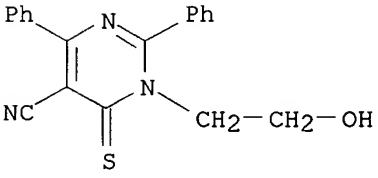
RN 159851-84-0 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 2,4-bis(4-chlorophenyl)-1,6-dihydro-1-(2-hydroxyethyl)-6-oxo- (9CI) (CA INDEX NAME)



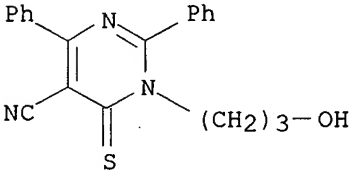
RN 159851-85-1 HCAPLUS
CN Benzoic acid, 4,4'-(5-cyano-1,6-dihydro-1-(2-hydroxyethyl)-6-oxo-2,4-pyrimidinediyl)bis-, diethyl ester (9CI) (CA INDEX NAME)



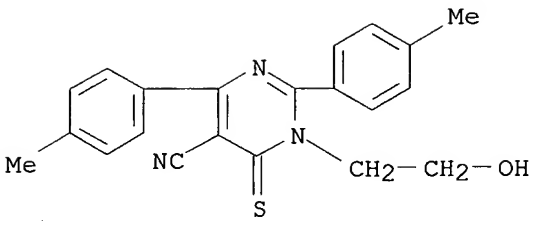
RN 159851-94-2 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-1-(2-hydroxyethyl)-2,4-diphenyl-6-thioxo- (9CI) (CA INDEX NAME)



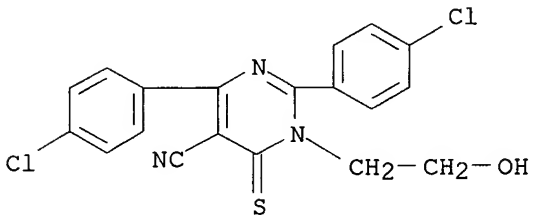
RN 159851-95-3 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-1-(3-hydroxypropyl)-2,4-diphenyl-6-thioxo- (9CI) (CA INDEX NAME)



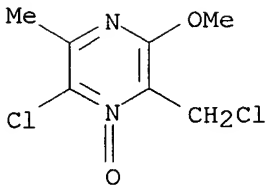
RN 159851-96-4 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-1-(2-hydroxyethyl)-2,4-bis(4-methylphenyl)-6-thioxo- (9CI) (CA INDEX NAME)



RN 159851-97-5 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 2,4-bis(4-chlorophenyl)-1,6-dihydro-1-(2-hydroxyethyl)-6-thioxo- (9CI) (CA INDEX NAME)



L72 ANSWER 26 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1995:10090 HCAPLUS
DN 122:80947
TI First total synthesis of astechrome: novel hydroxamic acid with an indole-pyrazine skeleton
AU Jing, Hao; Shimada, Atsuko; Maeda, Atsushi; Arai, Yasuyo; Goto, Mikiko; Aoyagi, Yutaka; Ohta, Akihiro
CS Tokyo Coll. Pharm., Hachioji, 192-03, Japan
SO Chemical & Pharmaceutical Bulletin (**1994**), 42(2), 277-9
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
AB Astechrome (I, R2 = bond), isolated from Aspergillus terreus IFO 6123 and 8835, was synthesized. Indolylmagnesium bromide II was coupled with a chloromethylpyrazine to give 2-chloro-5-methoxy-3-methyl-6-[7-(3-methyl-2-butenyl)indol-3-yl]methylpyrazine 1-oxide III, which was converted to the Fe salt I (R = H) of a hydroxamic acid deriv. Oxidn. of I (R = H) with Co(salen) (salen = N,N'-bis(salicylidene)ethylenediamine) afforded I (R2 = bond).
IT **151258-74-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling of, with butenylindole)
RN 151258-74-1 HCAPLUS
CN Pyrazine, 2-chloro-6-(chloromethyl)-5-methoxy-3-methyl-, 1-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 27 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1994:680658 HCAPLUS
DN 121:280658
TI 2-arylpyrimidines and herbicidal use thereof
IN Tice, Colin Michael
PA Rohm and Haas Co., USA
SO Eur. Pat. Appl., 50 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 579424	A1	19940119	EP 1993-305207	19930702	<--
	EP 579424	B1	19961023			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
	US 5300477	A	19940405	US 1993-62802	19930520	<--
	JP 06087835	A2	19940329	JP 1993-155529	19930625	<--
	EP 696588	A1	19960214	EP 1995-117397	19930702	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
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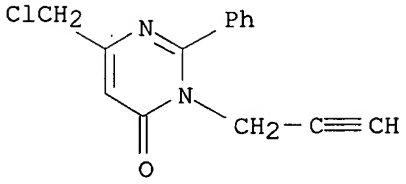
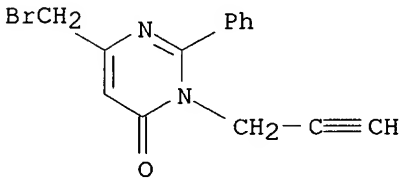
	BR 9302897	A	19940216	BR 1993-2897	19930716	<--
	CN 1081440	A	19940202	CN 1993-108542	19930717	<--
	US 5378678	A	19950103	US 1993-128326	19930928	<--
	US 5451565	A	19950919	US 1994-306866	19940915	<--
PRAI	US 1992-916247	A	19920717			
	US 1992-916780	A	19920717			
	US 1993-62802	A	19930520			
	EP 1993-305207	A3	19930702			
	US 1993-128326	A3	19930928			

OS MARPAT 121:280658
AB Herbicidal 2-arylpyrimidines I wherein R2 is an optionally substituted arom. ring; R3 is a satd. or unsatd. alkyl group; R5 is selected from hydrogen, halo, alkyl, alkenyl, alkynyl, alkoxy, and alkylthio; R6 is selected from hydrogen, halo, alkyl, haloalkyl, aryl, and alkoxy; or R5 and R6 are joined together to form a ring; and X is oxygen or sulfur were prepd. Thus, propargylation of 6-ethyl-5-methyl-2-phenyl-4(3H)-pyrimidinone with propargyl bromide in MeOH/MeONa gave 6-ethyl-5-methyl-2-phenyl-3-propargyl-4(3H)-pyrimidinone. Extensive data were given for the control of 14 weeds (crabgrass, foxtail, morning glory, etc.) in up to 100% at 1-4 lb/acre and 1200 g/ha.
IT **158713-97-4P 158713-98-5P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide)
RN 158713-97-4 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-(chloromethyl)-2-phenyl-3-(2-propynyl)- (9CI) (CA INDEX NAME)



RN 158713-98-5 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(bromomethyl)-2-phenyl-3-(2-propynyl)- (9CI) (CA INDEX NAME)



L72 ANSWER 28 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1994:630792 HCAPLUS
DN 121:230792
TI Preparation of 1,2-dihydropyrazin-2-one derivatives as superoxide inhibitors and having antiproteinuria effect against Masugi nephritis
IN Tone, Hitoshi; Tamura, Katsumi; Sato, Hideaki; Morisue, Masatoshi; Myazaki, Toshiki; Nakano, Yoshimasa
PA Otsuka Pharma Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 37 pp.
CODEN: JKXXAF
DT **Patent**
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 06135946	A2	19940517	JP 1992-333428	19921030 <--

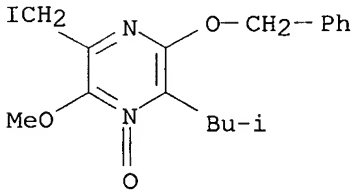
OS MARPAT 121:230792
AB The title compds. [I; R1 = lower alkoxy; B = N(O), N; R3 = lower alkyl; A = lower alkylene; R2 = 5- to 13-membered ring (un)satd. mono-, di-, or tricyclic heterocyclyl having 1-4 N atoms and optionally substituted with oxo group, XR4, NH2, NHCOR6; X = O, S, SO SO2; R4 = Ph optionally having a substituent selected from OH, phenyl-lower alkoxy, or halo, 5- to 10-membered ring unsatd. heterocyclyl contg. 1-3 atoms selected from N, O, and S and optionally substituted with lower alkyl or Ph; R6 = lower alkyl, Ph optionally having lower alkyl which may be substituted with 1-3 halogen atoms, phenyl-lower alkenyl optionally having lower alkoxy group on the Ph ring] are prepd. These pyrazine derivs. I are useful for the treatment or prevention of superoxide (O2-)-related diseases such as autoimmune diseases (e.g. rheumatism), arteriosclerosis, ischemic heart disease or brain disorder, liver or kidney failure, and nephritis. Thus, 0.15 g NaOMe was added to a soln. of 0.20 g 3-mercapto-1,2,4-triazole and 0.44 g dihydropyrazinone oxide [II; R2A = BrCH2] in anhyd. MeOH and the resultant

mixt. was stirred at room temp. for 13 h followed filtration of pptd. crystals and recrystn. from MeOH to give 0.34 g title compd. II(R2A = Q). II showed IC50 of <0.3 .times. 10-5 g/mL for inhibiting the prodn. of H2O2 in rat neutrophil leukocyte of abdominal cavity. II (R2A = benzothiazol-2-ylsulfonyl) inhibited the mineral oil-stimulated prodn. of macrophage in guinea pig abdominal cavity with IC50 of 0.3 .times. 10-5 g/mL. A tablet formulation contg. II (R2A = Q1) was given.

IT **131828-33-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with potassium phthalimide)

RN 131828-33-6 HCAPLUS

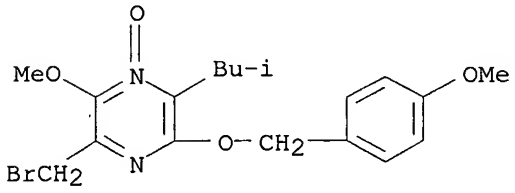
CN Pyrazine, 2-(iodomethyl)-3-methoxy-5-(2-methylpropyl)-6-(phenylmethoxy)-, 4-oxide (9CI) (CA INDEX NAME)



IT **158315-44-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(thioetherification of, with chlorothiophenol)

RN 158315-44-7 HCAPLUS

CN Pyrazine, 2-(bromomethyl)-3-methoxy-6-[(4-methoxyphenyl)methoxy]-5-(2- methylpropyl)-, 4-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 29 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1994:483857 HCAPLUS

DN 121:83857

TI Synthesis of bicyclic N4-oxycytidine derivatives

AU Loakes, D.; Brown, D. M.

CS Med. Res. Council, Lab. Mol. Biol., CB2 2QH, UK

SO Nucleosides & Nucleotides (**1994**), 13(1-3), 679-88
CODEN: NUNUD5; ISSN: 0732-8311

DT Journal

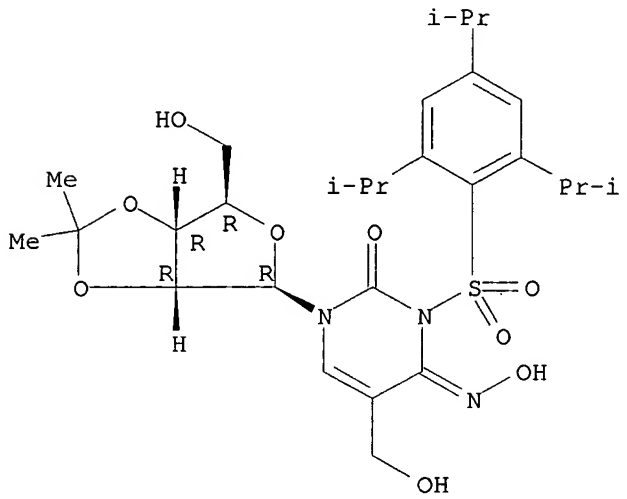
LA English

AB Ribofuranosyl pyrimidooxazolone I, a fixed anti-conformer of N4-alkoxycytidines was synthesized to investigate its hydrogen-bonding potential.

IT **156214-53-8P 156214-57-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

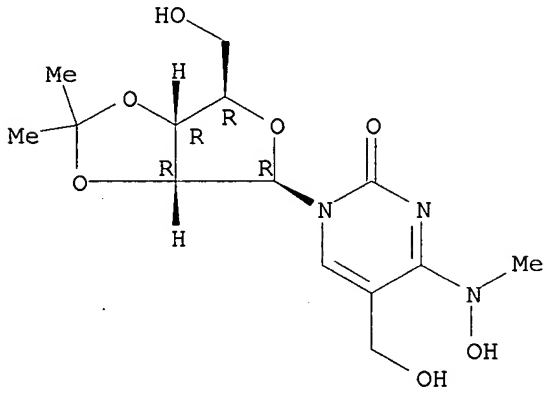
RN 156214-53-8 HCAPLUS
CN Uridine, 5-(hydroxymethyl)-2',3'-O-(1-methylethylidene)-3-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]-, 4-oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



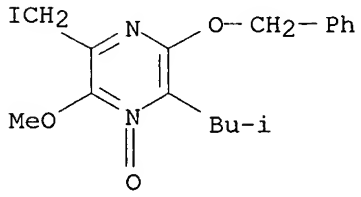
RN 156214-57-2 HCAPLUS
CN Cytidine, N-hydroxy-5-(hydroxymethyl)-N-methyl-2',3'-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L72 ANSWER 30 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1994:323453 HCAPLUS
DN 120:323453
TI The first total synthesis of OPC-15161, a novel inhibitor of superoxide generation
AU Ito, Y.; Sato, H.; Murakami, M.
CS Fac. Eng., Kyoto Univ., Japan
SO Tennen Yuki Kagobutsu Toronkai Koen Yoshishu (**1992**), 34th, 687-693

CODEN: TYKYDS
DT Journal
LA Japanese
AB The first total synthesis of OPC-15161 (I), a novel inhibitor of superoxide generation by guinea pig macrophages, is presented. The synthetic strategy the authors employed exploits the coupling of the fully functionalized pyrazine part with the indolyl group. The framework of the pyrazine moiety was assembled from 2-hydroxyimino-4-methylpentanoic acid and Et aminocyanoacetate. Condensation of these compds. using DCC and the following intramol. cyclization between the oxime and cyano groups afforded pyrazinone N-oxide (II). O-Benzylation followed by redn. of the ethoxycarbonyl group by DIBAL gave the amino pyrazine alc. (III; R = OH, X = NH2). The aryl chloride III (R = OH, X = Cl) was obtained by the direct substitutive deamination using isoamyl nitrite and CuCl-CuCl2. After protection of the primary hydroxyl group as tetrahydropyranyl ether, the methoxy group was introduced at the 5-position by treatment of 2,5-dibenzyloxypyrazine 4-oxide III (R = OTHP, X = OCH2Ph) with methoxide. The methoxy compd. III (R = OTHP, X = OMe), which has been fully functionalized, was converted to benzylic iodide III (R = iodo, X = OMe) (III-a) through deprotection, mesylation, and iodination to facilitate a coupling with the indolyl group. The functionalized pyrazine III-a was efficiently coupled with the zinc salt of indole to produce IV which upon catalytic hydrogenolysis afforded OPC-15161. The first convergent synthesis established the versatile and flexible synthetic pathway for the prepn. of OPC-15161. Hence, this strategy will for the first time allow practical and efficient access to OPC-15161 analogs offering the opportunity for evaluating their inhibitory activity against superoxide anion generation.
IT **131828-33-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for OPC-15161 superoxide generation inhibitor)
RN 131828-33-6 HCAPLUS
CN Pyrazine, 2-(iodomethyl)-3-methoxy-5-(2-methylpropyl)-6-(phenylmethoxy)-, 4-oxide (9CI) (CA INDEX NAME)

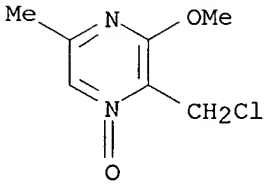


L72 ANSWER 31 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1993:670872 HCAPLUS
DN 119:270872
TI Approach to the synthesis of astechrome
AU Jing, Hao; Aoyagi, Yutaka; Ohta, Akihiro
CS Tokyo Coll. Pharm., Hachioji, 192-03, Japan
SO Heterocycles (**1993**), 35(2), 1279-87
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
AB The coupling reaction between 2-chloro-6-chloromethyl-5-methoxy-3-

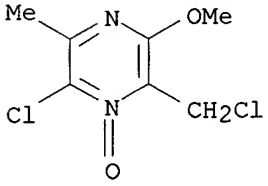
methylpyrazine 1-oxide and indolylmagnesium bromide gave 2-chloro-6-(indol-3-yl)methyl-5-methoxy-3-methylpyrazine 1-oxide, which was converted to a hydroxamic acid deriv. via an indoline. The synthesis of 2-hydroxy-6-(indol-3-yl)methyl-5-methoxy-3-methylpyrazine 1-oxide, constituting the skeleton of astechrome, was accomplished from the Fe salt of the corresponding indolinehydroxamic acid deriv. by oxidn. with [Co(Salen)] (H2salen = bis(salicylidene)ethylenediamine).

IT **151258-73-0P**, 2-Chloromethyl-3-methoxy-5-methylpyrazine oxide
151258-74-1P, 2-Chloro-6-chloromethyl-5-methoxy-3-methylpyrazine oxide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and coupling reaction of, with indolylmagnesium bromide)

RN 151258-73-0 HCAPLUS
CN Pyrazine, 2-(chloromethyl)-3-methoxy-5-methyl-, 1-oxide (9CI) (CA INDEX NAME)



RN 151258-74-1 HCAPLUS
CN Pyrazine, 2-chloro-6-(chloromethyl)-5-methoxy-3-methyl-, 1-oxide (9CI) (CA INDEX NAME)



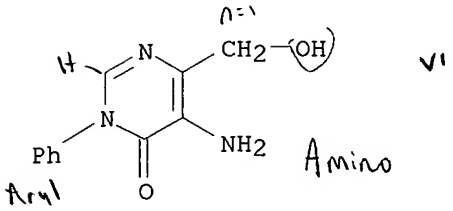
L72 ANSWER 32 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1993:649879 HCAPLUS
DN 119:249879
TI Synthesis of imidazoles by the oxidative transformation of 5-aminopyrimidinones
AU Matsuura, Izumi; Ueda, Taisei; Murkami, Nobutoshi; Nagai, Shinichi; Nagatsu, Akito; Sakakibara, Jinsaku
CS Fac. Pharm. Sci., Nagoya City Univ., Nagoya, 467, Japan
SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (**1993**), (8), 965-8
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English
OS CASREACT 119:249879
AB 2-Alkoxy-1H-imidazoles (e.g., I; R = alkyl) were synthesized from 5-aminopyrimidin-4(3H)-ones (e.g., II) by treatment with oxidative metal

salts (CuII, TlIII, FeIII, PbIV) in alcs. ROH. Oxidative transformation of 5-aminouracils III (R1 = Me, R2 = Me, Ph; R1 = Ph, R2 = Me) by thallium(III) nitrate trihydrate in methanol gave gem-diols [5,5-dihydroxy-6-methoxy-6-methyl-5,6-dihydropyrimidine-2,4(1H,3H)-diones], which were rearranged to imidazolones IV.

IT **151196-68-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and oxidative ring cleavage of)

RN 151196-68-8 HCAPLUS

CN 4(3H)-Pyrimidinone, 5-amino-6-(hydroxymethyl)-3-phenyl- (9CI) (CA INDEX NAME)



L72 ANSWER 33 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1993:603234 HCAPLUS

DN 119:203234

TI Preparation of (heterocyclylthio)desacetyloxycephalosporinates as antibiotics

IN Angehrn, Peter; Furlenmeier, Andre; Hebeisen, Paul; Hofheinz, Werner; Link, Helmut

PA Hoffmann-La Roche, F., AG, Switz.

SO Eur. Pat. Appl., 70 pp.
CODEN: EPXXDW

DT **Patent**

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 544166	A2	19930602	EP 1992-119508	19921114 <--
	EP 544166	A3	19931103		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	ZA 9208961	A	19930526	ZA 1992-8961	19921119 <--
	CA 2083345	AA	19930527	CA 1992-2083345	19921119 <--
	AU 9228566	A1	19930527	AU 1992-28566	19921120 <--
	AU 659513	B2	19950518		
	US 5438052	A	19950801	US 1992-979519	19921120 <--
	HU 62903	A2	19930628	HU 1992-3665	19921123 <--
	NO 9204554	A	19930527	NO 1992-4554	19921125 <--
	BR 9204541	A	19930601	BR 1992-4541	19921125 <--
	CN 1072684	A	19930602	CN 1992-113684	19921125 <--
	JP 05255344	A2	19931005	JP 1992-337816	19921126 <--
	JP 07088390	B4	19950927		
PRAI	CH 1991-3463		19911126		
	CH 1991-3464		19911126		
	CH 1992-2787		19920904		

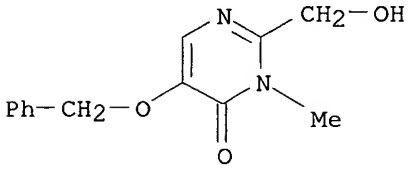
OS MARPAT 119:203234

AB Title compds. [I; R = thiazolyloximinoacetyl group Q1; A = N, CH; X2 = NOXZ1; P = (substituted N-contg. heterocyclyene; Q = alkylene, phenylene,

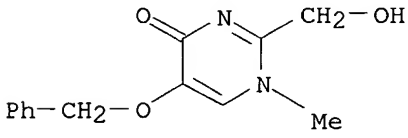
SO0-2, CO, etc.; X = alkylene, phenylene, etc.; Y = O, OCH2, SO0-2, etc.; Z1, Z2 = (substituted) (hetero)arom.; m, n, p = 0 or 1] were prepd. Thus, 2-chloromethyl-5-methyl-s-triazolo[1,5-a]pyrimidin-7-ol was condensed with Li 2,2-diphenyl-1,3-benzodioxole-5-sulfinate (prepn. given) and the sulfurated product condensed with 7-aminocephalosporanic acid to give title compd. II (R = H) which was condensed with 2-(2-amino-4-thiazolyl)thioglyoxylic acid S-(2-benzothiazolyl) ester to give II (R = Q1, A = CH) (III; X2 = O). The latter was condensed with H2NOCMe2CONHNHCOC6H4(OH)2-3,4 to give III Na salt [X2 = NOCMe2CONHNHCOC6H4(OH)2-3,4] which had ED50 of <0.5 and <0.01 mg/kg s.c. against Escherichia coli 25922 and Pseudomonas aeruginosa BA infections, resp., in mice.

IT **150191-46-1P 150191-47-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of antibiotic)

RN 150191-46-1 HCAPLUS
CN 4(3H)-Pyrimidinone, 2-(hydroxymethyl)-3-methyl-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 150191-47-2 HCAPLUS
CN 4(1H)-Pyrimidinone, 2-(hydroxymethyl)-1-methyl-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L72 ANSWER 34 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1993:496087 HCAPLUS
DN 119:96087
TI Studies on the synthesis of the 2-desamino and 2-desamino-2-methyl analogs of aminopterin intermediate at pteridine-C7 side chain
AU Yu, Euy Kyung; Ryu, Seoung Ryuall
CS Dep. Chem., Se-jong Univ., Seoul, 133-150, S. Korea
SO Journal of the Korean Chemical Society (**1993**), 37(1), 131-5
CODEN: JKCSEZ; ISSN: 1017-2548
DT Journal
LA Korean
OS CASREACT 119:96087
AB Title aminopterin intermediates I (R = H, Me, NH2) were prepd. from pyrazine II. Thus, dithiobisbenzoate III was reduced by NaBH4 and then treated with II to give pyrazine IV. The cyclization of IV with RC(:NH)NH2.HCl (R = H, Me, NH2) in the presence of NaOEt followed by basic

hydrolysis gave I (R = H, Me, NH2).

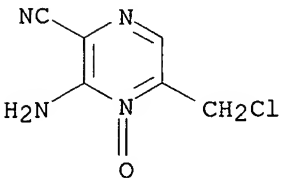
IT **149097-29-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 149097-29-0 HCAPLUS

CN Pyrazinecarbonitrile, 3-amino-5-(chloromethyl)-, 4-oxide, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

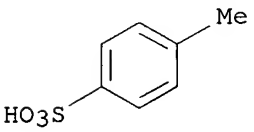
CM 1

CRN 58091-59-1
CMF C6 H5 Cl N4 O



CM 2

CRN 104-15-4
CMF C7 H8 O3 S



L72 ANSWER 35 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1993:472498 HCAPLUS

DN 119:72498

TI Preparation of 1-alkyl-4-(arylmethyl)piperidines and their pharmaceutical formulations as inhibitors of 5-HT reuptake

IN Damour, Dominique; Labaudiniere, Richard; Malleron, Jean Luc; Mignani, Serge

PA Rhone-Poulenc Rorer SA, Fr.

SO Fr. Demande, 43 pp.
CODEN: FRXXBL

DT **Patent**

LA French

FAN.CNT 1

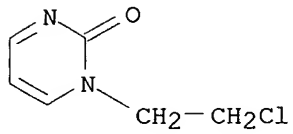
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2675801	A1	19921030	FR 1991-5048	19910424 <--

OS MARPAT 119:72498

AB Title piperidines I [R1 = OH, (un)substituted Ph, heterocyclyl, R4SO2NR5 (R4 = Ph, quinolyl, R5 = H, alkyl), or N(CO2R8)NHCO2R8 (R8 = alkyl); R2 = CH2, CH2CH2, NH, N-alkylimino; R3 = H, halo; R4 = Ph, quinolyl; n = 1-3; partial bond represents single or double C-C bond, where for R2 = NH, it

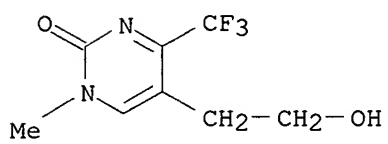
is a double bond, and for R2 = CH2CH2, it a single bond] are prepd. by condensation of an appropriate alkyl halide R1(CH2)nX with 4-(arylmethyl)piperidine. The prepn. of racemates and enantiomers of compds. I contg. at least one chiral center, and their salts with mineral or org. acids, are claimed. Formulations of I for medical use are given (3 examples). The compds. exhibit inhibitory activity of 5-HT recapture.

IT **148287-06-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation of, with (arylmethyl)piperidine)
RN 148287-06-3 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-chloroethyl)- (9CI) (CA INDEX NAME)



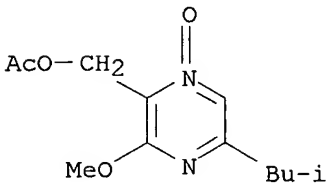
L72 ANSWER 36 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1993:449328 HCAPLUS
DN 119:49328
TI Use of two-dimensional nuclear Overhauser effect spectroscopy (2-D NOESY) for assignment of protons of isomers of N-methyl-4-trihalomethyl-2-pyrimidones
AU Blanco, Ivani; Pascholski, Iraci de L.; Zanatta, Nilo; Martins, Marcos A. P.
CS Dep. Quim., Univ. Fed. Santa Maria, Santa Maria, 97119, Brazil
SO Quimica Nova (**1993**), 16(1), 15-17
CODEN: QUNODK; ISSN: 0100-4042
DT Journal
LA Portuguese
AB 2D-NOESY has been applied to the structural detn. of the cyclocondensation products I [R = F, R1 = H, R2 = Me, CH2CH2OH, (CH2)3OH] and II (R = F, Cl, R1 = H, Me, R2 = H; R = F, R1 = H, R2 = CH2CH2OH; R = Cl, R1 = H, R2 = Me) of .beta.-alkoxyvinyl trihalomethyl ketones with methylurea. The main purpose has been to assign the correct position of the N-Me group of these compds. NOESY spectra also afforded abs. assignment of all the other protons.

IT **148398-13-4**
RL: PRP (Properties)
(mol. structure of, 2D-NOESY in relation to)
RN 148398-13-4 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-(2-hydroxyethyl)-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

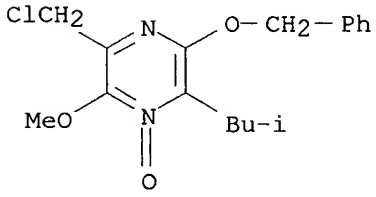


L72 ANSWER 37 OF 136 HCAPLUS COPYRIGHT 2002 ACS

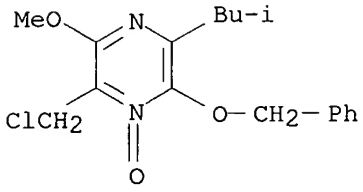
AN 1993:38882 HCAPLUS
DN 118:38882
TI Synthesis of OPC-15161
AU Jing, Hao; Murakami, Kazunori; Aoyagi, Yutaka; Ohta, Akihiro
CS Tokyo Coll. Pharm., Hachioji, 192-03, Japan
SO Heterocycles (**1992**), 34(9), 1847-56
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
AB The iodomethylpyrazine oxide intermediate I of OPC-15161 (II), an inhibitor of superoxide anion generation by guinea pig macrophages, was synthesized from 5-chloro-3-isobutyl-6-methylpyrazine in several steps.
IT **144881-78-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and acetoxylation of)
RN 144881-78-7 HCAPLUS
CN Pyrazinemethanol, 3-methoxy-5-(2-methylpropyl)-, acetate (ester), 1-oxide (9CI) (CA INDEX NAME)



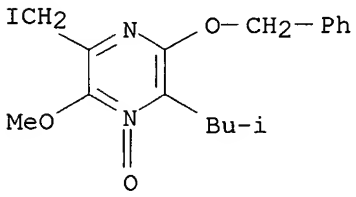
IT **144881-87-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and iodination of)
RN 144881-87-8 HCAPLUS
CN Pyrazine, 2-(chloromethyl)-3-methoxy-5-(2-methylpropyl)-6-(phenylmethoxy)-, 4-oxide (9CI) (CA INDEX NAME)



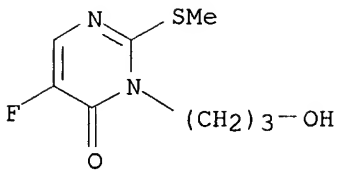
IT **144881-88-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 144881-88-9 HCAPLUS
CN Pyrazine, 2-(chloromethyl)-3-methoxy-5-(2-methylpropyl)-6-(phenylmethoxy)-, 1-oxide (9CI) (CA INDEX NAME)



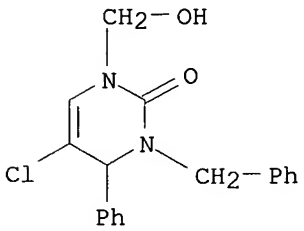
IT **131828-33-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as OPC-15161 intermediate)
RN 131828-33-6 HCAPLUS
CN Pyrazine, 2-(iodomethyl)-3-methoxy-5-(2-methylpropyl)-6-(phenylmethoxy)-, 4-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 38 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1992:651308 HCAPLUS
DN 117:251308
TI N- and O-alkylations of 5-fluoro-2-methylthiopyrimidin-4(3H)-one
AU De Melo, Sebastiao J.; Luu Duc Cuong
CS Dep. Antiobiot., Univ. Fed. Pernambuco, Recife, Brazil
SO Journal of Chemical Research, Synopses (**1992**), (8), 286-7
CODEN: JRPSDC; ISSN: 0308-2342
DT Journal
LA English
OS CASREACT 117:251308
AB 5-Fluoro-3-(3-hydroxypropyl)-2-methylthiopyrimidin-4(3H)-one [I, R = (CH2)3OH] , 5-fluoro-4-(3-hydroxypropoxy)-2-methylthiopyrimidine [II, R = (CH2)3OH], 3-benzylcarbamoylmethyl-5-fluoro-2-methylthiopyrimidin-4(3H)-one (I, R = CH2CONHCH2Ph) and 4-benzylcarbamoylmethoxy-5-fluoro-2-methylthiopyrimidine (II, R = CH2CONHCH2Ph) have been synthesized starting from the title compd. by reaction with Br(CH2)3OH or ClCH2CONHCH2Ph, resp. Novel imidazo- and thiazolopyrimidines have also been obtained.
IT **143612-39-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 143612-39-9 HCAPLUS
CN 4(3H)-Pyrimidinone, 5-fluoro-3-(3-hydroxypropyl)-2-(methylthio)- (9CI) (CA INDEX NAME)

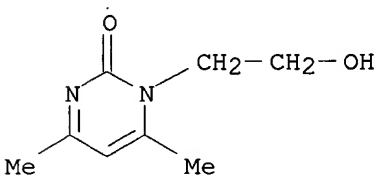


L72 ANSWER 39 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1992:612425 HCAPLUS
DN 117:212425
TI Aryl- and alkynyltriisopropoxytitanium reagents in regioselective carbon-carbon bond formation in azines
AU Gundersen, Lise Lotte; Rise, Frode; Undheim, Kjell
CS Dep. Chem., Univ. Oslo, Oslo, N-0315, Norway
SO Tetrahedron (**1992**), 48(27), 5647-56
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
OS CASREACT 117:212425
AB Regioselective arylation in the 4-position in pyridines results from 1:1 adduct formation between an aryltriisopropoxytitanium reagent and N-isobutyloxycarbonyl- or an N-silyloxymethyl-3-cyanopyridinium salts I (R = CO2CH2CHMe2, R1 = H; R = CH2OSiMe2R2, R1 = CN, R2 = thexyl) after successive DDQ dehydrogenation and cleavage of the 1-substituent. Thus, the arylation of I with PhTi(OCHMe2)3 gave 4-phenylpyridines II (R1 = H, CN). Complete regioselectivity for new C-C bond formation in the 4-position results in the adduct formation between aryl- and phenylethynyltriisopropoxytitanium reagents and pyrimidin-2(1H)-ones; with ethynyltriisopropoxytitanium the new C-C bond formation occurs at the 6-position.
IT **143806-96-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 143806-96-6 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-chloro-3,4-dihydro-1-(hydroxymethyl)-4-phenyl-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



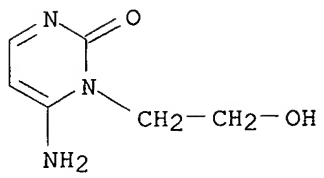
L72 ANSWER 40 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1992:521973 HCAPLUS
DN 117:121973
TI 1,2-Dihydro-N-(2-hydroxyethyl)-4,6-dimethyl-2-oxopyrimidine
AU Litvinov, I. A.; Kataev, V. E.; Lenstra, A. T. H.; Geise, H. J.
CS A. E. Arbuzov Inst. Org. Phys. Chem., Kazan, 420083, USSR

SO Acta Crystallographica, Section C: Crystal Structure Communications (**1992**), C48(7), 1286-8
CODEN: ACSCEE; ISSN: 0108-2701
DT Journal
LA English
AB The title compd. is monoclinic, space group P21, with a 5.082(1), b 11.719(1), c 7.224(1) .ANG., and .beta. 107.97(5).degree.; Z = 2, dc = 1.37; R = 0.054, Rw = 0.066 for 1030 reflections. At. coordinates are given. The pyrimidine ring is planar within 0.009(3) .ANG., and almost perpendicular to the adjacent C-C bond [torsion angle C(2)-N(1)-C(7)-C(8) -74.4(4).degree.]. The N-C-C-O moiety has the sc conformation [torsion angle -61.4(4).degree.]. The mols. do not form dimers, but infinite zigzag chains along the b axis through H bonds OH...N(3'). Since it is not an acceptor to an H bond, the C(2)=O(2) length is 1.225(5) .ANG..
IT **14716-32-6**
RL: PRP (Properties)
(crystal structure of)
RN 14716-32-6 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)



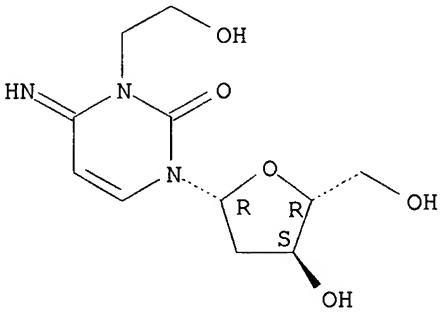
L72 ANSWER 41 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1992:506079 HCAPLUS
DN 117:106079
TI In vitro reaction of ethylene oxide with DNA and characterization of DNA adducts
AU Li, Fujun; Segal, Alvin; Solomon, Jerome J.
CS Med. Cent., New York Univ., New York, NY, 10016, USA
SO Chemico-Biological Interactions (**1992**), 83(1), 35-54
CODEN: CBINA8; ISSN: 0009-2797
DT Journal
LA English
AB In vitro reactions of ethylene oxide (EO) with calf thymus DNA in aq. soln. at neutral pH and 37.degree. for 10 h resulted in the following 2-hydroxyethyl (HE) adducts (nmol/mg DNA): 7-HE-Gua (330), 3-HE-Ade (39), 1-HE-Ade (28), N6-HE-dAdo (6.2), 3-HE-Cyt (3.1), 3-HE-Ura (0.8) and 3-HE-dThd (2.0). Ref. (marker) compds. were synthesized from reactions of EO with 2'-deoxyribonucleosides and DNA bases, isolated by paper and HPLC and characterized on the basis of chem. properties and UV, NMR and mass spectra. In agreement with earlier studies with propylene oxide (PO), alkylation at N-3 of dCyd by EO under physiol. conditions resulted in the rapid hydrolytic deamination of 3-HE-dCyd to 3-HE-dUrd. The hydroxyl group on the alkyl side chain which forms after epoxide alkylation is mechanistically involved in this rapid hydrolytic deamination. These results may provide important insights into the mechanisms of mutagenicity and carcinogenicity exhibited by EO and other SN2 aliph. epoxides.
IT **143016-75-5**
RL: FORM (Formation, nonpreparative)

(formation of, in DNA after ethylene oxide treatment)
RN 143016-75-5 HCAPLUS
CN 2(1H)-Pyrimidinone, 6-amino-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



IT **76495-79-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, from ethylene oxide, DNA adducts in relation to)
RN 76495-79-9 HCAPLUS
CN Cytidine, 2'-deoxy-3-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

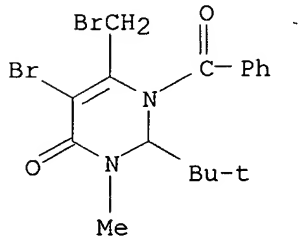
Absolute stereochemistry.



L72 ANSWER 42 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1992:447629 HCAPLUS
DN 117:47629
TI Structure and reactivity of five- and six-ring N,N-, N,O-, and O,O-acetals: a lesson in allylic 1,3-strain (A1,3 strain)
AU Seebach, Dieter; Lamatsch, Bernd; Amstutz, Rene; Beck, Albert K.; Dobler, Max; Egli, Martin; Fitzi, Robert; Gautschi, Markus; Herradon, Bernardo; et al.
CS Lab. Org. Chem., Eidg. Tech. Hochsch., Zurich, CH-8092, Switz.
SO Helvetica Chimica Acta (**1992**), 75(3), 913-34
CODEN: HCACAV; ISSN: 0018-019X
DT Journal
LA English
AB The x-ray structures of fifteen 1,3-imidazolidine, 1,3-oxazolidine, 1,3-dioxan-4-one, and hydropyrimidin-4(1H)-one derivs. are described and compared with known structures of similar compds. The differences between structures contg. exocyclic N-acyl groups and those lacking this structural element arise from the A1,3 effect of the amide moieties. Even tert-Bu groups are forced into axial positions of six-ring half-chair or flagpole positions of six-ring twist-boat conformers by this effect. In the N-acylated five-membered heterocycles, a combination of ring strain and A1,3 strain leads to strong pyramidalizations of the amide N atoms such that the acyl groups wind up on one side and the other substituents

on the opposite side of the rings. Thus, the acyl (protecting!) groups strongly contribute to the steric bias between the two faces of the rings. Obsd., at first glance surprising, stereoselectivities of reactions of these heterocycles are interpreted as an indirect consequence of the amide A1,3 strain effect. The conclusions are considered relevant for a better understanding of the ever increasing role which amide groups play in stereoselective syntheses.

IT **142337-90-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and x-ray anal. of)
RN 142337-90-4 HCAPLUS
CN 4(1H)-Pyrimidinone, 1-benzoyl-5-bromo-6-(bromomethyl)-2-(1,1-dimethylethyl)-2,3-dihydro-3-methyl- (9CI) (CA INDEX NAME)



L72 ANSWER 43 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1992:255627 HCAPLUS
DN 116:255627
TI Pyrimidine derivatives useful as angiotensin II receptor antagonists, their preparation, and pharmaceutical compositions containing them
IN Bru-Magniez, Nicole; Teulon, Jean Marie; Nicolai, Eric
PA Laboratoires UPSA S. A., Fr.
SO Eur. Pat. Appl., 97 pp.
CODEN: EPXXDW
DT **Patent**
LA French
FAN.CNT 1

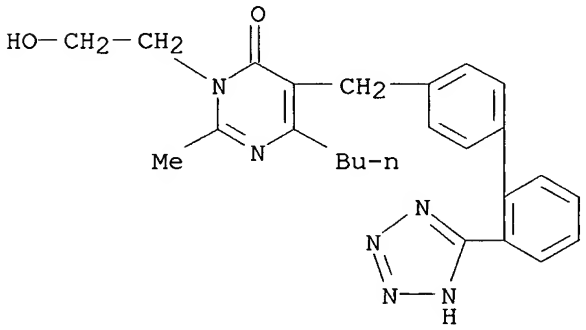
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 465323	A1	19920108	EP 1991-401773	19910628	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
	FR 2663930	A1	19920103	FR 1990-8346	19900702	<--
	FR 2663930	B1	19940225			
	FR 2669928	A1	19920605	FR 1990-14963	19901129	<--
	FR 2669928	B1	19930319			
	ZA 9104784	A	19920429	ZA 1991-4784	19910621	<--
	CA 2045327	AA	19920103	CA 1991-2045327	19910624	<--
	AU 9179491	A1	19920102	AU 1991-79491	19910701	<--
	JP 04230370	A2	19920819	JP 1991-186965	19910702	<--
PRAI	FR 1990-8346		19900702			
	FR 1990-14963		19901129			

OS MARPAT 116:255627
AB Title compds. I and II [R1 = alkyl, alkenyl; R2 = H, halo, alkyl, haloalkyl, cycloalkyl, OH, SH, NH2, OR5, SR5, NHR5, NHCOR6, aryl, heterocyclyl; R3 = H, alkyl, cycloalkyl, (CH2)nCN, (CH2)nCO2R7, (CH2)nOR7, SO3H, etc.; R3' = R3 with certain restrictions; R4 = NO2, amino, CO2H,

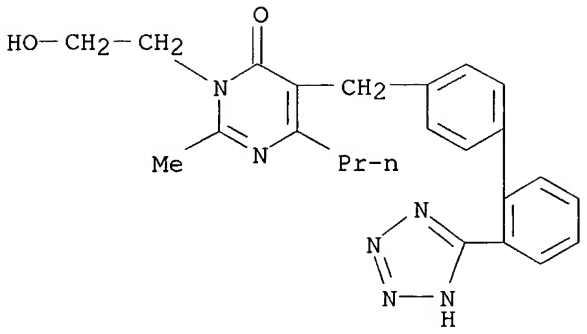
alkoxycarbonyl, certain substituted Ph and thienyl, etc.; R5 = alkyl, haloalkyl, cycloalkyl; R6 = R5, aryl, heterocyclyl, etc.; R7 = H, alkyl; X = bond, O, S, NH, halo; n = 0-5] were prepd. as agents for treatment of arterial hypertension and cardiac insufficiency. For example, cyclization of Et 2-(4-nitrobenzyl)-3-oxohexanoate (prepn. given) with acetamidine-HCl in EtOH contg. EtONa gave 6-propyl-2-methyl-4-hydroxy-5-(4-nitrobenzyl)pyrimidine, which underwent N-alkylation by BrCH2CO2Et, hydrogenation of the nitro group, and condensation of the resulting amine with sulfobenzoic anhydride, to give II (R1 = Pr, R2 = Me, R3' = CH2CO2Et, R4 = NHCOC6H4SO3H-2) (III). In a specific binding test for displacement of [125I]-[Sar1,Tyr4,Ile8]-angiotensin II from rat suprarenal angiotensin II receptors, III at 10-7 M gave 64% displacement. Syntheses of approx. 70 I and II, and numerous precursors, are given, along with test data for 19 compds.

IT **136347-83-6P 141309-47-9P 141309-81-1P 141309-82-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiovascular agent)

RN 136347-83-6 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-butyl-3-(2-hydroxyethyl)-2-methyl-5-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

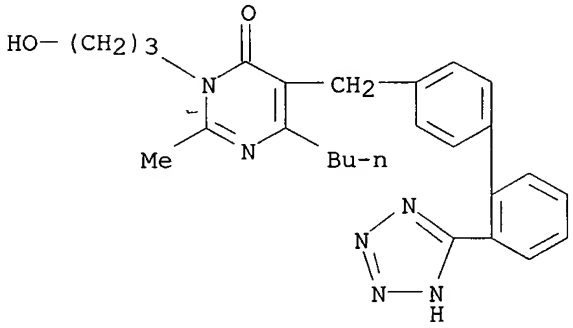


RN 141309-47-9 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(2-hydroxyethyl)-2-methyl-6-propyl-5-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

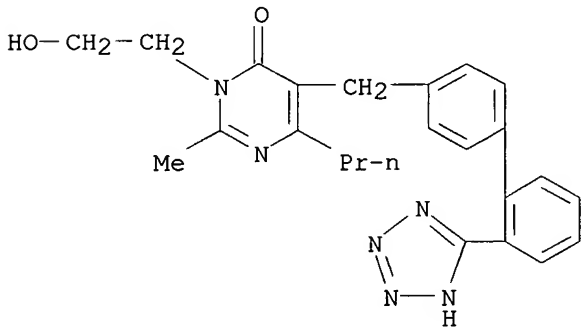


● HCl

RN 141309-81-1 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-butyl-3-(3-hydroxypropyl)-2-methyl-5-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



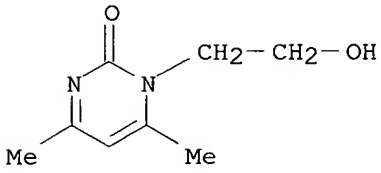
RN 141309-82-2 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(2-hydroxyethyl)-2-methyl-6-propyl-5-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



L72 ANSWER 44 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1992:207840 HCAPLUS
DN 116:207840
TI Burn treatment agent xymedon
IN Zaikonnikova, I. V.; Abdrakhmanova, N. G.; Evranova, G. B.; Miloslavskii, Ya. M.; Berlin, L. B.; Gorbunov, S. M.; Popova, L. G.; Andrushko, I. A.; Smirnova, S. V.; et al.
PA Kazakh State Medical Institute, USSR; Arbuzov, A. E., Institute of Organic and Physical Chemistry
SO U.S.S.R.
From: Otkrytiya, Izobret. 1991, (39), 27.
CODEN: URXXAF
DT **Patent**
LA Russian
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1685454	A1	19911023	SU 1977-2452993	19770214 <--

AB N-(.beta.-Hydroxyethyl)-4,6-dimethyldihydropyrimidin-2-one (xymedon) is used as an antiburn agent.
IT **14716-32-6**
RL: BIOL (Biological study)
(burn treatment with)
RN 14716-32-6 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)

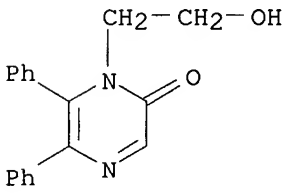


L72 ANSWER 45 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1992:117763 HCAPLUS
DN 116:117763
TI Structure of photostable 1-(hydroxyalkyl)-2(1H)-pyrazinones
AU Mori, Yukie; Hayakawa, Atsuko; Maeda, Koko
CS Fac. Sci., Ochanomizu Univ., Tokyo, 112, Japan
SO Acta Crystallographica, Section C: Crystal Structure Communications (**1992**), C48(1), 123-6
CODEN: ACSCEE; ISSN: 0108-2701
DT Journal
LA English
AB 1-(2-Hydroxyethyl)-5,6-diphenyl-2(1H)-pyrazinone (I) is orthorhombic, space group Pbca, with a 13.320(4), b 31.654(15), and c 6.991(3) .ANG.; Z = 8, dc = 1.317; R = 0.057 for 1735 reflections. 1-(3-Hydroxypropyl)-5,6-diphenyl-2(1H)-pyrazinone (II) is triclinic, space group P.hivin.1, with a 9.939(2), b 10.043(2), c 9.603(3) .ANG., .alpha. 114.19(2), .beta. 96.89(2), and .gamma. 106.40(2).degree.; Z = 2, dc = 1.259; R = 0.054 for 2619 reflections. At. coordinates are given. In both crystals, neighboring pyrazine rings lie apart from each other, which accounts for the observation that these pyrazinones do not undergo solid-state photodimerization. Crystals of I show a characteristic dimeric structure

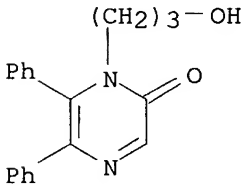
in which 2 intermol. H bonds between the hydroxyl and the carbonyl groups connect two mols. related by an inversion center, while in II one intermol. H bond between the hydroxyl group and N(4) of the neighbor constructs a chain-like structure along the a axis.

IT **139459-71-5**, 1-(2-Hydroxyethyl)-5,6-diphenyl-2(1H)-pyrazinone
139459-72-6, 1-(3-Hydroxypropyl)-5,6-diphenyl-2(1H)-pyrazinone
RL: PRP (Properties)
(crystal structure of)

RN 139459-71-5 HCAPLUS
CN 2(1H)-Pyrazinone, 1-(2-hydroxyethyl)-5,6-diphenyl- (9CI) (CA INDEX NAME)



RN 139459-72-6 HCAPLUS
CN 2(1H)-Pyrazinone, 1-(3-hydroxypropyl)-5,6-diphenyl- (9CI) (CA INDEX NAME)



L72 ANSWER 46 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1992:21073 HCAPLUS
DN 116:21073
TI Preparation of 3-(3-indolylmethyl)piperazine derivatives as superoxide radical inhibitors for prevention and treatment of nephritis
IN Tone, Hitoshi; Sato, Seiji; Sato, Hideaki; Tamura, Katsumi; Tamada, Shigeharu
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 509 pp.
CODEN: PIXXD2
DT **Patent**
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI WO 9009380	A1	19900823	WO 1990-JP163	19900209	<--
W: KR, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE					
JP 03220188	A2	19910927	JP 1990-14551	19900123	<--
JP 2523383	B2	19960807			
JP 03099078	A2	19910424	JP 1990-21937	19900130	<--
JP 06043419	B4	19940608			
JP 03184975	A2	19910812	JP 1990-21936	19900130	<--

	JP 06043418	B4	19940608		
	JP 03173883	A2	19910729	JP 1990-31361	19900208 <--
	EP 411150	A1	19910206	EP 1990-902836	19900209 <--
	EP 411150	B1	19961127		
	R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
	ES 2097142	T3	19970401	ES 1990-902836	19900209
	CN 1049155	A	19910213	CN 1990-101286	19900310 <--
	CN 1024797	B	19940601		
	US 5238938	A	19930824	US 1992-857726	19920326 <--
PRAI	JP 1989-31579		19890210		
	JP 1989-199771		19890731		
	JP 1989-234978		19890911		
	JP 1990-14551		19900123		
	WO 1990-JP163		19900209		
	US 1990-582230		19901005		

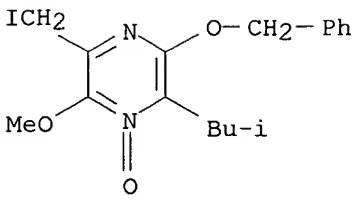
OS MARPAT 116:21073

AB The title compds. [I; R = H, cyano, phenylalkoxy, CO2H, Ph, alkoxycarbonyl, alkyl, alkoxy, OH, halo; l = 1,2; R1 = H, alkyl, phenylalkyl, alkanoyl, CO2H, alkoxycarbonyl, phenylalkoxycarbonyl, Q; A = CHOH, CH, CO, alkylene; R2 = H, alkyl, OH, alkoxy; R3 = H, oxo, halo, alkoxy, alkanoyloxy, BzO, etc.; R4 = H, alkyl, Ph, phenylalkyl optionally substituted on Ph, cycloalkyl, cycloalkylalkyl, indolylalkyl, alkenylene; R5 = H, oxo, OH, phenylalkoxy, alkoxy, alkyl; R6 = alkoxy, oxo, H, OH, halo, alkyl, (alkanoyl)amino, alkylthio, cycloalkyloxy, phenylalkoxy, etc.; Z = Q], also useful for treatment of superoxide (O2-)-related diseases, e.g. autoimmune disease such as rheumatism, arteriosclerosis, heart or brain ischemia, liver or kidney failure, are prepd. Thus, peptide coupling of N-(tert-butoxycarbonyl)phenylglycine with H-MeTrp-OMe in the presence of bis(2-oxo-3-oxazolidinyl)phosphinic chloride, Et3N, and N(CH2CH2OH)3 in CH2Cl2 gave BOC-NHCHPhCO-MeTrp-OMe (BOC = CO2CMe3) (II) which was oxidized with DDQ to the dehydro deriv. of II and then stirred with HCO2H in the presence of a few drops of concd. HCl to give (Z)-6-[(indol-3-yl)methylidene]-1-methyl-3-phenylpiperazine-2,5-dione (III). Approx. 160 I were prepd. and 30 I in vitro inhibited the release of superoxide (O2-) from guinea pigs macrophages of the peritoneal cavity with IC50 of 0.08-5.0 .times. 10-5 g/mL, whereas 25 I in vitro inhibited the (OHC-Met-Leu-Phe-OH/cytochalasin B)-stimulated release of lysosomal enzyme from rat's neutrophils with IC50 of 0.8-5.- .times. 10-5 g/mL. Tablets contg. III were prepd.

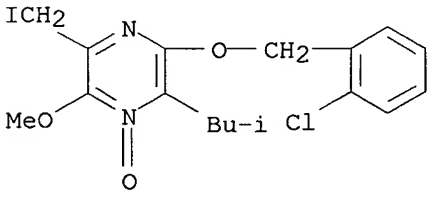
IT **131828-33-6P 131828-44-9P 131828-96-1P**
131828-97-2P 131828-98-3P 131828-99-4P
131829-09-9P 131829-10-2P 131829-11-3P
131829-12-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for (indolylmethyl)piperazine superoxide radical inhibitor drug)

RN 131828-33-6 HCAPLUS

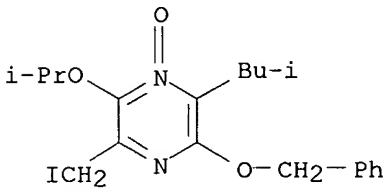
CN Pyrazine, 2-(iodomethyl)-3-methoxy-5-(2-methylpropyl)-6-(phenylmethoxy)-, 4-oxide (9CI) (CA INDEX NAME)



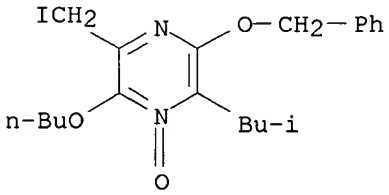
RN 131828-44-9 HCAPLUS
CN Pyrazine, 2-[(2-chlorophenyl)methoxy]-6-(iodomethyl)-5-methoxy-3-(2-methylpropyl)-, 4-oxide (9CI) (CA INDEX NAME)



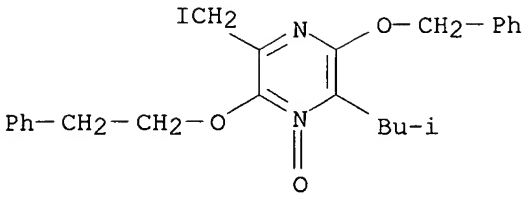
RN 131828-96-1 HCAPLUS
CN Pyrazine, 2-(iodomethyl)-3-(1-methylethoxy)-5-(2-methylpropyl)-6-(phenylmethoxy)-, 4-oxide (9CI) (CA INDEX NAME)



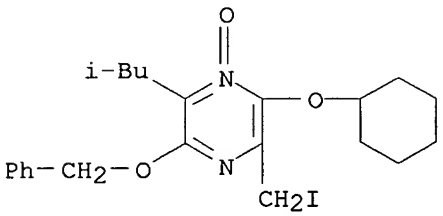
RN 131828-97-2 HCAPLUS
CN Pyrazine, 2-butoxy-3-(iodomethyl)-6-(2-methylpropyl)-5-(phenylmethoxy)-, 1-oxide (9CI) (CA INDEX NAME)



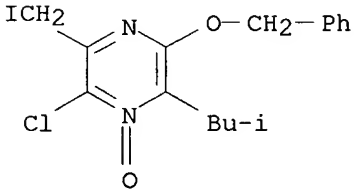
RN 131828-98-3 HCAPLUS
CN Pyrazine, 2-(iodomethyl)-5-(2-methylpropyl)-3-(2-phenylethoxy)-6-(phenylmethoxy)-, 4-oxide (9CI) (CA INDEX NAME)



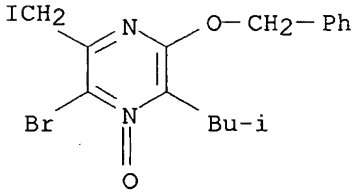
RN 131828-99-4 HCAPLUS
CN Pyrazine, 2-(cyclohexyloxy)-3-(iodomethyl)-6-(2-methylpropyl)-5-(phenylmethoxy)-, 1-oxide (9CI) (CA INDEX NAME)



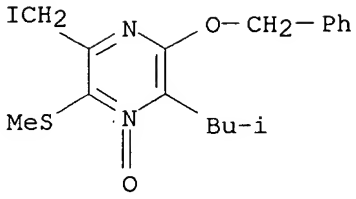
RN 131829-09-9 HCAPLUS
CN Pyrazine, 2-chloro-3-(iodomethyl)-6-(2-methylpropyl)-5-(phenylmethoxy)-, 1-oxide (9CI) (CA INDEX NAME)



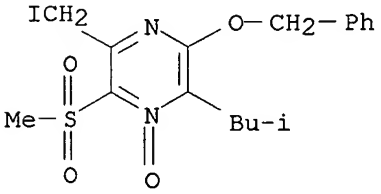
RN 131829-10-2 HCAPLUS
CN Pyrazine, 2-bromo-3-(iodomethyl)-6-(2-methylpropyl)-5-(phenylmethoxy)-, 1-oxide (9CI) (CA INDEX NAME)



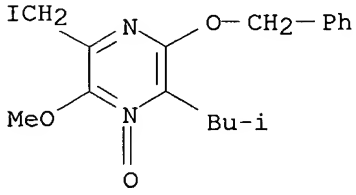
RN 131829-11-3 HCAPLUS
CN Pyrazine, 2-(iodomethyl)-5-(2-methylpropyl)-3-(methylthio)-6-(phenylmethoxy)-, 4-oxide (9CI) (CA INDEX NAME)



RN 131829-12-4 HCAPLUS
CN Pyrazine, 2-(iodomethyl)-5-(2-methylpropyl)-3-(methylsulfonyl)-6-(phenylmethoxy)-, 4-oxide (9CI) (CA INDEX NAME)



IT **131828-33-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of (indolylmethyl)piperazine drug)
RN 131828-33-6 HCAPLUS
CN Pyrazine, 2-(iodomethyl)-3-methoxy-5-(2-methylpropyl)-6-(phenylmethoxy)-, 4-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 47 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1991:559173 HCAPLUS
DN 115:159173
TI Preparation of 1,6-dihydropyrimidine-6-ones as angiotensin II antagonists
IN Herold, Peter; Buehlmayer, Peter
PA Ciba-Geigy A.-G., Switz.
SO Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DT **Patent**
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 435827	A2	19910703	EP 1990-811005	19901219 <--
	EP 435827	A3	19911113		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	FI 9006387	A	19910629	FI 1990-6387	19901221 <--

CA 2033121	AA	19910629	CA 1990-2033121	19901224	<--
NO 9005602	A	19910701	NO 1990-5602	19901227	<--
AU 9068533	A1	19910704	AU 1990-68533	19901227	<--
AU 646006	B2	19940203			
HU 56091	A2	19910729	HU 1990-8479	19901227	<--
HU 207513	B	19930428			
ZA 9010395	A	19910828	ZA 1990-10395	19901227	<--
JP 06199811	A2	19940719	JP 1990-418572	19901228	<--

PRAI CH 1989-4663 19891228

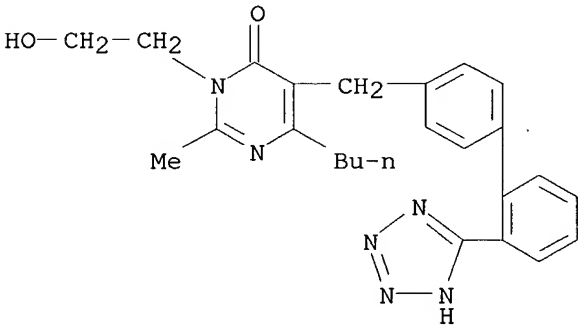
OS MARPAT 115:159173

AB Title compds. [I; one of R1, R2 = (halo- or OH-substituted) hydrocarbyl, the other = Q1; Z = alkylene, O, S, SO, SO2, imino; R3 = halo, acyl, aryl, (modified) carboxylate, SO3H, PO3H2, 5-tetrazolyl, sulfamoyl, acylamine, etc.; R4 = (O-, S-, SO-, or SO2-interrupted) (substituted) aliphatyl, aryl; R3R4 = alkylene; R5 = carboxy, haloalkylsulfonylamino, SO3H, PO3H2, PO2H2, 5-tetrazolyl; Q1 may be addnl. substituted], were prepd. Thus, 4-butyl-5-(2'-cyanobiphenyl-4-ylmethyl)-1,2-dimethyl-6-oxo-1,6-dihydropyrimidine (prepn. given), Bu3SnN3, and o-xylene were refluxed together for 24 h to give title compd. II. I antagonized angiotensin II in rats at .gtoreq.0.3 mg/kg i.v. Dosage forms contg. II were prepd.

IT **136347-83-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as angiotensin II antagonists)

RN 136347-83-6 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-butyl-3-(2-hydroxyethyl)-2-methyl-5-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



L72 ANSWER 48 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1991:471223 HCAPLUS

DN 115:71223

TI The first total synthesis of OPC-15161

AU Ito, Yoshihiko; Sato, Hideaki; Murakami, Masahiro

CS Fac. Eng., Kyoto Univ., Kyoto, 606, Japan

SO J. Org. Chem. (**1991**), 56(16), 4864-7
CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

OS CASREACT 115:71223

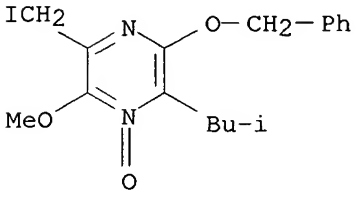
AB The first total synthesis of OPC-15161 (I), a novel inhibitor of superoxide generation by guinea pig macrophages, has been accomplished via the coupling of the fully functionalized pyrazine part with the indolyl group. 2-(Hydroxyimino)-4-methylpentanoic acid and Et aminocyanoacetate

were condensed with DCC to afford the amide, which was converted to pyrazinone N-oxide II via intramol. cyclization between the oxime and cyano groups. II was converted to the iodide III which was coupled with the zinc salt of indole, followed by catalytic hydrogenolysis to give I.

IT **131828-33-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and coupling of, with indole)

RN 131828-33-6 HCAPLUS

CN Pyrazine, 2-(iodomethyl)-3-methoxy-5-(2-methylpropyl)-6-(phenylmethoxy)-, 4-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 49 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1991:429371 HCAPLUS

DN 115:29371

TI Preparation of 1-phenyl-5-pyrimidinone derivatives as insecticides and acaricides

PA Imperial Chemical Industries PLC, UK

SO Jpn. Kokai Tokkyo Koho, 36 pp.
CODEN: JKXXAF

DT **Patent**

LA Japanese

FAN.CNT 1

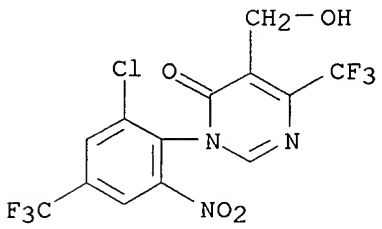
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 02306968	A2	19901220	JP 1990-97786	19900416 <--
PRAI GB 1989-9638		19890417		

OS MARPAT 115:29371

AB The title compds [I; R1, R2 = H, halo, haloalkyl, alkoxy, NO2; excluding R1 = R2 = NO2; R3, R4 = H, halo, (cyclo)alkyl; R5 = halo, NO2, haloalkyl, haloalkoxy, S(O)nR10; R6 = halo, NO2, haloalkyl, haloalkoxy, S(O)nR10; R7 = H, halo, (hydroxy)alkyl, cyano, NO2, alkoxy, S(O)nR10, CHO, haloalkyl, (substituted) amino; R8 = H, halo, (substituted) amino, (cyclo)alkyl, S(O)nR10; R9 = O, S; R10 = (cyclo)alkyl, haloalkyl; n = 0-2], are prepd., e.g., by 1) condensation of benzene derivs. (II; R = leaving group) with pyrimidinone derivs. (III); 2) cyclocondensation of II [R = NHC(:R9)CHR7:CR6NH2] with an acylating agent; and 3) cyclocondensation of II [R = N:C(SR11)NH2; R11 = alkyl] with R6C.tplbond.CCO2R12 (R12 = alkyl). Thus, 4-trifluoromethylpyrimidin-6-one was added dropwise to a suspension of NaH in DMF and after stirring 30 min 3,5-dichloro-4-fluoro-2-methylbenzotrifluoride was added and the resulting mixt. was stirred 16 h at 90.degree. to give a title compd. (IV). A total of 43 I were prepd. and at 500 ppm controlled up to 100% larvae of Blattella germanica, Helliothis virescens, and Spodoptera exigua and adult Musca domestica.

IT **133306-99-7P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as insecticide and acaricide)
RN 133306-99-7 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-[2-chloro-6-nitro-4-(trifluoromethyl)phenyl]-5-(hydroxymethyl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

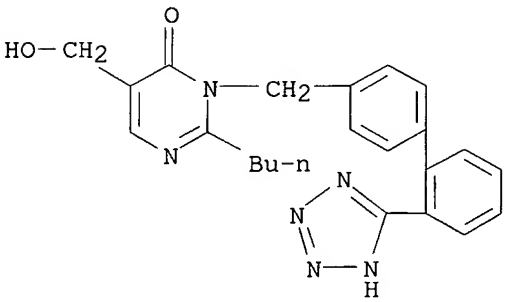


L72 ANSWER 50 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1991:247301 HCAPLUS
DN 114:247301
TI Preparation of 2-alkyl-1,6-dihydro-1-(biphenylylalkyl)-6-oxopyrimidines as angiotensin II antagonists
IN Herold, Peter; Buehlmayer, Peter
PA Ciba-Geigy A.-G., Switz.
SO Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DT **Patent**
LA German
FAN.CNT 1

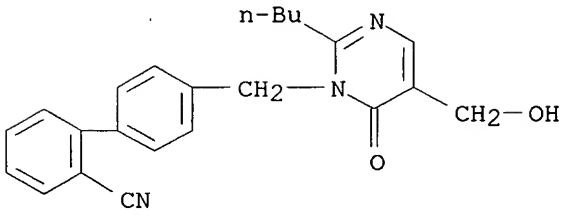
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 407342	A2	19910109	EP 1990-810482	19900627 <--
	EP 407342	A3	19910710		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	CA 2020370	AA	19910107	CA 1990-2020370	19900704 <--
	AU 9058696	A1	19910110	AU 1990-58696	19900704 <--
	AU 637617	B2	19930603		
	JP 03044377	A2	19910226	JP 1990-177673	19900706 <--
PRAI	CH 1989-2509		19890706		

OS MARPAT 114:247301
AB Title compds. [I; Z = O, S, NR; R = H, aliphatyl; R1 = (substituted) aliphatyl, cycloaliphatyl, arylaliphatyl, aryl; R2, R3 = halo, acyl, aryl, amino, (modified) carboxy; or R2 = Z1R4; R3 = Z2R5; Z1, Z2 = bond, O, S, SO, SO2; R4, R5 = H, arylaliphatyl, (substituted) (O-, S-, SO or SO2-interrupted) aliphatyl; R2R3 = (CH2)3, (CH2)4, CH:CHCH:CH, etc.; R6 = Q1; X3 = aliphatylene; R7 = CO2H, SO3H, haloalkylsulfony, PO2H2, PO3H2, 5-tetrazolyl] were prepd. Thus, 2-butyl-4-chloro-6-hydroxypyrimidine NaH, and 4-bromomethyl-2'-cyanobiphenyl were stirred 12 h in DMF and the coupling product was hydrogenated in MeOH contg. Et3N over Pd/C to give 2-butyl-1,6-dihydro-1-[(2'-cyanobiphenyl-4-yl)methyl]-6-oxopyrimidine. The latter was refluxed 24 h with Bu3SnN3 in o-xylene to give title compd. II. Tablets were prepd. contg. II. I inhibited angiotensin II-induced hypertension in rats at .gtoreq.0.3 mg/kg i.v.
IT **134075-77-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as angiotensin II antagonist)

RN 134075-77-7 HCAPLUS
CN 4(3H)-Pyrimidinone, 2-butyl-5-(hydroxymethyl)-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



IT **134076-20-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as intermediate for angiotensin II antagonist)
RN 134076-20-3 HCAPLUS
CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[2-butyl-5-(hydroxymethyl)-6-oxo-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)



L72 ANSWER 51 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1991:185532 HCAPLUS
DN 114:185532
TI Preparation of 1-phenyl-pyrimidin-6-ones as insecticides and acaricides
IN Whittle, Alan John; Perrior, Trevor Robert; Sunley, Raymond Leo
PA Imperial Chemical Industries PLC, UK
SO Eur. Pat. Appl., 44 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 396250	A1	19901107	EP 1990-303309	19900328	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
	ZA 9002324	A	19901228	ZA 1990-2324	19900326	<--
	AU 9052378	A1	19901101	AU 1990-52378	19900329	<--
	AU 632104	B2	19921217			
	US 5104878	A	19920414	US 1990-506167	19900409	<--

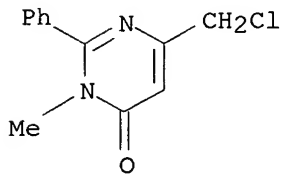
L72 ANSWER 67 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1988:21928 HCAPLUS
DN 108:21928
TI Preparation of azolylaryl(piperazinylphenoxy)dioxolanes as medical fungicides
IN Kampe, Klaus Dieter; Raether, Wolfgang; Dittmar, Walter; Haenel, Heinz
PA Hoechst A.-G., Fed. Rep. Ger.
SO Ger. Offen., 49 pp.
CODEN: GWXXBX
DT **Patent**
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	DE 3609598	A1	19871001	DE 1986-3609598	19860321	<--
	EP 237962	A2	19870923	EP 1987-103588	19870312	<--
	EP 237962	A3	19890322			
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
	FI 8701206	A	19870922	FI 1987-1206	19870319	<--
	ZA 8702021	A	19871028	ZA 1987-2021	19870319	<--
	HU 48236	A2	19890529	HU 1987-1220	19870319	<--
	US 4859670	A	19890822	US 1987-28193	19870319	<--
	DK 8701440	A	19870922	DK 1987-1440	19870320	<--
	NO 8701165	A	19870922	NO 1987-1165	19870320	<--
	AU 8770422	A1	19870924	AU 1987-70422	19870320	<--
	AU 590692	B2	19891109			
	JP 62230781	A2	19871009	JP 1987-64427	19870320	<--
	IL 81950	A1	19910630	IL 1987-81950	19870320	<--
	CA 1294280	A1	19920114	CA 1987-532655	19870320	<--
PRAI	DE 1986-3609598		19860321			

AB The title compds. [I; R1 = C1-3 alkyl, F, Cl; R2 = naphthyl, thienyl, halothienyl, (substituted) Ph; Y = (substituted) phenylpyrimidinyl, phenylpyridyl, quinolyl, isoquinolyl; A = CH, N; n = 0-2] were prepd. as medicinal fungicides. cis-2-S(R)-(2,4-Dichlorophenyl)-2-(1,2,4-triazol-lylmethyl)-4-R(S)methanesulfonyloxymethyl-1,3-dioxolane in DMF was added to a mixt. of 4-[[4-(4-hydroxyphenyl)-1-piperazinyl]methyl]-6-methoxy-2-phenylpyrimidine and NaH in DMF and the mixt. was refluxed 4 h to give 66.6% I (R1 = H, R2 = 2,4-Cl2C6H3, R3 = 6-methoxy-2-phenyl-4-pyrimidinyl, A = N). I were up to 60% more effective than terconazole against Trichophyton mentagrophytes.

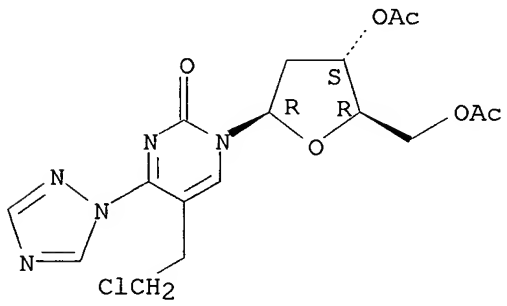
IT **111921-73-4**
RL: RCT (Reactant)
(amination of, by hydroxyphenylpiperazine)

RN 111921-73-4 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(chloromethyl)-3-methyl-2-phenyl- (9CI) (CA INDEX NAME)



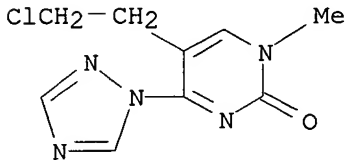
TI Synthesis and duplex stability of oligonucleotides containing cytosine-thymine analogs
AU Lin, P. Kong Thoo; Brown, Daniel M.
CS Lab. Mol. Biol., MRC, Cambridge, CB2 2QH, UK
SO Nucleic Acids Res. (**1989**), 17(24), 10373-83
CODEN: NARHAD; ISSN: 0305-1048
DT Journal
LA English
AB The synthesis of the deoxynucleoside derived from the base P, 6H,8H-3,4-dihydro-pyrimido[4,5-][1,2]oxazin-7-one, and its introduction by established phosphoramidite and H-phosphonate chem. into oligonucleotides is described. The melting transition temps. (Tm) of a range of heptadecamer duplexes contg. P/A and P/G base-pairs are compared with corresponding ones having N-methoxycytosine (M) and mismatched normal bases. P/A and P/G pairs allow closely similar duplex stabilities and have the potential to reduce the multiplicity of probes and primers based on amino acid sequences by removing the T/C degeneracy.
IT **126164-58-7P**
RL: PREP (Preparation)
(prepn. and conversion to cytosine derivs.)
RN 126164-58-7 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-(2-chloroethyl)-1-(3,5-di-O-acetyl-2-deoxy-.beta.-D-erythro-pentofuranosyl)-4-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

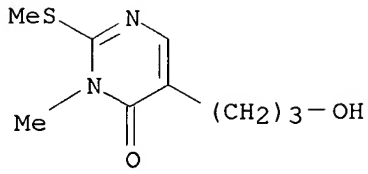


L72 ANSWER 58 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1990:55434 HCAPLUS
DN 112:55434
TI Base analogs related to N4-hydroxycytosine
AU Lin, Paul V. S. Kong Thoo; Brown, D. M.
CS Lab. Mol. Biol., Cambridge, UK
SO Nucleosides Nucleotides (**1989**), Volume Date 1988, 8(5-6), 871-4
CODEN: NUNUD5; ISSN: 0732-8311
DT Journal
LA English
OS CASREACT 112:55434
AB The oxazinopyrimidinone I (R = H) was prepd. from 5-(2-bromoethyl)uracil in 3 steps. I (R = Me, CH2Ph) were obtained from 5-(2-chloroethyl)uracil via the 4-(1,2,4-triazol-1-yl) deriv. Attempts to prep. the isoxazolopyrimidinone II failed at various hydroxymethyluracil intermediates.
IT **124928-62-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

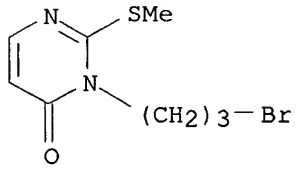
(Reactant or reagent)
(prepn. and conversion of, to chloroethyl uracil oxime)
RN 124928-62-7 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-(2-chloroethyl)-1-methyl-4-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)



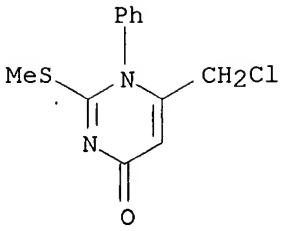
L72 ANSWER 59 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1989:496937 HCAPLUS
DN 111:96937
TI Synthesis, structure, and hypochromism of pyrimidinopurinophanes
AU Doyama, Kazuo; Higashii, Takayuki; Seyama, Fumio; Sakata, Yoshiteru; Misumi, Soichi
CS Inst. Sci. Ind. Res., Osaka Univ., Ibaraki, 567, Japan
SO Bull. Chem. Soc. Jpn. (**1988**), 61(10), 3619-27
CODEN: BCSJA8; ISSN: 0009-2673
DT Journal
LA English
OS CASREACT 111:96937
AB Seventeen pyrimidinopurinophanes in which a pyrimidine and a purine ring are fixed with different mode of stacking were prepd. The synthesis was carried out by stepwise introduction of two bridging chains. In the final ring closure reaction, two isomers, i.e., isomers bridged at the 9-position of a purine ring and those having the bridge at the 7-position of a purine ring were obtained. The structures of the isomers were detd. on the basis of 1H-NMR, IR, and UV spectra and x-ray anal. In 1H-NMR spectra the bridge protons of the pyrimidinopurinophanes show complex multiplets, in contrast to the first order splittings in singly bridged ref. compds. This shows clearly the fixation of the conformations of the present cyclic compds. at room temp. All of the pyrimidinopurinophanes show relatively large hypochromism (H) values. Even the compds. where the two base rings are not stacked in parallel, but inclined with a dihedral angle of 50.degree., show H values of 10-20%. The H values of the compds. which have similar parallel-stacking structures of the two base rings were very similar. The results are well explained by the simplified equation of P.O.P. Ts'o et al. (1970).
IT **103022-48-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)
RN 103022-48-6 HCAPLUS
CN 4(3H)-Pyrimidinone, 5-(3-hydroxypropyl)-3-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)



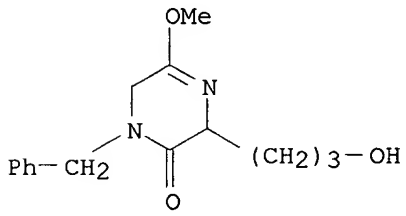
IT **122182-81-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with mercaptopurine)
RN 122182-81-4 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(3-bromopropyl)-2-(methylthio)- (9CI) (CA INDEX NAME)



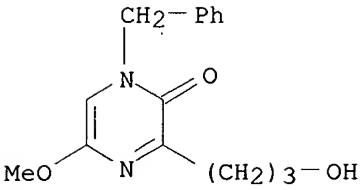
L72 ANSWER 60 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1989:231571 HCAPLUS
DN 110:231571
TI Chloromethyl substituted heterocycles from methyl chlorotetrolate
AU Janietz, D.; Goldmann, B.; Rudorf, W. D.
CS Sekt. Chem., Martin-Luther-Univ. Halle/Wittenberg, Halle/Saale, DDR-4010, Ger. Dem. Rep.
SO J. Prakt. Chem. (**1988**), 330(4), 607-16
CODEN: JPCEAO; ISSN: 0021-8383
DT Journal
LA German
OS CASREACT 110:231571
AB Reaction of ClCH2C.tplbond.CCO2Me with RNHCR1:NH (R = H, Ph, R1 = SMe; R = H, R1 = Ph, 4-O2NC6H4) gave pyrimidones I which were hydrolyzed to the uracils. Thiazinones II (R2 = H, Me, Et) were similarly prepd. from R2NHCSNH2 and were hydrolyzed to the diones. Isomeric chloromethylthiazolopyrimidinones were obtained from ClCH2C.tplbond.CCO2Me or ClCH2COCH2CO2Et and aminothiazoles. An imidazothiazinone was similarly obtained from the mercaptoimidazole.
IT **120722-66-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)
RN 120722-66-9 HCAPLUS
CN 4(1H)-Pyrimidinone, 6-(chloromethyl)-2-(methylthio)-1-phenyl- (9CI) (CA INDEX NAME)



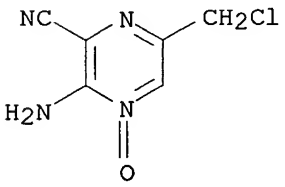
L72 ANSWER 61 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1989:8676 HCAPLUS
DN 110:8676
TI Synthetic studies on bicyclomycin and its analogs. Part 1. Synthesis of substituted 2-oxa-8,10-diazabicyclo[4.2.2]decanes
AU Dawson, Ian M.; Gregory, Julian A.; Herbert, Richard B.; Sammes, Peter G.
CS Dep. Org. Chem., Univ. Leeds, Leeds, LS2 9JT, UK
SO J. Chem. Soc., Perkin Trans. 1 (**1988**), (9), 2585-93
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English
OS CASREACT 110:8676
AB Methods have been developed for formation of the eight-membered oxygen-contg. ring system I (R = 4-MeOC6H4CH2) present in the antibiotic bicyclomycin. The procedure starts with N,N'-disubstituted piperazine-2,5-diones or the corresponding monoimino ethers. A new method is based on the oxidn. of the monoimino ethers using DDQ as the oxidant. The bisimino ethers gave pyrazines under these conditions, while the parent piperazinedione was unreactive.
IT **117856-45-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and oxidative cyclization of, with DDQ and with NBS)
RN 117856-45-8 HCAPLUS
CN 2(1H)-Pyrazinone, 3,6-dihydro-3-(3-hydroxypropyl)-5-methoxy-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



IT **117856-47-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and spirocyclization of)
RN 117856-47-0 HCAPLUS
CN 2(1H)-Pyrazinone, 3-(3-hydroxypropyl)-5-methoxy-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



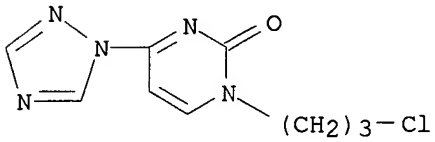
L72 ANSWER 62 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1988:630624 HCAPLUS
DN 109:230624
TI Studies on the molybdenum cofactor: model synthetic routes directed at Form B
AU Taylor, Edward C.; Sabb, Annmarie L.
CS Dep. Chem., Princeton Univ., Princeton, NJ, 08544, USA
SO J. Org. Chem. (**1988**), 53(25), 5839-47
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 109:230624
AB A general method for the conversion of available pyrazine intermediates I (R = Me, Me2CH, trans-PhCH:CH, Ph, p-MeOC6H4, 2-thienyl) to 6,7-dihydrothieno[2,3-b]pyrazines, II and then to 6,7-dihydrothieno[3,2-g]pterin III was developed as a model synthetic strategy for an approach to Form B of the molybdenum cofactor.
IT **40127-89-7**
RL: RCT (Reactant)
(chlorination of)
RN 40127-89-7 HCAPLUS
CN Pyrazinecarbonitrile, 3-amino-6-(chloromethyl)-, 4-oxide (9CI) (CA INDEX NAME)



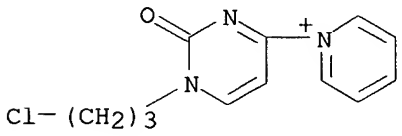
L72 ANSWER 63 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1988:610778 HCAPLUS
DN 109:210778
TI Photocycloaddition of cytosine to 5-methoxyuracil in dinucleotide model compound
AU Skalski, Bohdan; Wenska, Grazyna; Paszyc, Stefan; Stefaniak, Zdzislaw
CS Fac. Chem., A. Mickiewicz Univ., Poznan, 60-780, Pol.
SO Can. J. Chem. (**1988**), 66(5), 1027-31
CODEN: CJCHAG; ISSN: 0008-4042
DT Journal
LA English
OS CASREACT 109:210778
AB The intramol. photocycloaddn. of cytosine to 5-methoxyuracil in the

dinucleotide model compd. I (R = NH2) occurs upon irradn. with near UV light (.lambda. >300 nm) to form an unstable cyclobutane dimer. The dimer undergoes spontaneous deamination in water with a rate const. k = 9.0 .times. 10-5 s-1 and t.1./.2 = 128 min, to give the uracil deriv. I (R = OH).

IT **117365-83-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with methoxyuracil)
RN 117365-83-0 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(3-chloropropyl)-4-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)



IT **117365-82-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with triazole)
RN 117365-82-9 HCAPLUS
CN Pyridinium, 1-[1-(3-chloropropyl)-1,2-dihydro-2-oxo-4-pyrimidinyl]-, chloride (9CI) (CA INDEX NAME)



L72 ANSWER 64 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1988:167501 HCAPLUS
DN 108:167501
TI Preparation of 1-(substituted alkyl)-2(1H)-pyrazinones as cardiovascular agents
IN Yaso, Masao; Suzuki, Yukio; Shibata, Kensuke; Hayashi, Eiichi
PA Toyo Jozo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 49 pp.
CODEN: JKXXAF
DT **Patent**
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 62198671	A2	19870902	JP 1986-38210	19860225 <--
	EP 242957	A1	19871028	EP 1987-301680	19870225 <--
	EP 242957	B1	19900912		

R: CH, DE, FR, GB, IT, LI

	US 4837319	A	19890606	US 1987-20012	19870225 <--
	US 4870176	A	19890926	US 1988-260013	19881019 <--
	US 4877875	A	19891031	US 1988-259992	19881019 <--
	US 4877877	A	19891031	US 1988-260904	19881019 <--
PRAI	JP 1986-38210		19860225		
	US 1987-20012		19870225		
	JP 1987-263236		19871019		

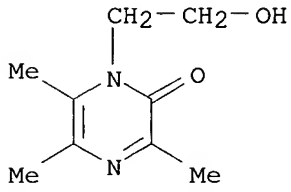
OS CASREACT 108:167501

AB The title compds. [I; R = OH, halo, alkanoyloxy, etc.; R1 = alkanoyloxy, (substituted) alkyl, (substituted) Ph; R2, R3 = alkyl; R2R3 = (CH2)4; A = alkylene], useful as blood platelet aggregation inhibitors, vasodilators, or hypolipemics, are prepd. A mixt. of 2-hydroxy-3,5,6-trimethylpyrazine, 5N NaOH, Me3COH, and ClCH2CH2OH was heated at 60.degree. for 2 h to give 79.1% I (R = OH, A = CH2CH2, R1-R3 = Me). In an in vitro study 50 .mu.M I gave 40% inhibition of blood platelet aggregation.

IT **113934-96-6P 113935-09-4P 113935-10-7P**
113935-13-0P 113935-14-1P 113935-19-6P
113935-20-9P 113935-21-0P 113935-22-1P
113935-23-2P 113935-58-3P 113935-59-4P
113935-60-7P 113935-61-8P 113935-62-9P
113935-63-0P 113935-99-2P 113936-12-2P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiovascular agent)

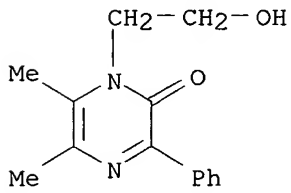
RN 113934-96-6 HCAPLUS

CN 2(1H)-Pyrazinone, 1-(2-hydroxyethyl)-3,5,6-trimethyl- (9CI) (CA INDEX NAME)



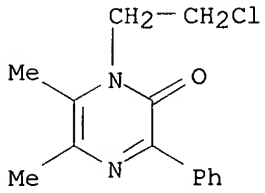
RN 113935-09-4 HCAPLUS

CN 2(1H)-Pyrazinone, 1-(2-hydroxyethyl)-5,6-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)

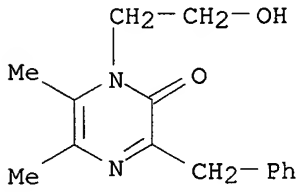


RN 113935-10-7 HCAPLUS

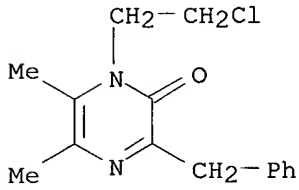
CN 2(1H)-Pyrazinone, 1-(2-chloroethyl)-5,6-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)



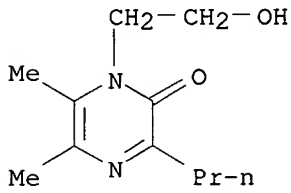
RN 113935-13-0 HCAPLUS
CN 2(1H)-Pyrazinone, 1-(2-hydroxyethyl)-5,6-dimethyl-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



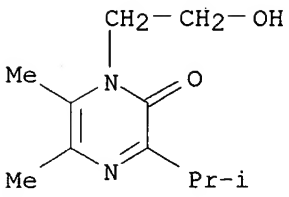
RN 113935-14-1 HCAPLUS
CN 2(1H)-Pyrazinone, 1-(2-chloroethyl)-5,6-dimethyl-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



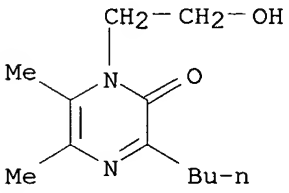
RN 113935-19-6 HCAPLUS
CN 2(1H)-Pyrazinone, 1-(2-hydroxyethyl)-5,6-dimethyl-3-propyl- (9CI) (CA INDEX NAME)



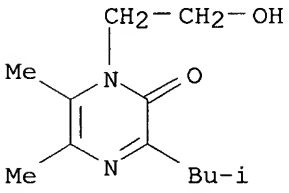
RN 113935-20-9 HCAPLUS
CN 2(1H)-Pyrazinone, 1-(2-hydroxyethyl)-5,6-dimethyl-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



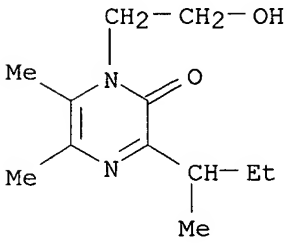
RN 113935-21-0 HCAPLUS
CN 2(1H)-Pyrazinone, 3-butyl-1-(2-hydroxyethyl)-5,6-dimethyl- (9CI) (CA INDEX NAME)



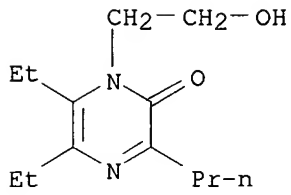
RN 113935-22-1 HCAPLUS
CN 2(1H)-Pyrazinone, 1-(2-hydroxyethyl)-5,6-dimethyl-3-(2-methylpropyl)- (9CI) (CA INDEX NAME)



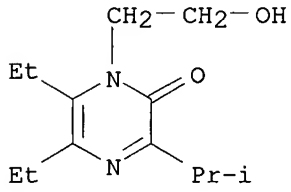
RN 113935-23-2 HCAPLUS
CN 2(1H)-Pyrazinone, 1-(2-hydroxyethyl)-5,6-dimethyl-3-(1-methylpropyl)- (9CI) (CA INDEX NAME)



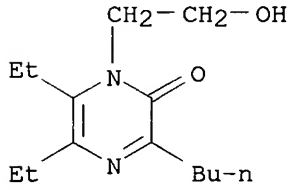
RN 113935-58-3 HCAPLUS
CN 2(1H)-Pyrazinone, 5,6-diethyl-1-(2-hydroxyethyl)-3-propyl- (9CI) (CA INDEX NAME)



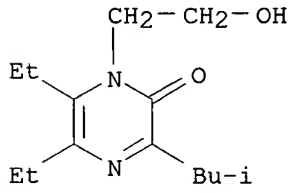
RN 113935-59-4 HCAPLUS
CN 2(1H)-Pyrazinone, 5,6-diethyl-1-(2-hydroxyethyl)-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



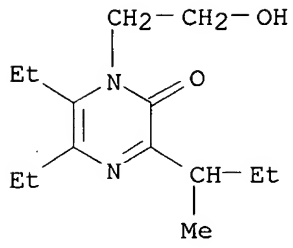
RN 113935-60-7 HCAPLUS
CN 2(1H)-Pyrazinone, 3-butyl-5,6-diethyl-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



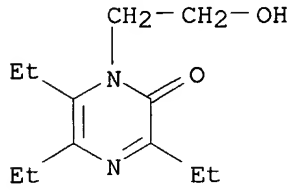
RN 113935-61-8 HCAPLUS
CN 2(1H)-Pyrazinone, 5,6-diethyl-1-(2-hydroxyethyl)-3-(2-methylpropyl)- (9CI) (CA INDEX NAME)



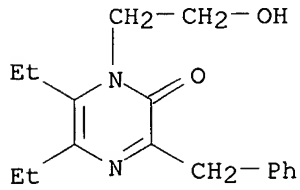
RN 113935-62-9 HCAPLUS
CN 2(1H)-Pyrazinone, 5,6-diethyl-1-(2-hydroxyethyl)-3-(1-methylpropyl)- (9CI) (CA INDEX NAME)



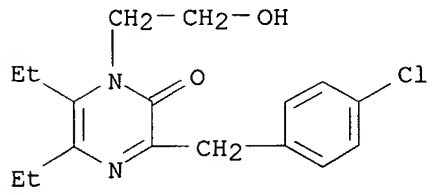
RN 113935-63-0 HCAPLUS
CN 2(1H)-Pyrazinone, 3,5,6-triethyl-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



RN 113935-99-2 HCAPLUS
CN 2(1H)-Pyrazinone, 5,6-diethyl-1-(2-hydroxyethyl)-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



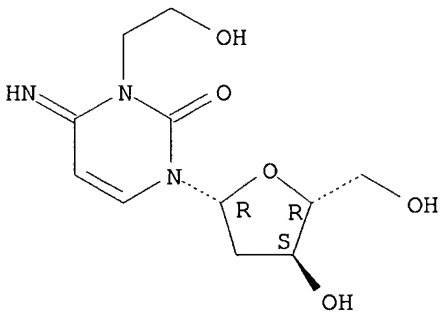
RN 113936-12-2 HCAPLUS
CN 2(1H)-Pyrazinone, 3-[(4-chlorophenyl)methyl]-5,6-diethyl-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



L72 ANSWER 65 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1988:123961 HCAPLUS
DN 108:123961
TI DNA-nitrosourea interactions. High-performance liquid chromatography of

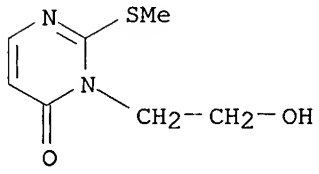
cross-linked dinucleosides and substituted deoxynucleosides
AU Maggio, A. F.; Pompon, A.; Lucas, M.; Barascut, J. L.; Imbach, J. L.
CS Lab. Chim. Bio-Organ., Univ. Sci. Tech., Montpellier, 34060, Fr.
SO J. Chromatogr. (**1988**), 436(1), 23-30
CODEN: JOCRAM; ISSN: 0021-9673
DT Journal
LA English
AB A reconstituted mixt. of 5 cross-linked dinucleosides possibly involved in DNA-nitrosourea interactions, and of their degrdn. products (nucleobases, deoxynucleosides and mono- or disubstituted deoxynucleosides), was analyzed by reversed-phase HPLC using C18 columns and a diode-array detector. The chromatog. conditions for sepg. the 21 investigated compds. were optimized, and the compds. were identified by both their retention times and their UV spectra. A structure-retention time relationship was obsd. under suitable conditions and is discussed. Its validity was confirmed by the prediction of the retention time of a cross-linked dinucleoside synthesized for this purpose.
IT **76495-79-9**
RL: ANT (Analyte); ANST (Analytical study)
(detn. of, by HPLC, in reconstructed mixt. of cross-linked dinucleosides and their degrdn. products)
RN 76495-79-9 HCAPLUS
CN Cytidine, 2'-deoxy-3-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

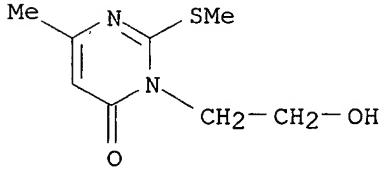


L72 ANSWER 66 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1988:37773 HCAPLUS
DN 108:37773
TI Pyrimidines. Part 3. The synthesis of oxazolo[2,3-b]pyrimidinones, pyrimido[2,1-b][1,3]oxazinones, and pyrimido[2,1-b][1,3]benzoxazinones
AU Abarca, Belen; Soriano, Concepcion; Jones, Gurnos
CS Fac. Farm., Univ. Valencia, Valencia, 10, Spain
SO J. Chem. Res., Synop. (**1987**), (5), 158
CODEN: JRPSDC; ISSN: 0308-2342
DT Journal
LA English
OS CASREACT 108:37773
AB Alkylation of pyrimidinones I (R = H, R1 = H, Me) with Br(CH2)nOH (n = 2, 3) gave mixts. of O-alkyl derivs. II, N-alkyl derivs. I [R = (CH2)nOH] and small amts. of bicyclic pyrimidones III (n = 2, 3). Cyclization of II (R1 = H, Me; n = 3) gave III, but similar attempts on II (n = 2) gave pyrimidinones IV. Reaction of I (R1 = Me) with 2-AcOC6H4CH2Br gave pyrimidinobenzoxazinone V.

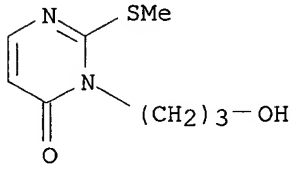
IT **112010-48-7P 112010-52-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and attempted cyclization of)
RN 112010-48-7 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(2-hydroxyethyl)-2-(methylthio)- (9CI) (CA INDEX NAME)



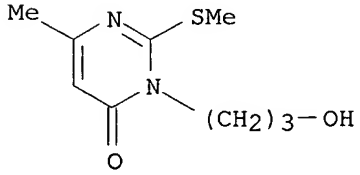
RN 112010-52-3 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(2-hydroxyethyl)-6-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)



IT **112010-55-6P 112010-58-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization of, pyrimidooxazinone deriv. from)
RN 112010-55-6 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(3-hydroxypropyl)-2-(methylthio)- (9CI) (CA INDEX NAME)

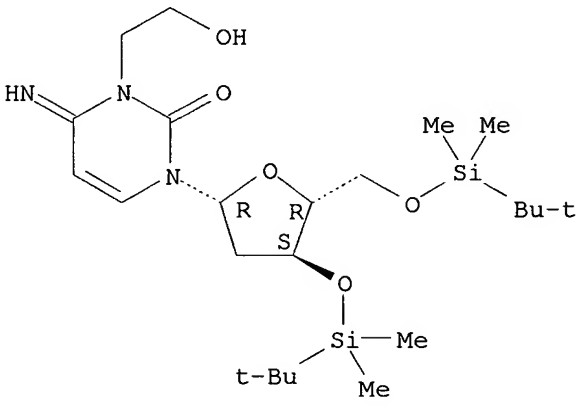


RN 112010-58-9 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(3-hydroxypropyl)-6-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)



L72 ANSWER 68 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1987:637188 HCAPLUS
DN 107:237188
TI Regioselective synthesis of linked dinucleosides: reaction mechanism of nitrosoureas
AU Maggio, A. F.; Lucas, M.; Barascut, J. L.; Pompon, A.; Imbach, J. L.
CS Lab. Chim. Bio-Org., Univ. Sci. Tech. Languedoc, Montpellier, 34060, Fr.
SO Nouv. J. Chim. (**1986**), 10(11), 643-50
CODEN: NJCHD4; ISSN: 0398-9836
DT Journal
LA French
OS CASREACT 107:237188
AB Linked dinucleosides were regioselectively prepn. by linking deoxyguanosine (I), deoxycytidine, (II), and deoxyuridine synthons. E.g., the condensation of synthon III of I with synthon IV of II and deprotection gave the guanosylcytidylethane V.
IT **111447-34-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation of, with guanosine deriv.)
RN 111447-34-8 HCAPLUS
CN Cytidine, 2'-deoxy-3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-3-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L72 ANSWER 69 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1987:576065 HCAPLUS
DN 107:176065
TI Preparation of (chloromethyl)pyrimidinones by cyclocondensation of amidines with 4-chloro-2-butynoates
IN Rudorf, Wolf Dieter; Janietz, Dietmar; Goldmann, Barbara
PA Martin-Luther-Universitaet Halle-Wittenberg, Ger. Dem. Rep.
SO Ger. (East), 4 pp.
CODEN: GEXXA8
DT **Patent**
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DD 243496	A1	19870304	DD 1985-284455	19851217 <--

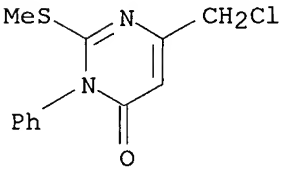
OS CASREACT 107:176065

AB The title compds. (I; R1 = aryl, arylthio, alkylthio; R2 = H, alkyl, aryl) were prepd. by cyclocondensation of ClCH2C.tplbond.CCO2R with R1C(:NH)NHR2 in anhyd. polar solvents at elevated temp. Thus, PhC(:NH)NH2.HCl was stirred with NaOH in EtOH for 1 h. NaCl was filtered off and ClCH2.tplbond.CCO2R was added and the mixt. was refluxed 6 h to give 60% I (R1 = Ph, R2 = H).

IT **110700-78-2P 110700-79-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as synthetic intermediate)

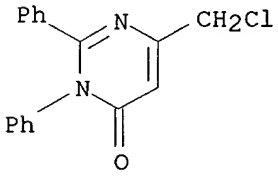
RN 110700-78-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-(chloromethyl)-2-(methylthio)-3-phenyl- (9CI) (CA INDEX NAME)



RN 110700-79-3 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-(chloromethyl)-2,3-diphenyl- (9CI) (CA INDEX NAME)



L72 ANSWER 70 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1987:434768 HCAPLUS

DN 107:34768

TI Characterization of reaction products between styrene oxide and deoxynucleosides and DNA

AU Savela, K.; Hesso, A.; Hemminki, K.

CS Inst. Occup. Health, Helsinki, SF-00250, Finland

SO Chem.-Biol. Interact. (**1986**), 60(3), 235-46
CODEN: CBINA8; ISSN: 0009-2797

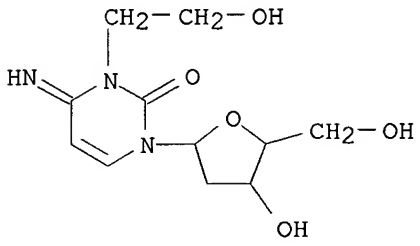
DT Journal

LA English

AB Styrene oxide was reacted with deoxynucleosides and DNA in aq. buffer at pH 7.4. The products were purified by HPLC, characterized by UV spectroscopy and by chem. ionization mass spectrometry. The main products identified were 7-alkyl, N2-alkyl-, and O6-alkyldeoxyguanosine, 1-alkyl, and N6-alkyldeoxyadenosine, N4-alkyl-, 3-alkyl-, and O2-alkyldeoxycytidine, and 3-alkylthymidine. The relative yields of alkylated deoxynucleosides decreased in series dG > dC > dA > T. In the reactions of styrene oxide with DNA the dominant product isolated was 7-alkylguanine but N2-alkylguanine was also detected.

IT **109172-50-1**
RL: FORM (Formation, nonpreparative)
(formation of, from styrene oxide and deoxynucleosides or DNA)

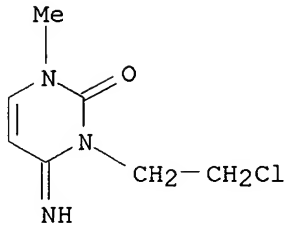
RN 109172-50-1 HCAPLUS
CN Cytidine, 2'-deoxy-3-(2-hydroxyphenylethyl)- (9CI) (CA INDEX NAME)



D1—Ph

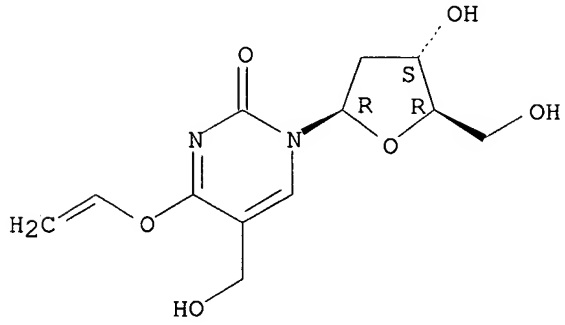
L72 ANSWER 71 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1987:209156 HCAPLUS
DN 106:209156
TI Isolation and characterization of electrophiles from 2-haloethylnitrosoureas forming cytotoxic DNA cross-links and cyclic nucleotide adducts and the analysis of base site-selectivity by ab initio calculations
AU Lown, J. W.; Koganty, R. R.; Bhat, U. G.; Chauhan, S. M. S.; Sapse, A. M.; Allen, E. B.
CS Dep. Chem., Univ. Alberta, Edmonton, AB, Can.
SO IARC Sci. Publ. (**1986**), 70(Role Cyclic Nucleic Acid Adducts Carcinog. Mutagen.), 129-36
CODEN: IARCCD; ISSN: 0300-5038
DT Journal
LA English
AB E-, And Z-2-haloethyldiazotates RCH2CH2N:NOK (R = Cl, F, or ClCH2CH2S), electrophilic species hitherto suggested as intermediates in the reactions of 2-haloethylnitrosoureas (HENUs) under physiol. conditions, were synthesized and characterized by 1H-, 15N- and 13C-NMR. They were stabilized and solubilized in org. solvents as their 18-crown-6 ether complexes. Characterization of K Z-2-fluoroethyldiazotate [108205-31-8] by 19F- and 13C-NMR, and comparison with the Z-2-chloroethyl compd. [108205-51-2], confirmed facile cyclization to the 1,2,3-oxadiazoline and subsequent decompn. to N and ethylene oxide. The E-2-haloethyldiazotates form DNA interstrand crosslinks at a rate, and to an extent, and with a DNA base dependence, which parallels the behavior of the parent HENUs, while the Z-isomers alkylate DNA but show minimal crosslinking. Both E-[108205-52-3] and K Z-(2-chloroethyl)thioethyldiazotate [108205-32-9], neither of which can undergo cyclization, undergo crosslinking DNA efficiently. Self-consistent-field (SCF) ab initio calcns. provided optimized geometries, at. charges and LUMO atom contributions for the E- and Z-2-haloethyldiazohydroxides. The HSAB (Hard and Soft Acids and Bases) theory, in conjunction with HOMO values on key DNA sites, accounted for the obsd. site-selectivity in the formation of identified crosslinks produced by 1,3-bis(2-chloroethyl)-1-nitrosourea. Independent chem. studies on cytosine derivs. corroborated the predicted site selectivity of attack by electrophiles and the formation of ethanocytidine cyclic adducts.
IT **108205-48-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization of)
RN 108205-48-7 HCAPLUS
CN 2(1H)-Pyrimidinone, 3-(2-chloroethyl)-3,4-dihydro-4-imino-1-methyl- (9CI) (CA INDEX NAME)



L72 ANSWER 72 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1987:67612 HCAPLUS
DN 106:67612
TI Synthesis of radiolabeled and unlabeled O4-ethylthymidine 5'-triphosphate
AU Bhattacharyya, A.; Pal, B. C.
CS Oak Ridge Graduate Sch. Biomed. Sci., Univ. Tennesee, Oak Ridge, TN, 37831, USA
SO Nucleosides Nucleotides (**1986**), 5(3), 265-73
CODEN: NUNUD5; ISSN: 0732-8311
DT Journal
LA English
OS CASREACT 106:67612
AB Ethylation of 5-(hydroxymethyl)-2-deoxyuridine with diazoethane in MeOH gave 53.2% N3-Et deriv., 29.8% O2-Et deriv. and 17.0% O4-Et deriv. I. Treatment of I with phosphotransferase followed by pyrophosphate condensation gave the triphosphate II (R = OH), which was converted to the title labeled and unlabeled compds. II (R = 3H, H) by redn. with 3H2 and H2, resp., in the presence of PtO2.
IT **106451-97-2P 106451-98-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and phosphorylation of)
RN 106451-97-2 HCAPLUS
CN Thymidine, 4-O-ethenyl-.alpha.-hydroxy- (9CI) (CA INDEX NAME)

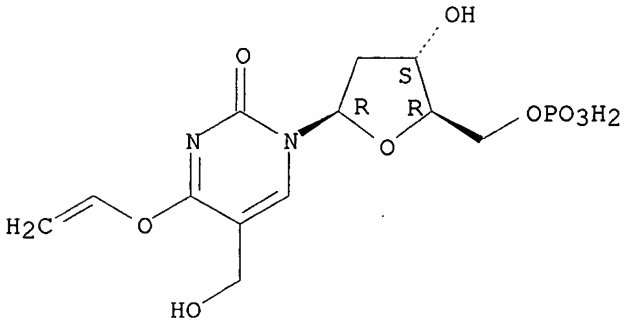
Absolute stereochemistry.



RN 106451-98-3 HCAPLUS

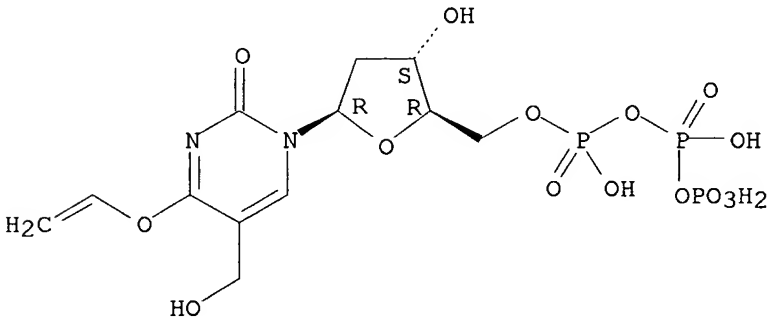
CN 5'-Thymidylic acid, 4-O-ethenyl-.alpha.-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



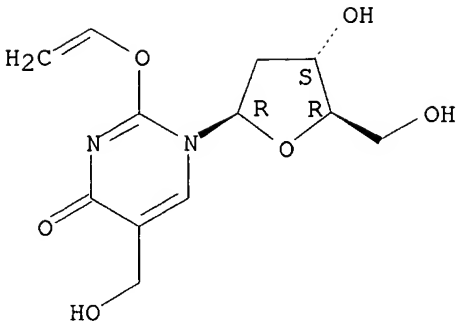
IT **106451-99-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. of)
RN 106451-99-4 HCAPLUS
CN Thymidine 5'-(tetrahydrogen triphosphate), 4-O-ethenyl-.alpha.-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

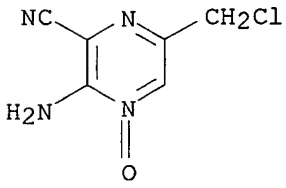


IT **106451-96-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 106451-96-1 HCAPLUS
CN Thymidine, 2-O-ethenyl-.alpha.-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



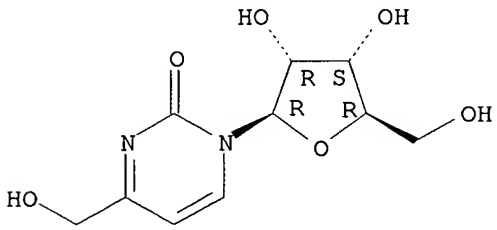
L72 ANSWER 73 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1987:18225 HCAPLUS
DN 106:18225
TI Synthetic analogs of tetrahydrobiopterin with cofactor activity for aromatic amino acid hydroxylases
AU Bigham, E. C.; Smith, G. K.; Reinhard, J. F., Jr.; Mallory, W. R.; Nichol, C. A.; Morrison, R. W., Jr.
CS Wellcome Res. Lab., Burroughs Wellcome Co., Research Triangle Park, NC, 27709, USA
SO J. Med. Chem. (**1987**), 30(1), 40-5
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 106:18225
AB Tetrahydrobiopterin analogs I (R = Me, Et, Pr, CHMe2Bu, CH2CHMe2,, CMe3, pentyl, octyl, CH2CH2OMe) were prepd. by the method of E.C. Taylor et al (1973) by cyclization of ortho amino nitriles II with guanidine, hydrolysis and catalytic hydrogenation trifluoroacetic acid I (R = Et) is an excellent cofactor for phenylalanine, tyrosine, and tryptophan hydroxylases, does not destabilize the binding of substrate, and is recycled by dihydropteridine reductase. I are being evaluated as cofactor replacements in biopterin-deficiency diseases.
IT **40127-89-7**
RL: RCT (Reactant)
(redn. and alkoxylation of)
RN 40127-89-7 HCAPLUS
CN Pyrazinecarbonitrile, 3-amino-6-(chloromethyl)-, 4-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 74 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1986:460893 HCAPLUS
DN 105:60893
TI Synthesis of pyrimidin-2-one nucleosides as acid-stable inhibitors of

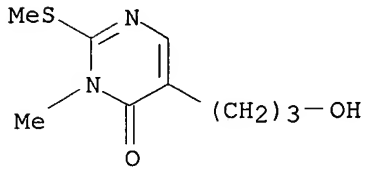
cytidine deaminase
AU Kim, Chong Ho; Marquez, Victor E.; Mao, David T.; Haines, David R.; McCormack, John J.
CS Lab. Pharmacol. Exp. Ther., Natl. Cancer Inst., Bethesda, MD, 20892, USA
SO J. Med. Chem. (**1986**), 29(8), 1374-80
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 105:60893
AB Pyrimidinone nucleosides I-V were prepd. and their mouse kidney cytidine deaminase inhibiting activity was detd. Thus, Hilbert-Johnson reaction of 2-methoxy-4-[(benzoyloxy)methyl]pyrimidine and 2,3,5-tri-O-benzoyl-D-ribofuranosyl bromide followed by deprotection with NH3 gave nucleoside IV. The new compds. bearing the hydroxymethyl substituent were more acid stable than tetrahydrouridine and their cytidine deaminase inhibitory potency expressed in terms of kj values ranged from 10-4 to 10-7. I was superior to its parent [1-.beta.-D-ribofuranosyl-2(1H)-pyrimidinone] and equiv. to tetrahydrouridine against mouse kidney cytidine deaminase. Structure activity relationship is discussed.
IT **102921-95-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cytidine deaminase inhibition by)
RN 102921-95-9 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-(hydroxymethyl)-1-.beta.-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

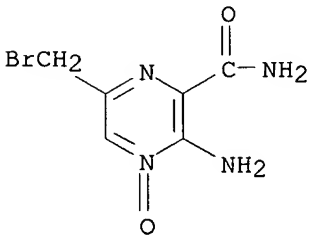


L72 ANSWER 75 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1986:442763 HCAPLUS
DN 105:42763
TI Synthesis and unusual reactivity of (1,5)pyrimidino(6,9)purinophane
AU Higashii, Takayuki; Sakata, Yoshiteru; Misumi, Soichi
CS Inst. Sci. Ind. Res., Osaka Univ., Ibaraki, 567, Japan
SO Nucleic Acids Symp. Ser. (**1985**), 16(Symp. Nucleic Acids Chem., 13th), 125-8
CODEN: NACSD8; ISSN: 0261-3166
DT Journal
LA English
OS CASREACT 105:42763
AB To elucidate the driving force of the unusually high reactivity of (1,3)pyrimidino(6,9)purinophanes (I) toward nucleophiles at the 6-position, (1,5)pyrimidino(6,9)purinophane (II) was synthesized. The similar unusual behavior of I and II suggested that the stereoelectronic effect in the fairly rigid tetrahedral intermediate is responsible for the high reactivities of I and II.
IT **103022-48-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for pyrimidinopurinophane)
RN 103022-48-6 HCAPLUS
CN 4(3H)-Pyrimidinone, 5-(3-hydroxypropyl)-3-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

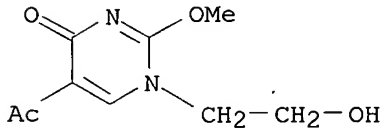


L72 ANSWER 76 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1985:406307 HCAPLUS
DN 103:6307
TI Monocyclic pteridine analogs. A pyrazine analog of methotrexate
AU Lever, O. William, Jr.; Vestal, B. Randall
CS Dep. Org. Chem., Burroughs Wellcome Co., Research Triangle Park, NC, 27709, USA
SO J. Heterocycl. Chem. (**1985**), 22(1), 5-6
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
OS CASREACT 103:6307
AB Reaction of H2NCH(CN)CONH2 with HON:CHCOCH2Br provided 3-amino-6-bromomethyl-2-carbamoylpyrazine 4-oxide, which was converted to a pyrazine analog I of methotrexate by subsequent condensation with di-Et N-[4-(methylamino)benzoyl]-L-glutamate, deoxygenation, and hydrolysis. I was a poor inhibitor (I50 >150 .mu.M) of bacterial or mammalian dihydrofolate reductase.
IT **96797-06-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation of, with (methylamino)benzoyl glutamate deriv.)
RN 96797-06-7 HCAPLUS
CN Pyrazinecarboxamide, 3-amino-6-(bromomethyl)-, 4-oxide (9CI) (CA INDEX NAME)

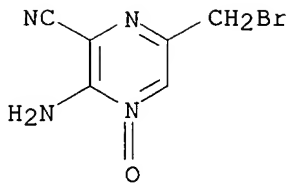


L72 ANSWER 77 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1985:203925 HCAPLUS
DN 102:203925
TI Purines, pyrimidines, and imidazoles. Part 60. Some oxazolo[3,2-

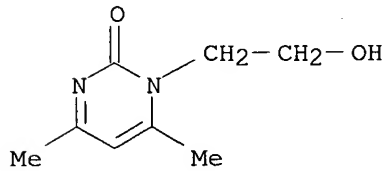
a]pyrimidines and a novel conversion of a cyanouracil into a barbituric acid derivative
AU Alonso, Rosario; Shaw, Gordon; Wright, David
CS Sch. Stud. Chem., Univ. Bradford, Bradford, BD7 1DP, UK
SO J. Chem. Soc., Perkin Trans. 1 (**1984**), (12), 2795-9
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English
OS CASREACT 102:203925
AB Substituted uracil methane- or 4-toluenesulfonates were cyclized by Et3N to give the corresponding oxazolo[3,2-a]pyridimidines. E.g., treatment of 5-cyano-1-[1-ethyl-2-(4-toluenesulfonyloxy)ethyl]uracil with Et3N in refluxing Me2CO for 2 h gave 40% oxazolopyrimidine I (R = CN, R1 = H) (II). Similar reactions occurred with BuNH2 and PhCH2NH2 and with related oxazolopyridimines, whereas treatment of III with morpholine unexpectedly gave isocytosine IV.
IT **95337-52-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and addn. reaction of, with amines)
RN 95337-52-3 HCAPLUS
CN 4(1H)-Pyrimidinone, 5-acetyl-1-(2-hydroxyethyl)-2-methoxy- (9CI) (CA INDEX NAME)



L72 ANSWER 78 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1985:113902 HCAPLUS
DN 102:113902
TI Synthesis of monoamides of methotrexate from L-glutamic acid monoamide tert-butyl esters
AU Antonjuk, David J.; Boadle, Deborah K.; Cheung, H. T. Andrew; Tran, Trung Q.
CS Dep. Pharm., Univ. Sydney, Sydney, Australia
SO J. Chem. Soc., Perkin Trans. 1 (**1984**), (9), 1989-2003
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English
AB .alpha.- And .gamma.-monoamides of methotrexate (I) were regioselectively prepd. from L-PhCH2O2CNHCH(CO2H)(CH2)2CO2H in 7 steps. The pteridine moiety was introduced either by coupling of the appropriate tert-Bu N-(p-methylaminobenzoyl)-L-glutamate monoamide with 2,4-diamino-6-(bromomethyl)pteridine or in 3 steps by the method of E. C. Taylor, et al. (1973).
IT **65659-60-1**
RL: RCT (Reactant)
(coupling reactions of, with (methylaminobenzoyl)glutamate monoamides)
RN 65659-60-1 HCAPLUS
CN Pyrazinecarbonitrile, 3-amino-6-(bromomethyl)-, 4-oxide (9CI) (CA INDEX NAME)

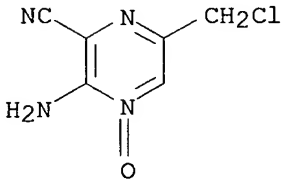


L72 ANSWER 79 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1985:69285 HCAPLUS
DN 102:69285
TI Role of surface complexing during electrodeposition of bright zinc electroplates from sulfate baths
AU Gromakov, V. S.; Taran, L. A.; Berezina, S. I.
CS Inst. Org. Fiz. Khim., USSR
SO Prikl. Elektrokhim.: Teor., Tekhnol. Zashch. Svoistva Gal'vanicheskikh Pokrytii (**1984**) 14-17
CODEN: PETPDW
DT Journal
LA Russian
AB The mechanism was studied of the action of certain substituted oxypyrimidines of different structure on the electroplating of Zn in baths with pH 2.5 and 4.0. The oxypyrimidines (I; R = H, CH2CH2OH, CH2CH(OH)CH2OH, CH2CH2OP(OH)(:O)OEt), as well as a deriv. of oxypyrimidine with a fixed cationic structure, were used as additives in a bath contg.: ZnSO4 0.87, Na2SO4 0.28, and glycine 0.2 M. The cathodic polarization of Zn was studied on a rotating-disk electrode (2000 rpm) using a potentiostat under potentiodynamic conditions at potential scanning rate of 33 mV/s. All the compds. have an inhibiting effect. The different mechanisms of action of the studied org. additives on the electroplating of Zn in acid sulfate baths have no effect on the quality of the plates. The brightening effect of the studied compds. is related to their capability of forming surface complexes with Zn2+.
IT **14716-32-6**
RL: PEP (Physical, engineering or chemical process); PROC (Process); USES (Uses)
(in electroplating, of bright zinc, in sulfate baths, surface complexing in relation to)
RN 14716-32-6 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)

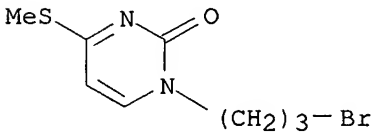


L72 ANSWER 80 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1984:630476 HCAPLUS
DN 101:230476
TI Synthesis of 2,4-diamino-6-substituted pteridine
AU Shey, Chun Feng; Chen, Chao Tung; Horng, Jhy Ming; Wang, Cheng Hsia

CS Dep. Chem., Natl. Taiwan Norm. Univ., Taipei, Taiwan
SO Shih Ta Hsueh Pao (Taipei) (**1984**), 29, 631-43
CODEN: STHPD8; ISSN: 0583-0249
DT Journal
LA Chinese
AB Title compds. I (R = Cl, OH), intermediates for methotrexate, were prepd. Thus, cyclocondensation of 2,4,5,6-tetraaminopyrimidine with CO(CH2OH)2 gave I (R = OH) whereas cyclocondensation of 2-amino-3-cyano-5-chloromethylpyrazine with guanidine gave I (R = Cl).
IT **40127-89-7**
RL: RCT (Reactant)
(deoxygenation of)
RN 40127-89-7 HCAPLUS
CN Pyrazinecarbonitrile, 3-amino-6-(chloromethyl)-, 4-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 81 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1984:187493 HCAPLUS
DN 100:187493
TI Synthesis of model compounds for the interaction between modified nucleic acid bases
AU Higashii, Takayuki; Sakata, Yoshiteru; Misumi, Soichi
CS Inst. Sci. Ind. Res., Osaka Univ., Ibaraki, 567, Japan
SO Nucleic Acids Symp. Ser. (**1983**), 12(Symp. Nucleic Acids Chem., 11th), 173-6
CODEN: NACSD8; ISSN: 0261-3166
DT Journal
LA English
AB To study the stacking interaction of modified nucleic acid bases, which is assumed to be responsible for the anomalous thermostability of thermophile tRNA, several model compds., e.g. I and II, are synthesized. On the basis of their hypochromicities, the interaction between bases is discussed.
IT **90032-45-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and coupling with adenine)
RN 90032-45-4 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(3-bromopropyl)-4-(methylthio)- (9CI) (CA INDEX NAME)



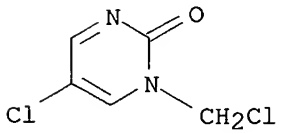
L72 ANSWER 82 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1982:616215 HCAPLUS
DN 97:216215
TI Substituted pyrimidin-2-ones and their salts
IN Benneche, Tore; Undheim, Kjell
PA Nyegaard og Co. A/S, Norway
SO Eur. Pat. Appl., 58 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 56319	A2	19820721	EP 1982-300106	19820108	<--
	EP 56319	A3	19821027			
	EP 56319	B1	19860416			
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
	DK 8200053	A	19820710	DK 1982-53	19820108	<--
	NO 8200049	A	19820712	NO 1982-49	19820108	<--
	NO 160514	B	19890116			
	NO 160514	C	19890426			
	AU 8279294	A1	19820715	AU 1982-79294	19820108	<--
	JP 57136575	A2	19820823	JP 1982-1098	19820108	<--
	ZA 8200125	A	19821229	ZA 1982-125	19820108	<--
	ES 508593	A1	19830316	ES 1982-508593	19820108	<--
	CA 1180710	A1	19850108	CA 1982-393785	19820108	<--
	AT 19242	E	19860515	AT 1982-300106	19820108	<--
	US 4596870	A	19860624	US 1982-337988	19820108	<--
	ES 517865	A1	19840616	ES 1982-517865	19821201	<--
	US 4705791	A	19871110	US 1986-849271	19860408	<--
PRAI	GB 1981-613		19810109			
	EP 1982-300106		19820108			
	US 1982-337988		19820108			

AB Pyrimidinones I (X = O, S, SO, NR5; R, R2 = H, alkyl; R1 = halogen, CF3; R3 = H, alkyl, acyl, aralkyl, aryl, heterocyclic; R4 = aryl, heterocyclic; XR4 = N heterocyclic; R5 = aryl, heterocyclic, acyl) were prepd. Thus, 4-ClC6H4OCH2COCl was decarbonylated at 170.degree. in the presence of (Ph3P)3RhCl to give 4-ClC6H4OCH2Cl which was treated with 5-chloro-2-pyrimidinone to give II and its O-alkylated isomer. II caused metaphase inhibition in L1210 cells at 37.5 mM.

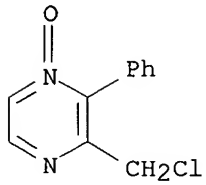
IT **83767-87-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with phenols)

RN 83767-87-7 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-chloro-1-(chloromethyl)- (9CI) (CA INDEX NAME)

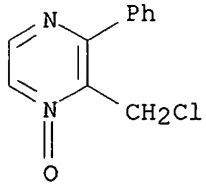


L72 ANSWER 83 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1982:582360 HCAPLUS

DN 97:182360
TI Syntheses and reactions of some 2,3-disubstituted pyrazine monoxides
AU Ohta, Akihiro; Masano, Sawako; Iwakura, Sachiko; Tamura, Akiko; Watahiki, Hiroko; Tsutsui, Mayumi; Akita, Yasuo; Watanabe, Tokuhiro; Kurihara, Teruo
CS Tokyo Coll. Pharm., Tokyo, 192-03, Japan
SO J. Heterocycl. Chem. (**1982**), 19(3), 465-73
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
OS CASREACT 97:182360
AB The reactions of pyrazine I (R, R1 = Me, Ph) with POCl3 or Ac2O gave monochloro- and monoacetoxy-pyrazines in almost all cases. However, the reaction of I (R = R1 = Ph) with Ac2O gave a diacetoxydihydropyrazine. These products were converted further to hydroxy or dichloro derivs.
IT **83520-55-2P 83520-56-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 83520-55-2 HCAPLUS
CN Pyrazine, 2-(chloromethyl)-3-phenyl-, 4-oxide (9CI) (CA INDEX NAME)



RN 83520-56-3 HCAPLUS
CN Pyrazine, 2-(chloromethyl)-3-phenyl-, 1-oxide (9CI) (CA INDEX NAME)



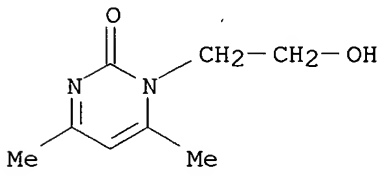
L72 ANSWER 84 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1982:132076 HCAPLUS
DN 96:132076
TI Electrodeposition of bright zinc coatings from a sulfuric acid electrolyte
AU Taran, L. A.; Gromakov, V. S.
CS Inst. Org. Fiz. Khim., Kazan, USSR
SO Zashch. Met. (**1982**), 18(1), 129-32
CODEN: ZAMEA9; ISSN: 0044-1856
DT Journal
LA Russian
AB The possibility was studied of using as surfactants in a H2SO4 bath for Zn electroplating, 2-oxo-4,6-dimethylpyrimidine (I) [108-79-2], 1-[2-hydroxyethyl]-2-oxo-4,6-dimethylpyrimidine (II) [**14716-32-6**], and 2-methoxy-4,6-dimethylpyrimidine (III) [14001-61-7]. Thus, the

effect was studied of I, II, and III on the cathodic polarization, current efficiency of metal yield, throwing power of the electrolyte and properties of the Zn electroplates (brightness, microhardness, corrosion resistance). For practical testing, the following electrolyte compn. is recommended: ZnSO4.7H2O 250, Na2SO4 40, glycine 15, III 0.2-0.4 g/L, at pH 2.4-3.2, cathodic c.d. without mixing 10-20 A/cm2, at 18-30.degree.. The recommended electrolyte is stable in soln. and does not require partial correction (through 120 A-h/L of the org. prepns.).

IT **14716-32-6**
RL: PRP (Properties)
(in electroplating, of bright zinc from sulfuric acid bath)

RN 14716-32-6 HCAPLUS

CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)



L72 ANSWER 85 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1982:34965 HCAPLUS

DN 96:34965

TI Pteridines. 49. Synthesis of 2,4-diamino-6,8-dihydro-7-aryl-8-oxopyrrolo[3,4-g]pteridines

AU Taylor, Edward C.; Dumas, Donald J.

CS Dep. Chem., Princeton Univ., Princeton, NJ, 08544, USA

SO J. Org. Chem. (**1982**), 47(1), 116-19
CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

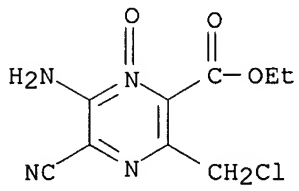
LA English

AB Reaction of Et 4-chloro-2-oximino-3-oxobutyrate with aminomalononitrile tosylate followed by deoxygenation of the resulting pyrazine 1-oxide provides the cyanopyrazine (I). Treatment of I with arylamines gives the (arylaminomethyl)cyanopyrazines II (R = H, Me) which are readily cyclized to the oxopyrrolopyrazines III. Condensation of III with guanidine acetate in DMF then provides the title compds. IV (R = H, Me).

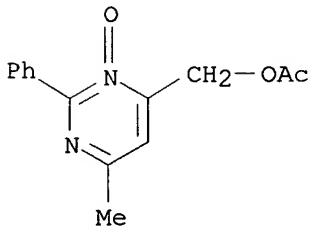
IT **79722-53-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. of)

RN 79722-53-5 HCAPLUS

CN Pyrazinecarboxylic acid, 6-amino-3-(chloromethyl)-5-cyano-, ethyl ester, 1-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 86 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1982:6678 HCAPLUS
DN 96:6678
TI Studies on pyrimidine derivatives. XXII. Site-selective oxidation of dimethylpyrimidines with selenium dioxide to pyrimidine-monoaldehydes
AU Sakamoto, Takao; Sakasai, Takeji; Yamanaka, Hiroshi
CS Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
SO Chem. Pharm. Bull. (**1981**), 29(9), 2485-90
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
AB The oxidn. of 2,4-dimethylquinoline and its 1-oxide with an equimol. amt. of SeO2 in boiling dioxane afforded 4-methylquinoline-2-carbaldehyde and its 1-oxide, resp. This oxidn. was applicable to the selective prepn. of pyrimidine-4-carbaldehydes from dimethylpyrimidines. In case of pyrimidine derivs., the presence of an N-oxide group facilitated the oxidn., but the isolated yields of the pyrimidinecarbaldehyde N-oxides were unsatisfactory, because of their instability.
IT **80109-90-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 80109-90-6 HCAPLUS
CN 4-Pyrimidinemethanol, 6-methyl-2-phenyl-, acetate (ester), 3-oxide (9CI) (CA INDEX NAME)



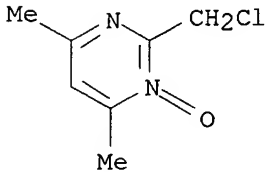
L72 ANSWER 87 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1981:407201 HCAPLUS
DN 95:7201
TI Reaction of 2,4,6-trialkylpyrimidine 1,3-dioxides with electrophilic reagents
AU Tikhonov, A. Ya.; Volodarskii, L. B.; Vakolova, O. A.; Podgornaya, M. I.
CS Novosib. Inst. Org. Khim., Novosibirsk, 630090, USSR
SO Khim. Geterotsikl. Soedin. (**1981**), (1), 110-16
CODEN: KGSSAQ; ISSN: 0453-8234
DT Journal
LA Russian
AB Bromination of pyrimidine dioxide I (R = Me) gave (bromomethyl)pyrimidines II (R = Me), III (R = Me), 2,4-bis(bromomethyl)-6-methylpyrimidine dioxide (IV), and 2,4,6-tris(bromomethyl)pyrimidine dioxide (V); whereas bromination of I (R = Et) gave only II (R = Et) and III (R = Et). Several (acetoxymethyl)pyrimidines VI (R1 = R2 = H, AcO; R1 = H, R2 = AcO) were prepd. by acetoxylation of II, III, IV and V. Treatment of I (R = Me) with POCl3 gave 22% 2-(chloromethyl)-4,6-dimethylpyrimidine 1-oxide, and treatment of I (R = Me) with Ac2O gave 2-(acetoxymethyl)-4,6-

dimethylpyrimidine 1-oxide. Treatment of I (R = Me) with 4-MeC6H4SO2Cl gave 2,4,6-trimethyl-5-pyrimidinol 1-oxide 5-tosylate.

IT **77914-85-3P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and NMR of)

RN 77914-85-3 HCAPLUS

CN Pyrimidine, 2-(chloromethyl)-4,6-dimethyl-, 1-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 88 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1981:132024 HCAPLUS

DN 94:132024

TI Mechanism of action of the nitrosoureas. IV. Reactions of bischloroethyl nitrosourea and chloroethyl cyclohexyl nitrosourea with deoxyribonucleic acid

AU Gombar, Charles T.; Tong, William P.; Ludlum, David B.

CS Dep. Pharmacol. Exp. Ther., Albany Med. Coll., Albany, NY, 12208, USA

SO Biochem. Pharmacol. (**1980**), 29(19), 2639-43
CODEN: BCPCA6; ISSN: 0006-2952

DT Journal

LA English

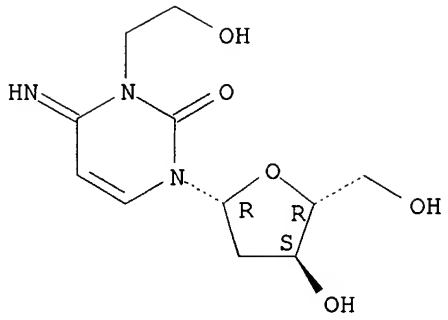
AB Reaction of calf thymus DNA with 14C-labeled BCNU [154-93-8] or CCNU [13010-47-4] gave 7-hydroxyethyldeoxyguanosine [76702-32-4], 3-hydroxyethyldeoxycytidine [**76495-79-9**], and 3,N4-ethanodeoxycytidine [76495-80-2] as products, following enzymic digestion, sepn. on Sephadex, and anal. by high pressure liq. chromatog. 7-Aminoethylguanine [76495-81-3] was identified in the hydrolyzate from the BCNU-DNA reaction, but not in that of CCNU-DNA. Aminoethylguanine was also formed when DNA was reacted with chloroethylamine, suggesting that BCNU produced this compd. via chloroethylamine as an intermediate. BCNU and CCNU are both effective antitumor agents, thus, aminoethylguanine formation is probably not an important cytotoxic reaction, but may have significance in mutagenic or carcinogenic activities.

IT **76495-79-9**
RL: BIOL (Biological study)
(as BCNU- and CCNU-DNA reaction product)

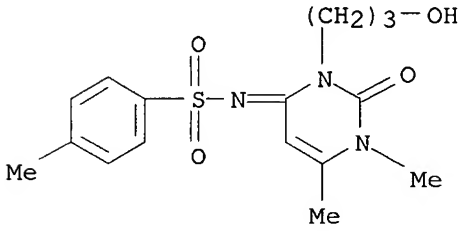
RN 76495-79-9 HCAPLUS

CN Cytidine, 2'-deoxy-3-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

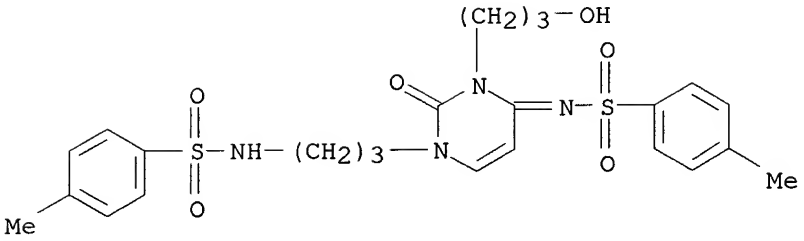
Absolute stereochemistry.



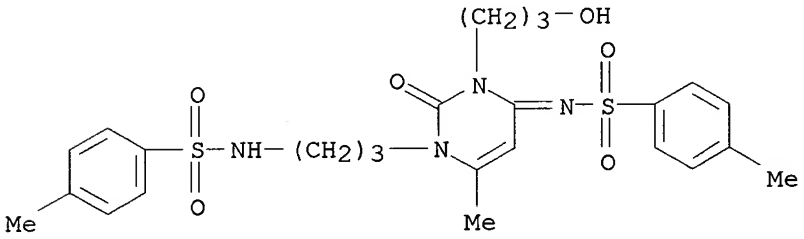
L72 ANSWER 89 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1981:121447 HCAPLUS
DN 94:121447
TI Synthesis and properties of pyrimidinylalkylsulfonamides. 5. Reaction of the sodium salt of p-toluenesulfanamide with some N-(.pi.-bromoalkyl)uracils without tautomeric groups in the pyrimidine ring
AU Shvetsov, Yu. S.; Cherepinskii-Malov, V. D.; Shirshov, A. N.; Reznik, V. S.; Andrianov, V. G.
CS Inst. Org. Fiz. Khim. im. Arbuzova, Kazan, USSR
SO Izv. Akad. Nauk SSSR, Ser. Khim. (**1980**), (10), 2356-63
CODEN: IASKA6; ISSN: 0002-3353
DT Journal
LA Russian
AB Reaction of I [R = R1 = Me; R2 = (CH2)3Br] with p-MeC6H4SO2NHNa (II) gave 59% III. Similar reaction of I [R = H, R1-2 = (CH2)3Br] and II gave 49% IV and 17% V. II and I [R = Me, R1-2 = (CH2)3Br] gave 76% IV and VI. The crystal structure of III was detd.
IT **67960-21-8P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and crystal structure of)
RN 67960-21-8 HCAPLUS
CN Benzenesulfonamide, N-[2,3-dihydro-3-(3-hydroxypropyl)-1,6-dimethyl-2-oxo-4(1H)-pyrimidinylidene]-4-methyl- (9CI) (CA INDEX NAME)



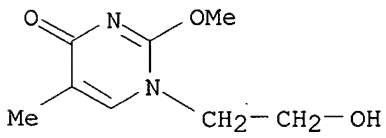
IT **76950-68-0P 76950-69-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 76950-68-0 HCAPLUS
CN Benzenesulfonamide, N-[2,3-dihydro-3-(3-hydroxypropyl)-1-[3-[[(4-methylphenyl)sulfonyl]amino]propyl]-2-oxo-4(1H)-pyrimidinylidene]-4-methyl- (9CI) (CA INDEX NAME)



RN 76950-69-1 HCAPLUS
CN Benzenesulfonamide, N-[2,3-dihydro-3-(3-hydroxypropyl)-6-methyl-1-[3-[[(4-methylphenyl)sulfonyl]amino]propyl]-2-oxo-4(1H)-pyrimidinylidene]-4-methyl- (9CI) (CA INDEX NAME)

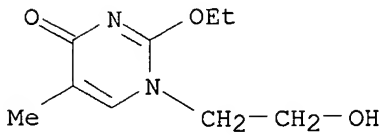


L72 ANSWER 90 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1981:103285 HCAPLUS
DN 94:103285
TI Reactions of cyclic derivatives of uracil and thymine
AU Inaki, Yoshiaki; Futagawa, Hidekazu; Takemoto, Kiichi
CS Fac. Eng., Osaka Univ., Suita, Japan
SO Org. Prep. Proced. Int. (**1980**), 12(5), 275-81
CODEN: OPPIAK; ISSN: 0030-4948
DT Journal
LA English
AB Oxazolopyrimidinone I (R = H) underwent ring cleavage to give II (R = R1 = H, R2 = Cl, SAc; R = H, R1 = Me, R2 = iodo) and III (R = H, R3 = NH2). I (R = Me) similarly gave II (R = Me, R1 = H, R2 = Br, SAc; R = R1 = Me, R2 = iodo) and III (R = Me, R3 = OMe, OEt).
IT **76780-12-6P 76780-13-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 76780-12-6 HCAPLUS
CN 4(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-2-methoxy-5-methyl- (9CI) (CA INDEX NAME)

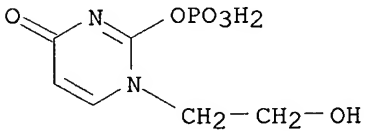


RN 76780-13-7 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-ethoxy-1-(2-hydroxyethyl)-5-methyl- (9CI) (CA INDEX NAME)



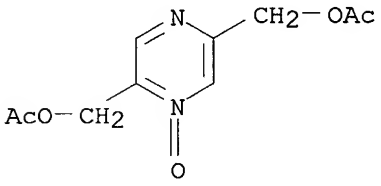
L72 ANSWER 91 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1980:586720 HCAPLUS
DN 93:186720
TI Synthetic analogs of cellulose-based polynucleotides
AU Kolomeitseva, V. V.; Ustyuzhanin, G. E.; Sidorova, N. S.
CS USSR
SO Khim. Fiz. Vysokomol. Soedin., Tezisy Dokl., Nauchn. Konf., 19th (**1979**), 68-9 Publisher: Akad. Nauk SSSR, Inst. Vysokomol. Soedin., Leningrad, USSR.
CODEN: 43XJAD
DT Conference
LA Russian
AB The reactivity of nucleophiles in substitution reactions of hydroxyethylcellulose monotosyl ester were uracil Na salt > Li salt of 1-hydroxyethyluracil 2-phosphate > 4-ethoxy-2-pyrimidinone > cytosine and adenine benzoates. Water-sol. derivs. of cellulose contg. nucleic acid bases of 14-55% were obtained by this reaction.
IT **75315-65-0**
RL: RCT (Reactant)
(nucleophilic substitution reaction of, with hydroxyethylcellulose monotosyl ester)
RN 75315-65-0 HCAPLUS
CN 4(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-2-(phosphonooxy)-, monolithium salt (9CI) (CA INDEX NAME)



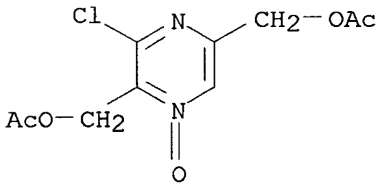
● Li

L72 ANSWER 92 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1980:446584 HCAPLUS
DN 93:46584
TI Conversion of 2,5-diphenyl- and 2,5-dibenzyl-pyrazines to 2,5-diketopiperazines
AU Ohta, Akihiro; Akita, Yasuo; Nakane, Yumiko
CS Tokyo Coll. Pharm., Hachioji, 192-03, Japan
SO Chem. Pharm. Bull. (**1979**), 27(12), 2980-7

CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
AB The pyrazine oxides I (R = Ph, PhCH2) were treated with POCl3 to give II (R1 = Cl, R2 = H). I (R = Ph, PhCH2) reacted with Ac2O to give II (R = Ph, R1 = Ac, R2 = H) and 2-(.alpha.-acetoxybenzyl)-5-benzylpyrazine, resp. II (R = Ph, PHCH2; R1 = Cl, R2 = H) were converted to II (R1 = R2 = Cl) by oxidn. followed by treatment with POCl3. II (R = Ph, PhCH2; R1 = R2 = Cl) were treated with PhCH2ONa followed by hydrogenolysis to give .alpha.-phenylglycine anhydride (III; R = Ph) and phenylalanine anhydride (III, R = PhCH2), resp.
IT **74134-71-7P 74134-74-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with phosphoryl chloride)
RN 74134-71-7 HCAPLUS
CN 2,5-Pyrazinedimethanol, diacetate (ester), 1-oxide (9CI) (CA INDEX NAME)



RN 74134-74-0 HCAPLUS
CN 2,5-Pyrazinedimethanol, 3-chloro-, diacetate (ester), 1-oxide (9CI) (CA INDEX NAME)

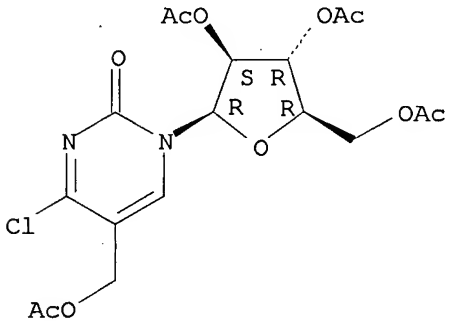


L72 ANSWER 93 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1980:215681 HCAPLUS
DN 92:215681
TI Syntheses of 1-.beta.-D-arabinofuranosyl-5-hydroxymethyl-N4-alkylcytosines
AU Ikeda, Kazuyoshi; Takeda, Tadayuki; Mizuno, Yoshihisa
CS Cent. Instrum. Anal., Hokkaido Univ., Sapporo, 060, Japan
SO Nucleic Acids Symp. Ser. (**1979**), 6(Symp. Nucleic Acids Chem., 7th), S1-S4
CODEN: NACSD8
DT Journal
LA English
AB Starting from uridine, 1-.beta.-D-arabinofuranosyl-5-hydroxymethyl-N4-alkylcytosines were prepd. via 1-.beta.-D-arabinofuranosyluracil. During the course of this synthetic work, an interesting observation has been made that 5-acetoxy group of 1-(2,3,5-tri-O-acetyl-.beta.-D-arabinofuranosyl)-5-acetoxymethyluracil and its derivs. was easily displaced at room temp. with alkylamine in MeOH, but not in CHCl3, to give

the corresponding 5-alkylaminomethyl derivs.

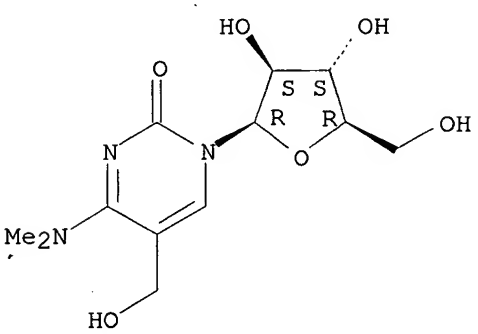
IT **73719-62-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with dimethylamine)
RN 73719-62-7 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-[(acetyloxy)methyl]-4-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-arabinofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **73719-66-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 73719-66-1 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-.beta.-D-arabinofuranosyl-4-(dimethylamino)-5-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



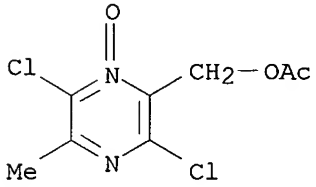
L72 ANSWER 94 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1980:110958 HCAPLUS
DN 92:110958
TI Syntheses and reactions of some 2,5-disubstituted pyrazine monoxides
AU Ohta, Akihiro; Akita, Yasuo; Hara, Miyoko
CS Tokyo Coll. Pharm., Tokyo, 192-03, Japan
SO Chem. Pharm. Bull. (**1979**), 27(9), 2027-41
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
AB The reactions of 2,5-dimethylpyrazine 1-oxide, 2,5-diethylpyrazine 1-oxide, 2-methyl-5-phenylpyrazine 1-oxide, and 2-methyl-5-phenylpyrazine

4-oxide with POCl3 or Ac2O were studied. 2,5-Dichloro-3,6-dimethylpyrazine and 2,5-dichloro-3,6-diethylpyrazine were converted to the dioxopiperazines, alanine anhydride (I, R = Me) and .alpha.-aminobutyric anhydride (I, R = Et), resp., which are shown to exist in a cis configuration by examn. of their 1H NMR spectra.

IT **72876-15-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 72876-15-4 HCAPLUS

CN Pyrazinemethanol, 3,6-dichloro-5-methyl-, acetate (ester), 1-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 95 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1980:110952 HCAPLUS

DN 92:110952

TI Regioselective N-alkylation in 5-fluorouracil

AU Gacek, Michel; Undheim, Kjell

CS Dep. Chem., Univ. Oslo, Oslo, 3, Norway

SO Acta Chem. Scand., Ser. B (**1979**), B33(7), 515-18
CODEN: ACBOCV; ISSN: 0302-4369

DT Journal

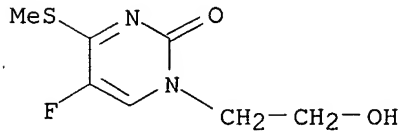
LA English

AB S-Methylating I (Z = S, Z1 = O, R = R1 = H) allowed selective N-1 alkylation which, after acid hydrolysis, gave I (X = X1 = O, R = Me, allyl, HC.tplbond.CCH2HOCH2CH2, MeCOCH2, R1 = H). Similarly, treating I (X = X1 = S, R = R1 = H) with MeI gave II whose alk. hydrolysis gave III (R1 = H), which was methylated and then hydrolyzed with acid to give I (X = X1 = O, R = H, R1 = Me). I (X = X1 = O, R = CH:CH2, R1 = H) was prepd. from I (X = X1 = O, R = HOCH2CH2, R1 = H) by chlorination-dehydrochlorination.

IT **63331-50-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acid hydrolysis of)

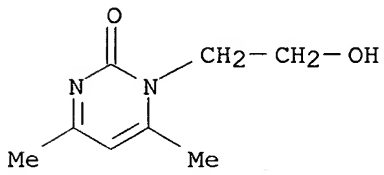
RN 63331-50-0 HCAPLUS

CN 2(1H)-Pyrimidinone, 5-fluoro-1-(2-hydroxyethyl)-4-(methylthio)- (9CI) (CA INDEX NAME)

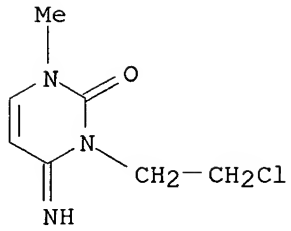


L72 ANSWER 96 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1980:93647 HCAPLUS
DN 92:93647
TI Polarographic study of some substituted hydroxypyrimidines
AU Kargin, Yu. M.; Taran, L. A.; Gromakov, V. S.
CS Kazan. Filial., Inst. Org. Fiz.-Khim. im. Arbuzova, Kazan, USSR
SO Zh. Obshch. Khim. (**1979**), 49(9), 2144-8
CODEN: ZOKHA4; ISSN: 0044-460X
DT Journal
LA Russian
AB The polarog. behavior of I in aq. buffers depends on the cation-neutral mol.-anion equil. In DMF the polarog. of I is affected by tautomerism.
IT **14716-32-6**
RL: PRP (Properties)
(polarog. of)
RN 14716-32-6 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)

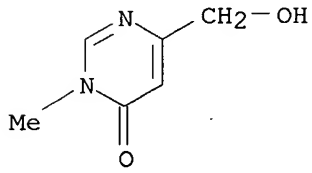


L72 ANSWER 97 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1980:69303 HCAPLUS
DN 92:69303
TI Mechanism of action of 2-haloethylnitrosoureas on deoxyribonucleic acid. Nature of the chemical reactions with deoxyribonucleic acid
AU Lown, J. William; McLaughlin, Larry W.
CS Dep. Chem., Univ. Alberta, Edmonton, AB, T6G 2G2, Can.
SO Biochem. Pharmacol. (**1979**), 28(14), 2123-8
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
AB Me substitution of either C atom of the 2-chloroethyl portion of BCNU [154-93-8] prevented the induction of covalent crosslinks in DNA under physiol. conditions. 1-(3-Chloropropyl)- [13406-05-8], 1-(4-chlorobutyl) [72468-59-8], and 1-(5-chloropentyl)-1-nitrosourea [72468-58-7], although they readily alkylated DNA they showed no ability to crosslink DNA. 3-(2-Chloroethyl)- [**72468-60-1**] and 3,N4-(2-chloroethyl)-1-methylcytosine-HCl [66929-42-8] readily alkylated PM2-CCC-DNA. The 2 cytosine derivs. also cyclized readily to give 3,N4-ethano-1-methylcytosine closely similar to a species isolated from the treatment of poly-C with BCNU. The effects of the extent of DNA alkylation and intramol. alkylation and/or hydrolysis of the chloroethyl cytidine intermediate on interstrand crosslinking process were studied.
IT **72468-60-1**
RL: BIOL (Biological study)
(DNA alkylation and crosslinking from)
RN 72468-60-1 HCAPLUS
CN 2(1H)-Pyrimidinone, 3-(2-chloroethyl)-3,4-dihydro-4-imino-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

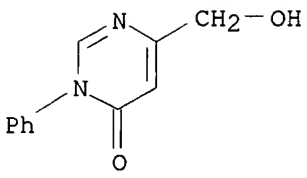


● HCl

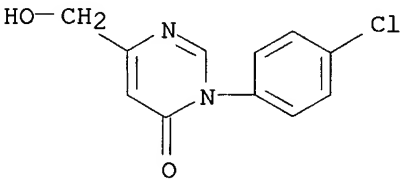
L72 ANSWER 98 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1979:593247 HCAPLUS
DN 91:193247
TI Photochemical reactions. Part 21. Photochemical isomerization of pyridazinium and triazinium betaines
AU Maki, Yoshifumi; Suzuki, Mikio; Furuta, Takashi; Kawamura, Masao; Kuzuya, Masayuki
CS Gifu Coll. Pharm., Gifu, Japan
SO J. Chem. Soc., Perkin Trans. 1 (**1979**), (5), 1199-205
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English
AB Irradn. of 5-oxidopyridazinium betaines gave moderate to high yields of pyrimidin-4(3H)-ones. E.g., I gave 75% II. Irradn. of the 1-oxidophthalazinium betaine III (R2 = benzo, R1 = H) in H2O and MeCN gave 2-methylphthalazin-1(2H)-one and the fused diaziridine IV, resp. III (R = H, R1 = Me) behaved analogously. Photolysis of 4-oxido-1,2,3-benzotriazinium betaines gave 75-85% benzotriazin-4(3H)-ones. E.g., V gave 75% VI.
IT **55609-66-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. of, with Raney nickel)
RN 55609-66-0 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(hydroxymethyl)-3-methyl- (9CI) (CA INDEX NAME)



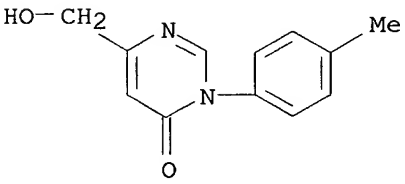
IT **55609-67-1P 55609-68-2P 55609-69-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 55609-67-1 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(hydroxymethyl)-3-phenyl- (9CI) (CA INDEX NAME)



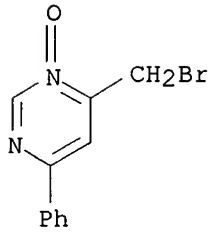
RN 55609-68-2 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(4-chlorophenyl)-6-(hydroxymethyl)- (9CI) (CA INDEX NAME)



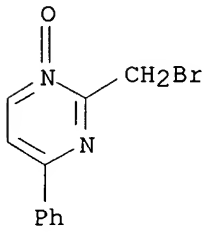
RN 55609-69-3 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(hydroxymethyl)-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)



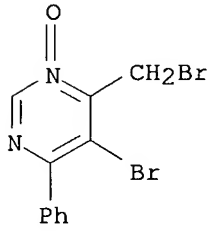
L72 ANSWER 99 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1979:72136 HCAPLUS
DN 90:72136
TI Pyrimidines. LXVI. Bromination of substituted 4-phenyl-1-pyrimidine oxides
AU Sedova, V. F.; Lisitsyn, A. S.; Mamaev, V. P.
CS Inst. Org. Khim., Novosibirsk, USSR
SO Khim. Geterotsikl. Soedin. (**1978**), (10), 1392-6
CODEN: KGSSAQ; ISSN: 0453-8234
DT Journal
LA Russian
AB Bromination of I (R = R1 = R2 = H) by Br-AcOH gave 40% I (R = Br, R1 = R2 = H) which was reduced by P(OEt)3 to give 60% II, and methoxylated by NaOMe to give 60% I (R = MeO, R1 = R2 = H). Similarly, I (R = R2 = H, R1 = Me) gave 17% I (R = Br), 8% I (R1 = BrCH2), 6% I (R = Br, R1 = BrCH2), and 3% I (R1 = Br2CH); and I (R = R1 = H, R2 = Me) gave 16% I (R2 = BrCH2) and 24% I (R2 = Br2CH).
IT **68899-01-4P 68899-02-5P 69098-66-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 68899-01-4 HCAPLUS
CN Pyrimidine, 4-(bromomethyl)-6-phenyl-, 3-oxide (9CI) (CA INDEX NAME)



RN 68899-02-5 HCAPLUS
CN Pyrimidine, 2-(bromomethyl)-4-phenyl-, 1-oxide (9CI) (CA INDEX NAME)

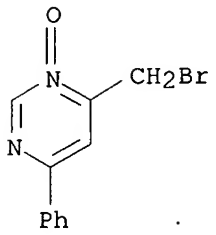


RN 69098-66-4 HCAPLUS
CN Pyrimidine, 5-bromo-4-(bromomethyl)-6-phenyl-, 3-oxide (9CI) (CA INDEX NAME)

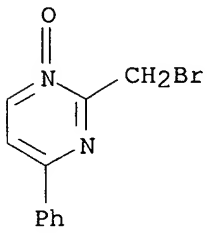


L72 ANSWER 100 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1979:38868 HCAPLUS
DN 90:38868
TI Pyrimidines. LXVII. Derivatives of formylpyrimidine N-oxides
AU Sedova, V. F.; Mamaev, V. P.
CS Inst. Org. Khim., Novosibirsk, USSR
SO Khim. Geterotsikl. Soedin. (**1978**), (10), 1397-9
CODEN: KGSSAQ; ISSN: 0453-8234
DT Journal
LA Russian
AB Treating (dibromomethyl)pyrimidine I (R = R1 = Br) with MeONa-MeOH gave 26% I (R = R1 = MeO). I (R = H, R1 = Br) with H2NOH gave 80% the formyl syn-oxime (I; RR1 = HON). Similarly prepd. was syn-II.
IT **68899-01-4 68899-02-5**
RL: RCT (Reactant)
(reaction of, with hydroxylamine)

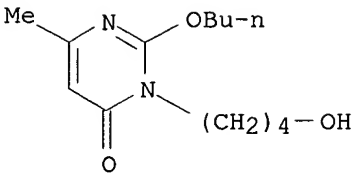
RN 68899-01-4 HCAPLUS
CN Pyrimidine, 4-(bromomethyl)-6-phenyl-, 3-oxide (9CI) (CA INDEX NAME)



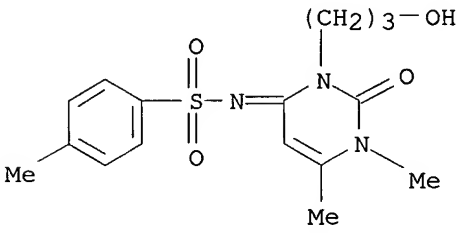
RN 68899-02-5 HCAPLUS
CN Pyrimidine, 2-(bromomethyl)-4-phenyl-, 1-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 101 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1979:38860 HCAPLUS
DN 90:38860
TI Synthesis and properties of pyrimidylalkylsulfoneamides. 4. Reaction of the sodium salt of p-toluenesulfonamide with some mono-N-(.omega.-aloalkyl)uracils
AU Shvetsov, Yu. S.; Shirshov, A. N.; Reznik, V. S.
CS Inst. Org. Fiz. Khim. im. Arbuzova, Kazan, USSR
SO Izv. Akad. Nauk SSSR, Ser. Khim. (**1978**), (9), 2079-84
CODEN: IASKA6; ISSN: 0002-3353
DT Journal
LA Russian
AB Treatment of I [R = (CH2)3Br] with p-MeC6H4SO3NHNa in DMF gave 74% II which was hydrolyzed by boiling H2O to give 84.5% I [R = (CH2)3OH]. Similarly, I [R = (CH2)5Br] gave 46% I [R = (CH2)5NHSO2C6H4Me-p]; and III gave 79% IV (R1 = H). Treatment of I [R = (CH2)4Br] with p-MeC6H4SO2NHNa in BuOH gave 23.5% I [R = (CH2)4NHSO2C6H4Me-p] and a pyrimidooxazepine intermediate which was treated with BuOH to give 23% V (R2 = OBu), with p-MeC6H4SO2NHNa to give 6.9% V (R2 = NNaSO2C6H4Me-p), and with H2O to give 19.6% I [R = (CH2)4OH]. Addnl. obtained was 19% IV (R2 = Me).
IT **68808-06-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 68808-06-0 HCAPLUS
CN 4(3H)-Pyrimidinone, 2-butoxy-3-(4-hydroxybutyl)-6-methyl- (9CI) (CA INDEX NAME)



L72 ANSWER 102 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1978:579944 HCAPLUS
DN 89:179944
TI Products of the reaction of some N-(3-bromopropyl)uracils with p-toluene sulfamides
AU Shvetsov, Yu. S.; Shirshov, A. N.; Reznik, V. S.; Cherepinskii-Malov, V. D.
CS Inst. Org. Fiz. Khim. im. Arbuzova, Kazan, USSR
SO Izv. Akad. Nauk SSSR, Ser. Khim. (**1978**), (7), 1692
CODEN: IASKA6; ISSN: 0002-3353
DT Journal
LA Russian
AB Treatment of uracil I with the Na salt of p-MeC6H4SO2NH2 gave II rather than the III reported earlier by the authors.
IT **67960-21-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 67960-21-8 HCAPLUS
CN Benzenesulfonamide, N-[2,3-dihydro-3-(3-hydroxypropyl)-1,6-dimethyl-2-oxo-4(1H)-pyrimidinylidene]-4-methyl- (9CI) (CA INDEX NAME)



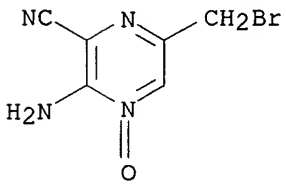
L72 ANSWER 103 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1978:115263 HCAPLUS
DN 88:115263
TI Antimalarial drugs. 38. Folate antagonists. 10. Synthesis and antimalarial effects of 6-[[(aryl and aralkyl)amino]methyl]-2,4-pteridinediamines and -pteridinediamine 8-oxides
AU Worth, Donald F.; Johnson, Judith; Elslager, Edward F.; Werbel, Leslie M.
CS Res. Med. Aff. Div., Parke, Davis and Co., Ann Arbor, Mich., USA
SO J. Med. Chem. (**1978**), 21(4), 331-7
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB Condensation of 3-amino-6-(bromomethyl)-2-pyrazinecarbonitrile 4-oxide [**65659-60-1**] with the appropriate amines gave the corresponding 3-amino-6-[[(aryl and aralkyl)amino]methyl] oxides. Cyclization of these

oxides and their corresponding deoxides with guanidine-HCl [50-01-1] gave the title compds. (I) and (II). The N-oxides II did not have antimalarial activity against Plasmodium berghei infections in mice. Antimalarial activities of the 2,4-pteridinediamines I were poor with the exception of the 3,4,5-trimethoxyphenyl III [65711-85-5] and the 1-naphthalenyl IV [65711-86-6] analogs which had suppressive activity from 80 to 640 mg/kg. Several of the derivs. of I had prophylactic antimalarial activity against Plasmodium gallinaceum infections in chicks and also had antibacterial activity against Streptococcus faecalis and Streptococcus aureus.

IT **65659-60-1**
RL: RCT (Reactant)
(condensation of, with amines)

RN 65659-60-1 HCAPLUS

CN Pyrazinecarbonitrile, 3-amino-6-(bromomethyl)-, 4-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 104 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1978:115193 HCAPLUS

DN 88:115193

TI Folate antagonists. 11. Synthesis and antimalarial effects of 6-[(aryloxy- and arylthio-)methyl]-2,4-pteridinediamines and -pteridinediamine 8-oxides

AU Werbel, Leslie M.; Johnson, Judith; Elslager, Edward F.; Worth, Donald F.

CS Res. Med. Aff. Div., Parke, Davis and Co., Ann Arbor, Mich., USA

SO J. Med. Chem. (**1978**), 21(4), 337-9
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

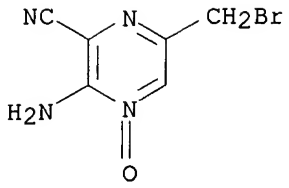
LA English

AB Condensation of 3-amino-6-(bromomethyl)-2-pyrazinecarbonitrile 4-oxide [**65659-60-1**] with 4-chlorophenol [106-48-9] gave I (n = 1, X = O, Ar = 4-ClC6H4) [65659-48-5] which was deoxygenated to obtain the des-N-oxide (I; n = 0, X = O, Ar = 4-ClC6H4) [65659-51-0]. Cyclization of the des-N-oxide and I with guanidine [113-00-8] produced the pteridine (II; n = 0, X = O, Ar = 4-ClC6H4-) [65659-56-5] and its 8-oxide (II; n = 1, X = O, Ar = 4-ClC6H4-) [65659-53-2], resp. The prepn. of 6-[(arylthio)methyl]-2,4-pteridinediamines and their 8-oxides was analogous. Controlled oxidn. of II (n = 0, X = S, Ar = 4-ClC6H4-) [54798-36-6] gave the corresponding sulfoxide (II; n = 0, X = SO, Ar = 4-ClC6H4-) [65659-57-6] and sulfone (II; n = 0, X = SO2, Ar = 4-ClC6H4-) [65659-58-7]. None of these compds. had significant antimalarial activity in mice or antibacterial activity in vitro.

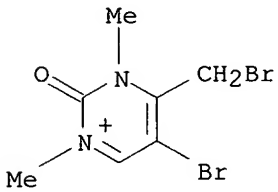
IT **65659-60-1**
RL: BIOL (Biological study)
(condensation of, with chlorophenol)

RN 65659-60-1 HCAPLUS

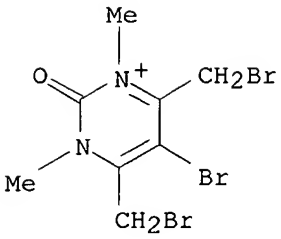
CN Pyrazinecarbonitrile, 3-amino-6-(bromomethyl)-, 4-oxide (9CI) (CA INDEX NAME)



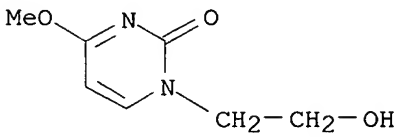
L72 ANSWER 105 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1978:22813 HCAPLUS
DN 88:22813
TI Studies of 2-oxo- and 2-thioxo-1,2-dihydropyrimidinium salts
AU Lloyd, Douglas; McNab, Hamish; Tucker, Kanwaljit S.
CS Dep. Chem., Univ. St. Andrews, St. Andrews, Scot.
SO J. Chem. Soc., Perkin Trans. 1 (**1977**), (16), 1862-9
CODEN: JCPRB4
DT Journal
LA English
AB The deuteration, halogenation, diazo coupling, reaction with nucleophiles, UV, mass, 1H, and 13C NMR spectra of 2-oxo- and 2-thioxo-1,2-dihydropyrimidinium salts were compared with those of 2,2-dialkyl-1,2-dihydropyrimidinium and 2,3-dihydro-1,4-diazepinium salts to demonstrate the effect of an adjacent oxo or thioxo group on the properties of a 1,5-diazopentadienium system.
IT **65192-42-9P 65192-44-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 65192-42-9 HCAPLUS
CN Pyrimidinium, 5-bromo-4-(bromomethyl)-2,3-dihydro-1,3-dimethyl-2-oxo-, bromide (9CI) (CA INDEX NAME)



RN 65192-44-1 HCAPLUS
CN Pyrimidinium, 5-bromo-4,6-bis(bromomethyl)-2,3-dihydro-1,3-dimethyl-2-oxo-, bromide (9CI) (CA INDEX NAME)



L72 ANSWER 106 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1977:551817 HCAPLUS
DN 87:151817
TI Synthetic studies with carbonates. Part 6. Syntheses of 2-hydroxyethyl derivatives by reactions of ethylene carbonate with carboxylic acids or heterocycles in the presence of tetraethylammonium halides or under autocatalytic conditions
AU Yoshino, Teruo; Inaba, Shigeru; Komura, Hajime; Ishido, Yoshiharu
CS Dep. Chem., Int. Christ. Univ., Tokyo, Japan
SO J. Chem. Soc., Perkin Trans. 1 (**1977**), (11), 1266-72
CODEN: JCPRB4
DT Journal
LA English
AB Et4N+ I- catalyzed reactions of ethylene carbonate (I) with carboxylic acids gave 2-hydroxyethyl esters and the diesters arising from disproportionation of the former products. E.g., I with BzOH and Et4N+ I- at 150-5.degree. for 0.3 h gave 69.5% BzO(CH2)2OH and 22% (BzOCH2)2. The autocatalytic reactions of I with strong carboxylic acids at elevated temps. gave ethylene glycol diesters selectively. Reaction mechanisms are discussed. I or propylene carbonate with acid anhydrides or active acyl compds. in the presence of Et4N+ I- gave alkylene glycol diesters or 2-acyloxyalkyl aryl ethers in high yields. I with heterocyclic compds. contg. an acidic imino H atom, e.g. imidazole, adenine, 2-hydroxypyridine, with or without catalyst gave the corresponding N-2-hydroxyethyl derivs.
IT **64330-84-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 64330-84-3 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4-methoxy- (9CI) (CA INDEX NAME)



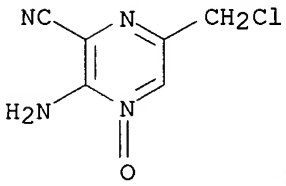
L72 ANSWER 107 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1977:468281 HCAPLUS

activity as I (m = 0, n = 2) (II) against bacterial and mammalian cells in culture and were inhibitors of the enzyme dihydrofolate reductase. When given intraperitoneally to leukemic mice at a dose of 120 mg/kg, I (m = 0, n = 4) produced a 67% increase in survival and no toxicity, whereas II gave a 44% increase in survival at a dose of 45 mg/kg but was toxic at higher doses. I (m = 1, n = 1) was similarly prepd.

IT **40127-89-7**
RL: RCT (Reactant)
(reaction of, with amino diacids)

RN 40127-89-7 HCAPLUS

CN Pyrazinecarbonitrile, 3-amino-6-(chloromethyl)-, 4-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 110 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1976:508609 HCAPLUS

DN 85:108609

TI Synthesis and properties of pyrimidinylalkylsulfonamides. 1. Reaction of some .omega.-haloalkyluracils with p-toluenesulfonamide

AU Shvetsov, Yu. S.; Shirshov, A. N.; Reznik, V. S.

CS Inst. Org. Fiz. Khim. im. Arbuzova, Kazan, USSR

SO Izv. Akad. Nauk SSSR, Ser. Khim. (**1976**), (5), 1103-6
CODEN: IASKA6

DT Journal

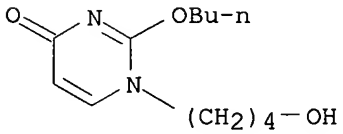
LA Russian

AB Reaction of I (n = 3, 4, R = H, Me) with p-MeC6H4SO2NHNa (II) gave 46.5-80% III. Reaction of II with 1-(4-bromobutyl)uracil in BuOH gave IV, V, and VI.

IT **60316-15-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 60316-15-6 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-butoxy-1-(4-hydroxybutyl)- (9CI) (CA INDEX NAME)



L72 ANSWER 111 OF 136 HCAPLUS COPYRIGHT 2002 ACS

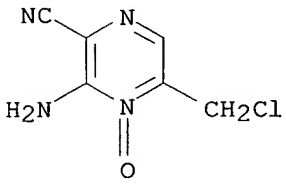
AN 1976:164726 HCAPLUS

DN 84:164726

TI Pteridines. XXXIX. Synthesis of 2,4-diamino-7-alkenylpteridines and their 8-oxides

AU Taylor, Edward C.; Kobayashi, T.

CS Dep. Chem., Princeton Univ., Princeton, N. J., USA
SO J. Org. Chem. (**1976**), 41(8), 1299-303
CODEN: JOCEAH
DT Journal
LA English
AB A versatile and flexible route to a variety of 2,4-diamino-7-alkenylpteridines was described. Condensation of aminomalononitrile with .alpha.-oximino-.beta.-chloroaldehydes R1COC(:NOH)CClR2R3 [R1-R3 = H; R1 = R2 = H, R3 = Me, Pr; R1R2 = (CH2)3, R3 = H] (prepd. by the addn. of nitrosyl chloride to .alpha.,.beta.-unsatd. aldehydes) gave 2-amino-3-cyano-6-(1-chloroalkyl)pyrazine 1-oxides I. The 6-chloromethyl compd. I (R1-R3 = H) was converted to a stable phosphorane which was condensed with aldehydes to give a series of 2-amino-3-cyano-6-alkenylpyrazine 1-oxides II (R = Me, CH2OH, CO2H, Ph, 3,4-Cl2C6H3, 3,4-(OCH2O)C6H3, 2-thienyl, 3-pyridyl) which were cyclized with guanidine to 2,4-diamino-7-alkenylpteridine 8-oxides III (n = 1). Deoxygenation of I (R1-R3 = H) with PCl3 in THF gave 2-amino-3-cyano-6-chloromethylpyrazine, which analogously gave a series of 2,4-diamino-7-alkenylpteridines III (n = 0).
IT **58091-59-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reactions of)
RN 58091-59-1 HCAPLUS
CN Pyrazinecarbonitrile, 3-amino-5-(chloromethyl)-, 4-oxide (9CI) (CA INDEX NAME)

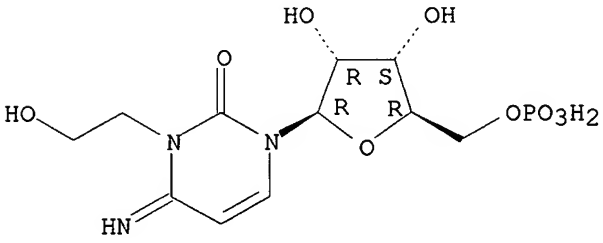


L72 ANSWER 112 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1976:84420 HCAPLUS
DN 84:84420
TI Reaction of 1,3-bis(2-chloroethyl)-1-nitrosourea with synthetic polynucleotides
AU Ludlum, David B.; Kramer, Barnett S.; Wang, Julie; Fenselau, Catherine
CS Sch. Med., Univ. Maryland, Baltimore, Md., USA
SO Biochemistry (**1975**), 14(25), 5480-5
CODEN: BICHAW
DT Journal
LA English
AB The antitumor agent BCNU (1,3-bis(2-chloroethyl)-1-nitrosourea) [154-93-8] was incubated with poly(C) [30811-80-4] and poly(G) [25191-14-4] in aq. soln. at 37.degree. and pH 7 to produce approx. 0.33 and 0.07% substitution, resp. Under the same conditions, there was relatively little reaction with poly(A) [24937-83-5] and poly(U) [27416-86-0]. Polynucleotides reacted with [14C]BCNU were digested by chem. and enzymatic methods, and the deriv. nucleotides were isolated by column chromatog. These were identified by a combination of uv and mass spectroscopy as 3-(.beta.-hydroxyethyl)CMP [**51619-76-2**], 3,N4-ethano-CMP [51619-77-3], and 7-(.beta.-hydroxyethyl)GMP [58114-30-0]. This would indicate that BCNU generates active 2 C fragments, probably

chloroethyl carbonium ions, which are free to react with nucleotides. The prodn. of these substituted bases may be important to the mechanism of action of the therapeutic nitrosoureas since they would probably alter the function of any nucleic acid which contained them.

IT **51619-76-2**
RL: BIOL (Biological study)
(as BCNU reaction product with poly(C))
RN 51619-76-2 HCAPLUS
CN 5'-Cytidylic acid, 3-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

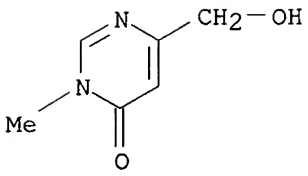


L72 ANSWER 113 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1976:59532 HCAPLUS
DN 84:59532
TI Substituted pyrimidinones
IN Maki, Yoshifumi; Imada, Katsumi
PA Daiichi Seiyaku Co., Ltd., Japan
SO Japan. Kokai, 4 pp.
CODEN: JKXXAF
DT **Patent**
LA Japanese
FAN.CNT 1

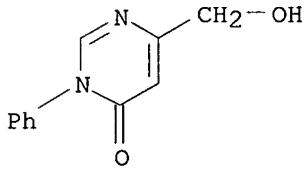
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 50101369	A2	19750811	JP 1974-9924	19740123 <--
JP 57060340	B4	19821218		

AB Substituted pyrimidinones (I; R1 = alkyl, aralkyl, aryl; R2 = H, hydroxyalkyl, alkyl, aryl, aralkyl, aminoalkyl) were prepd. by irradn. of pyridazinium derivs. (II) with light. Thus, 0.3 g anhyd. II (R1 = Ph, R2 = 6-HOCH2) in MeOH was irradiated 10 hr at room temp. under N to give 67.0% I (R1 = Ph, R2 = 6-HOCH2). I also prepd. were (R1, R2 given): Me, 6-HOCH2; p-ClC6H4, 6-HOCH2; p-tolyl, 6-HOCH2.

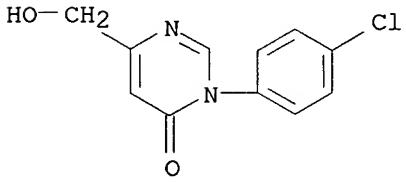
IT **55609-66-0P 55609-67-1P 55609-68-2P**
55609-69-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 55609-66-0 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(hydroxymethyl)-3-methyl- (9CI) (CA INDEX NAME)



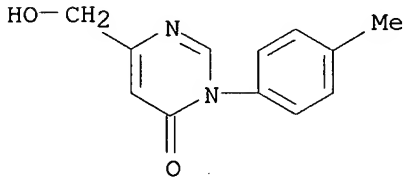
RN 55609-67-1 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(hydroxymethyl)-3-phenyl- (9CI) (CA INDEX NAME)



RN 55609-68-2 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(4-chlorophenyl)-6-(hydroxymethyl)- (9CI) (CA INDEX NAME)

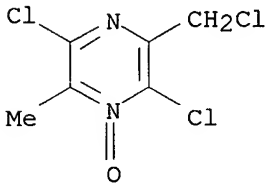


RN 55609-69-3 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(hydroxymethyl)-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)

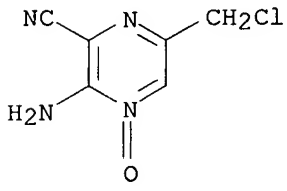


L72 ANSWER 114 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1976:59387 HCAPLUS
DN 84:59387
TI Synthesis of pyrazine derivatives. I. Reactions of 2,5-dimethylpyrazine N-oxides with acid chlorides
AU Matsuura, Kobun; Inomata, Miyoko; Oikawa, Sumiko; Jin, Kang; Itai, Takanobu
CS Showa Coll. Pharm. Sci., Tokyo, Japan
SO Chem. Pharm. Bull. (**1975**), 23(11), 2913-17
CODEN: CPBTAL

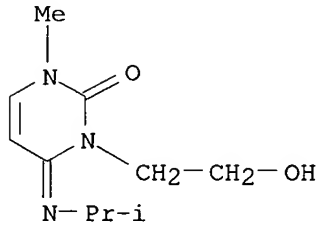
DT Journal
LA English
AB When N-oxides of 2,5-dimethlpyrazine and their 3,6-disubstituted (chlorine or alkoxy) derivs. were reacted with POCl3, various compds. substituted by Cl on the nucleus and/or on the Me group(s) were produced in low yields. Other acid chlorides gave similar results. Chlorinated compds. thus obtained were converted to their corresponding alkoxy derivs. (methoxy, ethoxy or benzyloxy).
IT **58550-01-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 58550-01-9 HCAPLUS
CN Pyrazine, 2,5-dichloro-3-(chloromethyl)-6-methyl-, 1-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 115 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1975:497205 HCAPLUS
DN 83:97205
TI Pteridines. XXXV. Total synthesis of asperopterin B
AU Taylor, Edward C.; Abdulla, Riaz F.; Jacobi, Peter A.
CS Dep. Chem., Princeton Univ., Princeton, N. J., USA
SO J. Org. Chem. (**1975**), 40(16), 2336-40
CODEN: JOCEAH
DT Journal
LA English
AB Asperopterin B (I) is found in the culture broth of Aspergillus oryzae. Two independent routes to 2,4-diamino-6-hydroxymethyl-7(8H)-pteridinone (II) were described. II was hydrolyzed to isoxanthopterin III which was regiospecifically methylated to give I. Both syntheses of II use the authors' synthetic approach to pteridines.
IT **40127-89-7**
RL: RCT (Reactant)
(chlorination of)
RN 40127-89-7 HCAPLUS
CN Pyrazinecarbonitrile, 3-amino-6-(chloromethyl)-, 4-oxide (9CI) (CA INDEX NAME)



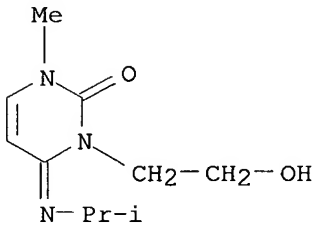
L72 ANSWER 116 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1975:443261 HCAPLUS
DN 83:43261
TI Role of Michael adducts in pyrimidine chemistry. Reactions of 3-(.beta.-methanesulfonyloxyethyl)-1-methyluracil with bases
AU Lovett, Eva G.; Lipkin, David
CS Dep. Chem., Washington Univ., St. Louis, Mo., USA
SO J. Org. Chem. (**1975**), 40(12), 1722-8
CODEN: JOCEAH
DT Journal
LA English
AB The reactions of 3-(.beta.-methylsulfonyloxyethyl)-1-methyluracil (I) with hydroxide in Me2SO and (CD3)2SO were investigated in an attempt to trap a Michael adduct. Though a product contg. an oxazoline ring can form easily under a variety of conditions, the principal product was a malonsemialdehyde-substituted imidazolidone, characterized as the enamine deriv. II (R = Me2CHNH or NEt2). This resulted from the addn. of hydroxide at C-6 of the mesyl ester and subsequent cleavage between N1 and C-6 of the pyrimidine ring. The reactions between hydroxide and ester in deuterated media support the carbanion mechanism for exchange at C-6 of the mesylate and elucidate a competing pathway involving Michael addn. The reactions of I with alcs., chlorides, and amines were studied to complete the comparison with the behavior of the isomeric salt, N3,O4-ethylene-1-methyluracilium mesylate.
IT **54931-94-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 54931-94-1 HCAPLUS
CN 2(1H)-Pyrimidinone, 3,4-dihydro-3-(2-hydroxyethyl)-1-methyl-4-[(1-methylethyl)imino]- (9CI) (CA INDEX NAME)



L72 ANSWER 117 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1975:443260 HCAPLUS
DN 83:43260
TI N3,O4-Ethylene-1-methyluracilium methanesulfonate. Uracil-derived heteronuclear stabilized cation
AU Lipkin, David; Lovett, Eva G.
CS Dep. Chem., Washington Univ., St. Louis, Mo., USA
SO J. Org. Chem. (**1975**), 40(12), 1713-21
CODEN: JOCEAH
DT Journal
LA English
AB The prepn. and properties of N3,O4-ethylene-1-methyluracilium methanesulfonate (I), a heteronuclear stabilized cation, and its interconversions with 3-(.beta.-methylsulfonyloxyethyl)-1-methyluracil were studied. I has three sites for reactions with nucleophilic reagents:

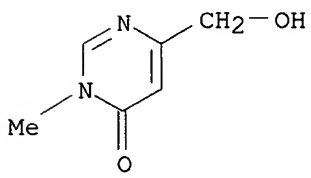
the .beta. carbon of the ethylene moiety and C-4 and C-6 of the pyrimidine ring. Attack at the .beta. position occurred with Me2SO, water, alcs., benzoate, Cl-, Et2NH, and pyridine. A strong rate dependence on solvent was noted with Cl-. Products resulting from attack at C-4 were obsd. with water, OH-, alcs., alkoxide, and Me2CHNH2. Et2NH was the only reagent which attacked C-6 of the cation. 18O expts. verified the sites at which the uracilium salt reacted with OH- and water. Although the N3,O4-ethylene-1-methyluracilium cation bears a net pos. charge, deuterium exchange reactions were not obsd. Mechanisms are proposed for the various reactions.

IT **54931-94-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 54931-94-1 HCAPLUS
CN 2(1H)-Pyrimidinone, 3,4-dihydro-3-(2-hydroxyethyl)-1-methyl-4-[(1-methylethyl)imino]- (9CI) (CA INDEX NAME)

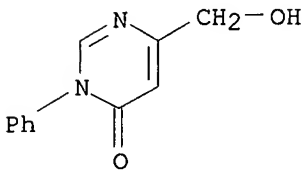


L72 ANSWER 118 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1975:97984 HCAPLUS
DN 82:97984
TI Photochemistry of mesoionic pyridazines
AU Maki, Y.; Suzuki, M.; Furuta, T.; Hiramitsu, T.; Kuzuya, M.
CS Gifu Coll. Pharm., Gifu, Japan
SO Tetrahedron Lett. (**1974**), (47), 4107-10
CODEN: TELEAY
DT Journal
LA English
AB Irradn. of mesionic pyridazines I (R = Me, Ph, p-ClC6H4, p-MeC6H4) in EtOH gave 35-75% of the pyrimidones II. Several mechanisms involving a ketene- or valenetype intermediate were considered.

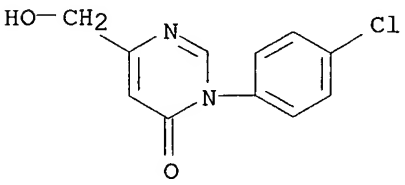
IT **55609-66-0P 55609-67-1P 55609-68-2P**
55609-69-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 55609-66-0 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(hydroxymethyl)-3-methyl- (9CI) (CA INDEX NAME)



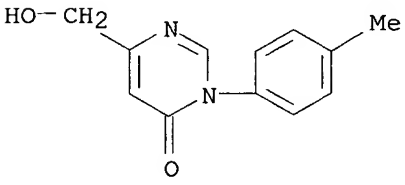
RN 55609-67-1 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(hydroxymethyl)-3-phenyl- (9CI) (CA INDEX NAME)



RN 55609-68-2 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(4-chlorophenyl)-6-(hydroxymethyl)- (9CI) (CA INDEX NAME)



RN 55609-69-3 HCAPLUS
CN 4(3H)-Pyrimidinone, 6-(hydroxymethyl)-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)



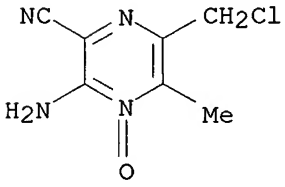
L72 ANSWER 119 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1975:38480 HCAPLUS
DN 82:38480
TI Methotrexate analogs. 4. 7-Methyl derivatives of methotrexate and dichloromethotrexate. New synthesis and some biological studies
AU Rosowsky, Andre; Chen, Katherine K. N.
CS Child. Cancer Res. Found., Harvard Med. Sch., Boston, Mass., USA
SO J. Med. Chem. (**1974**), 17(12), 1308-11
CODEN: JMCMAR
DT Journal
LA English
AB Conversion of methotrexate (I) [59-05-2] and 3',5'-dichloromethotrexate (II) [528-74-5] to their 7-methyl analogs III [35190-25-1] and IV [53729-18-3], resp., to prevent metab. in vivo to the inactive 7-hydroxy derivs. resulted in marked loss of antileukemic activity in mice and in vitro and loss of inhibitory potency against purified dihydrofolate reductase [9002-03-3] from Lactobacillus casei and L1210-FR8 tumor. The lack of antitumor activity presumably resulted from impaired enzyme binding. III was prepd. from 2-amino-5-chloromethyl-3-cyano-6-

methylpyrazine 1-oxide [**53661-20-4**] and diethyl N-(p-N-methylaminobenzoyl)glutamate [2378-95-2] by the method of E. C. Taylor, et al. (1973).

IT **53661-20-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with methylaminobenzoylglutamate)

RN 53661-20-4 HCAPLUS

CN Pyrazinecarbonitrile, 3-amino-6-(chloromethyl)-5-methyl-, 4-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 120 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1975:25685 HCAPLUS

DN 82:25685

TI Methotrexate analogs. 3. Synthesis and biological properties of some side-chain altered analogs

AU Chaykovsky, Michael; Rosowsky, Andre; Papathanasopoulos, Nickolas; Chen, Katherine K. N.; Modest, Edward J.; Kisliuk, Roy L.; Gaumont, Yvette

CS Child. Cancer Res. Found., Harvard Med. Sch., Boston, Mass., USA

SO J. Med. Chem. (**1974**), 17(11), 1212-16
CODEN: JMCMAR

DT Journal

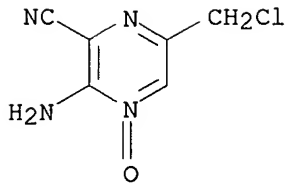
LA English

AB Several methotrexate [59-05-2] analogs with the glutamate moiety modified to enhance lipophilic character were prepd. Some of these had antibacterial activity against folate-dependent Streptococcus faecium in vitro approximately equal to that of methotrexate [e.c.50% inhibitory dose = 0.001 .mu.g/ml for Et p-[[(2,4-diamino-6-pteridinyl)methyl]methylamino]benzoate (I) [43111-51-9]]. However, all were much less inhibitory than methotrexate against dihydrofolate reductase [9002-03-3] from Lactobacillus casei, chicken liver, or L1210-FR8 leukemia cells. Only methotrexate diethyl ester [43170-88-3] showed activity in vivo against L1210 leukemia in mice comparable to that of methotrexate; the ester was hydrolyzed by mouse serum and ascites fluid. I was curative against Plasmodium gallinaceum in chicks at .gtoreq.15 mg/kg s.c. The compds. were prepd. by condensation of 2-amino-3-cyano-5-chloromethylpyrazine 1-oxide [**40127-89-7**] with the appropriate p-(methylamino)benzoyl compd., deoxygenation of the N-oxide with P(OEt)3, and cyclization with guanidine-HCl [50-01-1].

IT **40127-89-7**
RL: RCT (Reactant)
(reaction of, with methylaminobenzoyl compds.)

RN 40127-89-7 HCAPLUS

CN Pyrazinecarbonitrile, 3-amino-6-(chloromethyl)-, 4-oxide (9CI) (CA INDEX NAME)

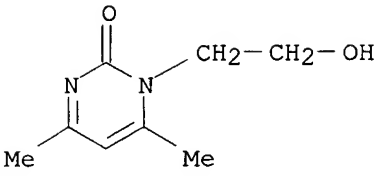


L72 ANSWER 121 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1974:479606 HCAPLUS
DN 81:79606
TI Bulky pulp
IN Fujii, Masahiro; Takahashi, Ryoji
PA Chisso Corp.
SO Japan. Kokai, 6 pp.
CODEN: JKXXAF
DT **Patent**
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48103802	A2	19731226	JP 1972-35582	19720408 <--

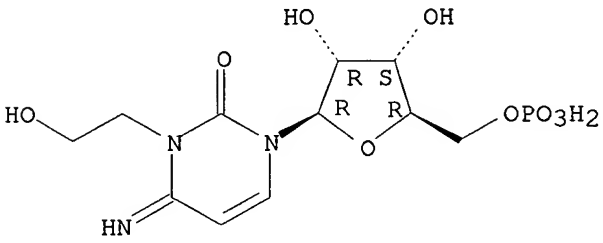
AB Cellulose fibers are treated with a compd. having .geq.2 CH2OH groups/mol. to give bulky cellulose fibers. Bulky pulp is used to make bulky paper products. Thus, 20g softwood bleached kraft pulp contg. 8.8% water was immersed in a mixt. of 1,3-bis(hydroxymethyl)- 4,5-dihydroxy-2-imidazolidinone [1854-26-8] 40, Al2(SO4)3 30, and water 1960 parts, squeezed to 150% gain in wt., heated 30 min at 100.deg., beaten 3 min with 1500 cm3 water, filtered without pressing, and dried at 100.deg. to give bulky pulp with apparent d. 0.062 g/cm3, compared with 0.21 g/cm3 for similar pulp treated with water alone. 1,3-Bis(hydroxymethyl)-5-methyl-hexahydro-s-triazin-2-one [91-05-4] and 1,3-bis(hydroxymethyl)-5-hydroxy-tetrahydropyrimidin-2-one [**16993-72-9**] were similarly used.

L72 ANSWER 122 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1974:104422 HCAPLUS
DN 80:104422
TI Inhibitory effect of N-hydroxyalkylpyrimidones on foot-and-mouth disease virus in a PP tissue culture
AU Shityi, A. G.
CS Semipalatinsk. Zoovet. Inst., Semipalatinsk, USSR
SO Tr. Alma-At. Zoovet. Inst. (**1972**), 20, 95-6
CODEN: TAZIAK
DT Journal
LA Russian
AB Of 12 N-hydroxyalkylpyrimidones studied, only N3-(.beta.-hydroxypropyl)-6-methyluracil (I) [20551-24-0] and N-(.beta.-hydroxyethyl)-4,6-dimethyl-2-pyrimidone [**14716-32-6**] inhibited the growth of hoof-and-mouth disease in PP tissue cultures.
IT **14716-32-6**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(virucidal activity of, for foot-and-mouth disease virus)
RN 14716-32-6 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)



L72 ANSWER 123 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1974:92238 HCAPLUS
DN 80:92238
TI Reaction of BCNU (1,3-bis(2-chloroethyl)-1-nitrosourea) with poly(cytidylic acid). Substitution of the cytosine ring
AU Kramer, Barnett S.; Fenselau, Catherine C.; Ludlum, David B.
CS Sch. Med., Univ. Maryland, Baltimore, Md., USA
SO Biochem. Biophys. Res. Commun. (**1974**), 56(3), 783-8
CODEN: BBRCA9
DT Journal
LA English
AB BCNU was reacted with polycytidylic acid and 2 derivatives of CMP, 3-hydroxyethylcytidine monophosphate and 3,N4-ethanocytidine monophosphate, were identified in the acid hydrolyzate of the polymer. Their formation accounts for some of the reactions of BCNU with nucleic acids, and may be related to the mechanism of action of this compound.
IT **51619-76-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 51619-76-2 HCAPLUS
CN 5'-Cytidylic acid, 3-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



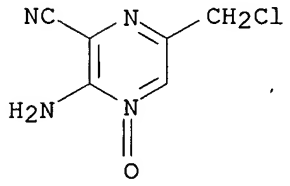
L72 ANSWER 124 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1973:492160 HCAPLUS
DN 79:92160
TI Side-chain altered methotrexate analogs designed for improved membrane transport
AU Chaykovsky, Michael; Rosowsky, Andre; Modest, Edward J.
CS Child. Cancer Res. Found., Harvard Med. Sch., Boston, Mass., USA
SO J. Heterocycl. Chem. (**1973**), 10(3), 425-6
CODEN: JHTCAD
DT Journal
LA English
AB 2-Amino-3-cyano-5-(chloromethyl)pyrazine 1-oxide was treated with

p-(MeNH)C6H4CONHCHMe(CH2)2Me in THF contg. K2CO3 to give the pyrazine oxide I [R = NHCHMe(CH2)2Me], which was reduced with (EtO)3P and the product treated with NH2C(:NH)NH2 to give the methotrexate II (R = NHCHMe). II [R = OH, OEt, NHCH(CO2Et)(CH2)2CO2Et, NHCH(CH2OH)(CH2)3OH, 1-adamantylamino] were similarly prepd. I and H2NC(:NH)NH2 gave the methotrexate oxides III [R = NHCH(CO2Et)(CH2)2CO2Et, 1-adamantylamino]. At 32 mg/kg, II [R = NHCH(CO2Et)(CH2)2CO2Et] increased the survival time of mice infected with L1210 leukemia by 50%.

IT **40127-89-7**
RL: RCT (Reactant)
(reaction of, with p-(methylamino)benzoyl deriv.)

RN 40127-89-7 HCAPLUS

CN Pyrazinecarbonitrile, 3-amino-6-(chloromethyl)-, 4-oxide (9CI) (CA INDEX NAME)



L72 ANSWER 125 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1973:478742 HCAPLUS

DN 79:78742

TI Pteridines. XXXII. 2-Amino-3-cyano-5-chloromethylpyrazine 1-oxide and its conversion to 6-alkenyl-substituted pteridines

AU Taylor, Edward C.; Kobayashi, T.

CS Dep. Chem., Princeton Univ., Princeton, N. J., USA

SO J. Org. Chem. (**1973**), 38(16), 2817-21
CODEN: JOCEAH

DT Journal

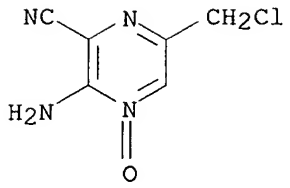
LA English

AB 2-Amino-3-cyano-5-chloromethylpyrazine 1-oxide (I), prepd. by the condensation of .beta.-chloropyruvaldoxime with aminomalononitrile tosylate, was deoxygenated with PCl3 to 2-amino-3-cyano-5-chloromethylpyrazine (II). Both I and II were converted by conventional procedures to triphenylphosphonium ylides (Wittig reagents) and, hence, by condensation with aldehydes, to parallel series of 5-alkenylpyrazines (III and IV). Cyclization of IV with guanidine gave 2,4-diamino-6-alkenylpteridines, of interest as intermediates for the synthesis of biopterin and biopterin analogs. Some addnl. reactions of the above pyrazine intermediates are also described.

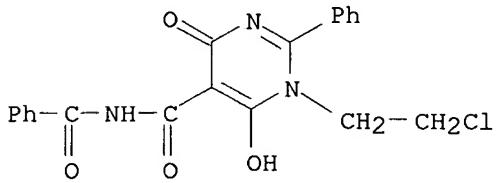
IT **40127-89-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 40127-89-7 HCAPLUS

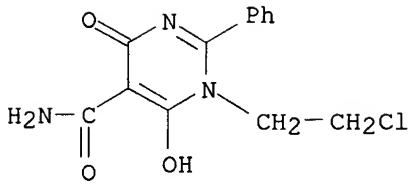
CN Pyrazinecarbonitrile, 3-amino-6-(chloromethyl)-, 4-oxide (9CI) (CA INDEX NAME)



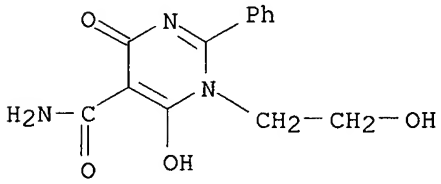
L72 ANSWER 126 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1973:16119 HCAPLUS
DN 78:16119
TI Acyl and thioacyl isocyanates. XI. Reactions of benzoyl and thiobenzoyl isocyanates with 2-thiazolines and 2-oxazolines
AU Tsuge, O.; Kanemasa, S.
CS Res. Inst. Ind. Sci., Kyushu Univ., Fukuoka, Japan
SO Tetrahedron (**1972**), 28(18), 4737-46
CODEN: TETRAB
DT Journal
LA English
AB PhCSNCO reacted with 2-thiazoline and 2-methyl-2-thiazoline (I) to give 6,7-dihydro-2-phenylthiazolo-[2,3,-b]-1,3,5-thiadiazin-4(8aH)-one (II) and its 8a-Me deriv., resp. BzNCO reacted with I to give 2,3-dihydro-5-phenyl-8-(benzoylcarbamoyl)thiazolo[3,2-c]pyrimidin-7-one (III); PhCSNCO reacted with I and 2-methyl-2-oxazoline (IV) at 90.degree. to give the corresponding 8-[(thiobenzoyl)carbanoyl]thiazolo- and -oxazolo[3,2-c]pyrimidin-7-ones, while reaction of BzNCO with IV gave 2-[bis(benzoylcarbamoyl)methylene]oxazolidine which, with AcOH, gave the corresponding oxazolo[3,2-c]pyrimidine. BzNCO reacted with 2-ethyl-2-thiazoline to give 2,3-dihydro-6-benzoyl-8-methylthiazolo[3,2-c]pyrimidine-5,7-dione and 2,3-dihydro-5-phenyl-8-methylthiazolo[3,2-c]pyrimidin-7-one. The reactions proceed by attack of the isocyanates on the tautomeric enamines of 2-alkyl-2-thiazoline and-2-oxazoline.
IT **39931-52-7P 39931-53-8P 39931-55-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 39931-52-7 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-benzoyl-1-(2-chloroethyl)-1,4-dihydro-6-hydroxy-4-oxo-2-phenyl- (9CI) (CA INDEX NAME)



RN 39931-53-8 HCAPLUS
CN 5-Pyrimidinecarboxamide, 1-(2-chloroethyl)-1,4-dihydro-6-hydroxy-4-oxo-2-phenyl- (9CI) (CA INDEX NAME)



RN 39931-55-0 HCAPLUS
CN 5-Pyrimidinecarboxamide, 1,4-dihydro-6-hydroxy-1-(2-hydroxyethyl)-4-oxo-2-phenyl- (9CI) (CA INDEX NAME)



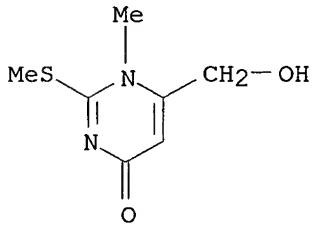
L72 ANSWER 127 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1971:125608 HCAPLUS
DN 74:125608
TI 1,3-Thiazines as pyrimidine precursors. VII. Nickel peroxide as a selective oxidant in the pyrimidine series. Synthesis of 1-substituted-orotic and 2-thioorotic acids
AU Warrener, Ronald N.; Cain, E. N.
CS Sch. Gen. Stud., Aust. Natl. Univ., Canberra, Aust.
SO Aust. J. Chem. (**1971**), 24(4), 785-807
CODEN: AJCHAS
DT Journal
LA English
AB A versatile route to the synthesis of 1-substituted-orotic or 2-thioorotic acids is described. The method involves 3 steps: prepn. of 6-hydroxymethyl-2-thio-1,3-thiazine, conversion of the 1,3-thiazine into the 1-substituted-2-thiouracil by reaction with a primary amine, and oxidn. of the 6-hydroxymethyl group to a carboxyl group. 3,4-Dihydro-6-hydroxymethyl-4-oxo-2-thio-2H-1,3-thiazine was prepd. directly from the reaction of dithiocarbamic acid with Et .gamma.-hydroxytetrolate. Reaction of the thiazine with primary amines produced the related 6-hydroxymethyl-2-thiouracils in high yield. Similar reactions with O-benzylhydroxylamine formed the O-benzyl deriv. of the 1-hydroxy-2-thiopyrimidine. Ni peroxide served as a selective oxidant in the pyrimidine series. Smooth oxidn. of the hydroxymethyl group to carboxyl is demonstrated by conversion of the 6-hydroxymethyluracils into the corresponding orotic acids. The same products result from the 2-thiouracils on treatment with excess reagent because addnl. oxidative desulfurization of the 2-thione group occurs. Selective oxidn. of the 6-hydroxymethyl group can be achieved in the presence of the 2-thione group using 2 equivs. of Ni peroxide, to form a 1-substituted-2-thioorotic acid. Application of this method to the prepn. of 1-hydroxyorotic acid was successful, but only in the presence of excess Ni peroxide. Under other conditions rapid decarboxylation occurred to form either 1-benzyloxyuracil or 1-benzyloxy-2-thiouracil and this has been developed into a useful synthetic route to these products. The role of Ni complexes

in this decarboxylation is discussed in terms of the hard-soft, acid-base theory.

IT **31555-15-4P 31555-20-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

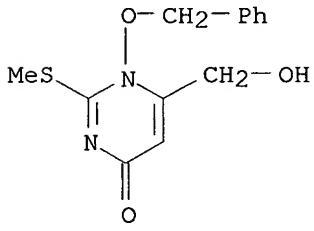
RN 31555-15-4 HCAPLUS

CN 4(1H)-Pyrimidinone, 6-(hydroxymethyl)-1-methyl-2-(methylthio)- (8CI) (CA INDEX NAME)



RN 31555-20-1 HCAPLUS

CN 4(1H)-Pyrimidinone, 1-(benzyloxy)-6-(hydroxymethyl)-2-(methylthio)- (8CI) (CA INDEX NAME)



L72 ANSWER 128 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1970:414806 HCAPLUS

DN 73:14806

TI Preparation and reactions of some substituted pyrazine di-N-oxides

AU Blake, K. W.; Sammes, Peter G.

CS Chem. Dep., Imp. Coll., London, Engl.

SO J. Chem. Soc., C (**1970**), (8), 1070-3
CODEN: JSOOAX

DT Journal

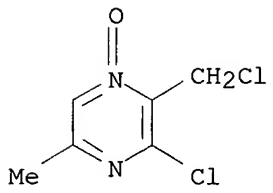
LA English

AB 2,5-Dichloro-3,6-dimethylpyrazine is readily oxidized by trifluoroperacetic acid to the di-N-oxide, in which only one Cl atom is easily hydrolyzed by acid or base; however both Cl atoms can be displaced by alkoxide ions. Treatment of the dibenzyloxy deriv. with acid gives 1,5-dihydroxy-3,6-dimethylpyrazin-2(1H)-one 4-oxide, related to pulcherriminic acid. Oxidn. of 2-chloro-3,6-dimethylpyrazine 4-oxide with trifluoroperacetic acid gives the di-N-oxide, which can react further, by oxidative deoxygenation, to give 2-chloro-3,6-dimethylpyrazine 1-oxide.

IT **27023-07-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 27023-07-0 HCAPLUS

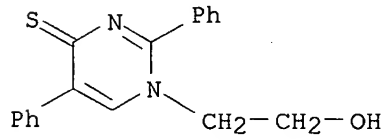
CN Pyrazine, 3-chloro-2-(chloromethyl)-5-methyl-, 1-oxide (8CI) (CA INDEX NAME)



L72 ANSWER 129 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1970:127279 HCAPLUS
DN 72:127279
TI Pyrimidine-4-thiones as stabilizers for photographic emulsions
IN Lamon, Robert W.
PA Eastman Kodak Co.
SO Ger. Offen., 47 pp.
CODEN: GWXXBX
DT **Patent**
LA German
FAN.CNT 1

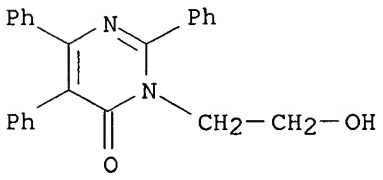
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1940848	A	19700219	DE 1969-1940848	19690811 <--
	US 3615621	A	19711026	US 1968-751745	19680812 <--
	FR 2015508	A5	19700430	FR 1969-27304	19690808 <--
	BE 737345	A	19700116	BE 1969-737345	19690811 <--
	BR 6911440	A0	19730125	BR 1969-211440	19690811 <--
PRAI	US 1968-751745		19680812		

AB The compds. (U.S. 3,210,355; CA 65: 2278g) obtainable from acyl isocyanate-enamine adducts (4H-1,3-oxazine-4-thiones) by reaction with primary amines, added to Ag halide emulsions in amts. of <100 mg per mole Ag prior to or after the chem. ripening, retard the loss of speed and increase in fog during storage.
IT **22126-18-7**
RL: USES (Uses)
(photographic stabilizers)
RN 22126-18-7 HCAPLUS
CN 4(1H)-Pyrimidinethione, 1-(2-hydroxyethyl)-2,5-diphenyl- (8CI) (CA INDEX NAME)



L72 ANSWER 130 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1969:449884 HCAPLUS
DN 71:49884
TI Conversion of oxazinones to pyrimidines

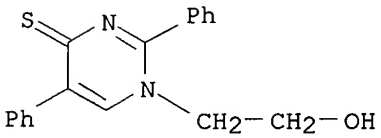
AU Giammanco, Lorenzo
CS Univ. Palermo, Palermo, Italy
SO Atti Accad. Sci., Lett. Arti Palermo. Parte I. (**1968**), Volume Date 1966-1967, 27, 469-83
CODEN: AASLAN
DT Journal
LA Italian
AB I are prepd. from 2,4,5-triphenyl-1,3-oxazin-6-one (II); 3,3'-ethylenebis(2,5,6-triphenylpyrimidin-4-one) (III) and 3-amino compds. IV are also prepd. A mixt. of 0.01 mole II, 0.05 mole appropriate amine RNH2, and 150 ml. alc. is agitated to give 3-methyl-2,5,6-triphenylpyrimidin-4-one, m. 230.degree., and the following I (R and m.p. given): Et, 172.degree.; CH2CH2NEt2, 146.degree.; CH2CH2NH2, 186.degree.; CH2CH2OH, 235-7.degree.. A mixt. of 0.92 g. H2NCH2CH2NH2, 0.81 g. II, and 150 ml. alc. is refluxed 15-20 hrs. to give III, m. 342.degree.. A mixt. of 1 g. II and 2 ml. Ph-NHNH2 is heated 3-4 hrs. to give I (R = H), m. 298.degree.. II (3 g.) is treated with 25 ml. 85% N2H4.H2O in 500 ml. alc. 25-6 hrs. to give 2,5,6-triphenyl-4-aminopyrimidin-4-one (V), m. 190.degree., which is converted to IV (R = R1 = Ac) (VI), m. 185.degree.. VI (1 g.) is refluxed with 15 ml. POCl3 to give IV (R = H, R1 = Ac) (VII), m. 258-60.degree.; VII (m. 260.degree.) is also prepd. from VI and KOH. V (3.25 g.) is acylated (1.6 g. BzCl) to give IV (R = H, R1 = Bz), m. 245-7.degree., which is converted to IV (R = Ac, R1 = Bz), m. 190.degree.. V (2 g.) is heated with 2 g. BzH and 20 ml. HCl-satd. alc. to give 3-(benzylideneamino)-2,5,6-triphenylpyrimidin-4-one, m. 175.degree.. A mixt. of V and NaNO2 is heated to give 2,5,6-triphenyl-4-hydroxyphyrimidine, m. 306.degree..
IT **23413-50-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 23413-50-5 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(2-hydroxyethyl)-2,5,6-triphenyl- (8CI) (CA INDEX NAME)



L72 ANSWER 131 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1969:87720 HCAPLUS
DN 70:87720
TI Preparation of 4-pyrimidinethiones from acyl isothiocyanate-enamine adducts
AU Lamon, Robert W.
CS Res. Lab., Eastman Kodak Co., Rochester, N. Y., USA
SO J. Heterocycl. Chem. (**1969**), 6(1), 37-41
CODEN: JHTCAD
DT Journal
LA English
AB Adducts formed from benzoyl isothiocyanate and 1-morpholinocyclopentene or .beta.-(N,N-diethylamino)-styrene and that prepd. from acetyl isothiocyanate and 1-pyrro-lidinylcyclopentene gave 4-pyrimidinethiones

when treated with primary amines or ammonia. In some cases intermediates, the products of amine exchange, were isolated. These intermediates were readily cyclized to 4-pyrimidinethiones with dil. alkali.

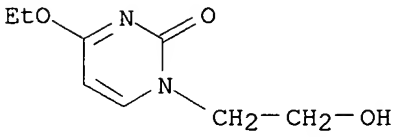
IT **22126-18-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 22126-18-7 HCAPLUS
CN 4(1H)-Pyrimidinethione, 1-(2-hydroxyethyl)-2,5-diphenyl- (8CI) (CA INDEX NAME)



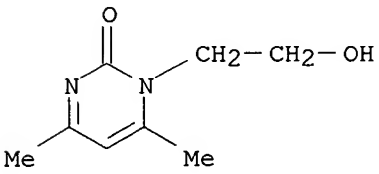
L72 ANSWER 132 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1969:58337 HCAPLUS
DN 70:58337
TI Vinyl polymerization. CCXVII. Vinyl compounds of nucleic acid bases. 1. Synthesis of N-vinyluracil, N-vinylthymine, and N-vinyladenine
AU Ueda, Nasuo; Kondo, Koichi; Kono, Masatsugu; Takemoto, Kiichi; Imoto, Minoru
CS Osaka City Univ., Osaka, Japan
SO Makromol. Chem. (**1968**), 120, 13-20
CODEN: MACEAK
DT Journal
LA English
AB N-Vinyluracil, N-vinylthymine, and N-vinyladenine were prepd. and polymd. The monomers were prepd. by conversion of the corresponding nucleic acid bases to their N-hydroxyethyl compds., followed by chlorination to N-chloroethyl compds. and dehydrochlorination to the N-vinyl derivs. Thus, 40 ml. HCONMe2 soln. contg. 1.4 g. adenine, 1 g. ethylene carbonate and a trace of NaOH was boiled for 1 hr., cooled, and the solvent removed to dryness under reduced pressure. Recrystn. from EtOH gave 9-(2'-hydroxyethyl)adenine (I) in a 54% yield, m. 238-9.degree.. I (1.04 g.) and 10 ml. SOCl2 were heated on a water bath for 40 min. Excess SOCl2 was removed and the residue dissolved in 50 ml. H2O and treated with 5% Na2CO3 soln. and the ppt. was filtered, dried, and recrystd. from EtOH to give 9-(2'-chloroethyl)adenine (II) in a 75% yield, m. 204-5.degree.. MeONa in MeOH soln. (prepd. from 0.4 g. Na in 4 ml. MeOH) was added to a soln. contg. 0.6 g. II in 50 ml. dioxane. The mixt. was stirred 24 hrs. at room temp., water was added and the soln. neutralized with Dowex 50, evapd. to dryness to give 9-vinyladenine in a 60% yield, m. 196-7.degree.. Similarly prepd. were (compd., % yield, and m.p. given): 1-(2'-hydroxyethyl)cytosine, 70, 228-9.degree.; 1-(2'-hydroxyethyl)thymine, 36, 179-81.degree.; 1-(2'-chloroethyl)thymine, 94, 203-5.degree.; 1-vinylthymine, 47, 205-7.degree.; 1-(2'-hydroxyethyl)-4-ethoxy-2-pyrimidone, 20, 82-3.degree.; 1-(2'-hydroxyethyl)uracil, -, 136.5-7.5.degree.; 1-(2'-chloroethyl)uracil, 85-90, 163-4.degree.; 1-vinyluracil, 40-50 and 181-2.degree..

IT **22441-53-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 22441-53-8 HCAPLUS

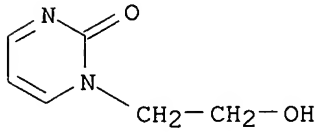
CN 2(1H)-Pyrimidinone, 4-ethoxy-1-(2-(hydroxyethyl)- (8CI) (CA INDEX NAME)



L72 ANSWER 133 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1969:53408 HCAPLUS
DN 70:53408
TI Polarography of pyrimidines. Pyrimidones and thiopyrimidones
AU Budnikov, G. K.
CS Kazan. Gos. Univ. im. Ul'yanova-Lenina, Kazan, USSR
SO Zh. Obshch. Khim. (**1968**), 38(11), 2431-6
CODEN: ZOKHA4
DT Journal
LA Russian
AB The polarographic behavior was reported for a series of pyrimidones contg. Me, CH2CH2OH, and (CH2)3OH groups as well as CH2CH2 bridges between 2 pyrimidone nuclei. The redns. on the dropping Hg electrode in aq. MeOH proceed by 1-electron addn. to form free radicals which rapidly dimerize. In many cases, the polarograms had anomalous waves caused by adsorption of the dimers on the metal surface. The dimer of 4,6-dimethyl-2-thio-2-pyrimidone was isolated as a solid insol. in aq. HCl from the polarographic redn. of 4,6-dimethyl-2-thiopyrimidone.
IT **14716-32-6 20551-19-3 22356-74-7**
RL: PROC (Process)
(polarography of)
RN 14716-32-6 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)

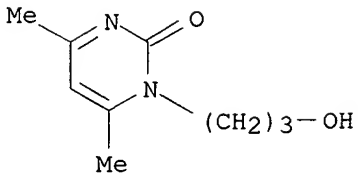


RN 20551-19-3 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)- (8CI) (CA INDEX NAME)

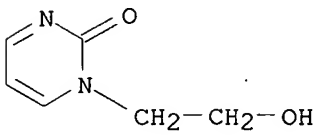


RN 22356-74-7 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(3-hydroxypropyl)-4,6-dimethyl- (8CI) (CA INDEX

NAME)

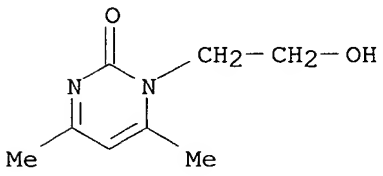


L72 ANSWER 134 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1968:506651 HCAPLUS
DN 69:106651
TI Interaction between some chlorohydrins and uracils
AU Reznik, V. S.; Pashkurov, N. G.
CS Inst. Org. Fiz. Khim. im. Arbuzova, Kazan, USSR
SO Izv. Akad. Nauk SSSR, Ser. Khim. (**1968**), (6), 1327-9
CODEN: IASKA6
DT Journal
LA Russian
AB To 126 g. 6-methyluracil was added simultaneously in 400 ml. H2O at 95-7.degree. 80 g. NaOH in 150 ml. H2O and 175 ml. ClCH2CH2OH keeping the mixt. basic and the mixt. refluxed 4-5 hrs. to give 9.2% 1,3-bis(.beta.-hydroxyethyl)-6-methyluracil, m. 111-12.degree.; and 53.2% 3-.beta.-hydroxyethyl-6-methyluracil, m. 205.5-207.degree.. Similarly were prepd.: 27% 3-.beta.-hydroxypropyl-6-methyluracil, m. 162-3.degree.; 62% 3-(.beta.,.gamma.-dihydroxypropyl)uracil, m. 165-6.5.degree.; 49% 3-(.beta.,.gamma.-dihydroxypropyl)-6-methyluracil, m. 175.5-6.5.degree.; 87% 1,3-bis(.beta.-hydroxyethyl)uracil, m. 152.5-53.degree.; 27.5% N-.beta.-hydroxyethyl-2-pyrimidone, m. 138-9.5.degree.; 30% N-(.beta.,.gamma.-dihydroxypropyl)-2-pyrimidone picrate, decompd. 130.5-2.5.degree.. Ir and uv data are given. Cf. R. and P. (1966).
IT **20551-19-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 20551-19-3 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)- (8CI) (CA INDEX NAME)

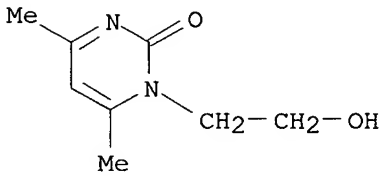


L72 ANSWER 135 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1967:443777 HCAPLUS
DN 67:43777
TI Reaction of hydroxy- and mercaptopyrimidines with ethylene and propylene chlorohydrins
AU Reznik, V. S.; Pashkurov, N. G.
CS A. E. Arbuzov Chem. Inst., Kazan, USSR
SO Izv. Akad. Nauk SSSR, Ser. Khim. (**1966**), (9), 1613-17
CODEN: IASKA6

DT Journal
LA Russian
AB To 67 g. 2-mercapto-4,6-dimethylpyrimidine in 200 ml. PrOH was added 32 g. NaOH in H2O and after 0.5 hr. the suspension of the Na salt was treated with 40 ml. ethylene chlorohydrin (exothermic) and heated 2 hrs. on a steam bath to yield after sepn. of NaCl 73.5% hydroxyethylthio)-4,6-dimethylpyrimidine, m. 69-9.5.degree. (Me2CO). Propylene chlorohydrin in a similar reaction in aq. BuOH 7 hrs. gave 83% 2-(2-hydroxypropylthio)-4,6-dimethylpyrimidine, m. 58-9.degree. (Me2CO). Ethylene chlorohydrin and 2-hydroxy-4,6-dimethylpyrimidine similarly gave in 2.5 hrs. 56.5% 1-(2-hydroxyethyl)-4,6-dimethyl-1,2-dihydro-2-pyrimidinone, m. 134.5-5.5.degree.; HCl salt decompd. 231-2.degree.. Propylene chlorohydrin similarly gave in 4 hrs. some 30% unreacted starting material and 37.8% 1-(2-hydroxypropyl)-4,6-dimethyl-1,2-dihydro-2-pyrimidinone, m. 122-3.degree.. 2-Amino-4-hydroxy-6-methylpyrimidine and ClCH2CH2OH in aq. MeOH-NaOH gave in 4 hrs. heating 44.7% mixed 1-(2-hydroxyethyl)-2-amino-6-methyl-1,4-dihydro-4-pyrimidinone, decompd. 181.5-2.degree., and 3-(2-hydroxyethyl)-2-amino-6-methyl-3,4-dihydro-4-pyrimidinone, decompd. 194.5-5.5.degree.; these gave HCl salts which m. 179.5-81.degree. and 189-9.5.degree., resp. The products were formed in relative ratio of 1.2:1. Ir and uv spectra were reported.
IT **14716-32-6P 14761-70-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 14716-32-6 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)



RN 14761-70-7 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl-, hydrochloride (8CI) (CA INDEX NAME)



●x HCl

L72 ANSWER 136 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1965:9103 HCAPLUS
DN 62:9103

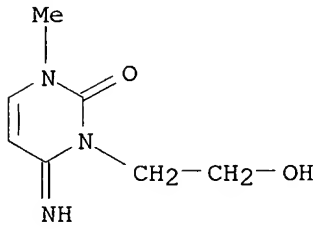
OREF 62:1656b-h,1657a-c
TI Modifications of nucleosides and nucleotides. II. Reaction of ethylene oxide with 1-methylcytosine
AU Mizuno, Hatsuhiko; Okuyama, Harumi; Hayatsu, Hikoya; Ukita, Chunoshin
CS Univ. Tokyo
SO Chem. Pharm. Bull. (Tokyo) (**1964**), 12(10), 1240-6
DT Journal
LA English
AB cf. CA 60, 9346e. The reaction of aq. ethylene oxide (Ia), a biol. important alkylating agent, with 1-methylcytosine (I) was investigated. At pH above 5, hydroxyethylation occurred at the 3-position to give 1-methyl-3-(2-hydroxyethyl)cytosine (II). When the pH was higher than 7, further hydroxyalkylation of II occurred to give III. This was also followed by hydrolysis of II and III to IV. Mechanisms of these reactions are discussed in the light of reaction-rate differences at various pH. At pH 14, II underwent Dimroth rearrangement to V. To 1.0 g. I in 54 ml. ice cold abs. MeOH was added 6 ml. Ia, the soln. kept 48 hrs. at 36-8.degree. in a stoppered vessel and evapd., the residue extd. (Soxhlet) first with Et2O (ext. A) until III was completely removed [detd. by paper electrophoresis (PE)] and then with Me2CO, the Me2CO ext. evapd., and the residue recrystd. from EtOH to give 431 mg. II, m. 194-6.degree. (EtOH); ext. A evapd. and the residue recrystd. from C6H6 gave 309 mg. III, m. 103-4.5.degree. (C6H6). Three products were formed in the reaction of I with aq. Ia. They were identical with II, III, and IV; II and III were prepd. by treating I with MeOH-Ia and IV by treating 1-methyluracil with aq. Ia. Identification was made by paper chromatography (PC), PE, and by uv spectroscopy. To 2 ml. aq. soln. of .apprx.10 mg. I (pH 7.5) was added 0.3 ml. Ia; the soln. kept 5 days at room temp. and adjusted to pH 10.8 showed the presence of I, II, III, and IV by PC in 7:1:2 iso-PrOH-concd. NH3-H2O followed by 2-dimensional PE in acetate buffer of pH 5.8; after keeping 39 days, IV was the sole uv-absorbing material present. The pH of 2-ml. aq. solns., each contg. 4 mg. I, were sep. adjusted to 3, 5, 7, and 10 with 0.1N HClO4 or 0.1N NaOH. To each soln. was added 0.2 ml. Ia and the solns. kept at 25.degree. (the soln. of pH 3 at room temp.). After every 3-hr. interval, the solns. were readjusted under ice cooling; this treatment permitted control of the pH within 1 pH unit of the original pH values. The reaction was continued 9 hrs. Aliquots were withdrawn from each mixt. and subjected to PE in buffer of pH 5.8. The paper was then submitted to 2-dimensional ascending PC. The spots of I and II were extd. with 5-ml. amts. 0.05M Tris buffer (pH 7.0) and the exts. were submitted to uv spectrophotometric examn. At pH above 5, no appreciable differences in the yields of II were obtained. In the case of the solns. of pH 7 and 10, very faint spots of III and IV were observed, but these were not quant. detd. No reaction was observed after 3 days in the soln. of pH 3. II (6-mg. amts.) was dissolved in a small amt. 0.02N HClO4 and the solns. were then adjusted to pH 5 and 7 with addnl. HClO4. Water was added to each soln. to make a final vol. of 2.7 ml. Another 6 mg. II was dissolved in H2O to make 2.7 ml. soln.; this soln. showed pH 10. To each soln. was added 0.3 ml. Ia and the soln. kept at 25.degree.. Aliquots were withdrawn at intervals and subjected to PE. The sepd. spots of II, III, and IV were eluted with 0.05M Tris buffer (pH 7.0) and the eluates submitted to spectrophotometric analysis. The reactions of II with Ia were strongly dependent on pH. Thus, at pH 5, practically no reaction occurred between II and Ia up to 200 hrs.; at pH 7, the decrease in concn. of II was paralleled by an increase in concn. of IV, while only a small amt. III accumulated; at pH 10, the disappearance of II was more rapid than that at pH 7, while a fairly large amt. III accumulated, the max. amt. III reaching a max. after an initial 50-hr. reaction time. Aq.

solns. of II having pH 5, 7, and 10 were prepd. as above, omitting the addn. of Ia. The solns. were kept at 25.degree.. The rate of hydrolysis to IV was followed by withdrawing aliquots at intervals. The formation of IV was estd. by PC and quant. detd. by spectrophotometric analysis. The results showed that no hydrolysis of II occurred at pH 5, whereas a high rate of hydrolysis occurred at pH 7 and 10, the rate of pH 10 being somewhat higher than that at pH 7. A similar investigation of the rates of hydrolysis of III at pH 5, 7, and 10 showed almost similar results; the addn. of Ia to the hydrolysis solns. had little effect on the rate of formation of IV from III at each pH tested. Aq. soln. of III (pH 10) kept 7 days at room temp. and subjected to PC showed a spot corresponding to HOCH2CH2NH2. II (200 mg.) and 2 ml. N NaOH heated 15 min. at 90-5.degree. on a water bath (PC now revealed V as the sole compd. present), the soln. cooled in ice and applied to a column of Dowex 50 (NH4+ form), the column eluted with H2O, the eluate evapd., the oily residue extd. with EtOH, the ext. evapd., and the residue triturated with Me2CO gave 29 mg. V, m. 156-8.degree. (Me2CO). To 3 mg. V in 1.8 ml. H2O was added 0.2 ml. Ia and the soln. kept 24 hrs. at 25.degree. and examd. by PE or PC showed the presence of a spot corresponding to III, its identity as III being confirmed by uv analysis of an ext. of the spot. Rf data were given.

IT **1127-63-5**, Cytosine, 3-(2-hydroxyethyl)-1-methyl-
1136-70-5, Cytosine, N,3-bis(2-hydroxyethyl)-1-methyl-
(prepn. of)

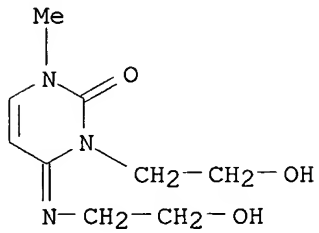
RN 1127-63-5 HCAPLUS

CN Cytosine, 3-(2-hydroxyethyl)-1-methyl- (7CI, 8CI) (CA INDEX NAME)



RN 1136-70-5 HCAPLUS

CN Cytosine, N,3-bis(2-hydroxyethyl)-1-methyl- (7CI, 8CI) (CA INDEX NAME)



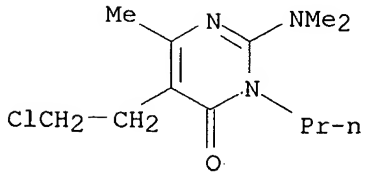
=> log hold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	675.13	2095.24

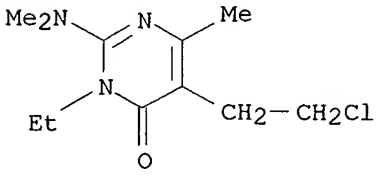
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-91.07	-92.93

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 11:06:17 ON 04 OCT 2002

RN 132137-03-2 HCAPLUS
CN 4(3H)-Pyrimidinone, 5-(2-chloroethyl)-2-(dimethylamino)-6-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 132137-04-3 HCAPLUS
CN 4(3H)-Pyrimidinone, 5-(2-chloroethyl)-2-(dimethylamino)-3-ethyl-6-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



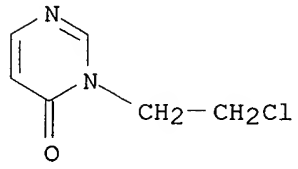
● HCl

L72 ANSWER 54 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1991:101642 HCAPLUS
DN 114:101642
TI New 1-(heterocyclylalkyl)-4-(propionanilido)-4-piperidinyl methyl ester and methylene methyl ether analgesics
AU Bagley, Jerome R.; Thomas, Sheela A.; Rudo, Frieda G.; Spencer, H. Kenneth; Doorley, Brian M.; Ossipov, Michael H.; Jerussi, Thomas P.; Benvenga, Mark J.; Spaulding, Theodore
CS Chem. Dep., Anaquest, Murray Hill, NJ, 07974, USA
SO J. Med. Chem. (**1991**), 34(2), 827-41
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 114:101642
AB A series of new 1-(heterocyclylalkyl)-4-(propionanilido)-4-piperidinyl Me esters (I; R = heterocyclic substituted alkyl, R1 = CO2Me) and methylene Me esters (I; R1 = CH2OMe) have been synthesized and pharmacol. evaluated. In the mouse hot-plate test, the majority of compds. exhibited an analgesia (ED50 < 1 mg/kg) superior to that of morphine. These studies revealed a pharmacol. accommodation for many more structurally diverse and far bulkier arom. ring systems than the corresponding components of the arylethyl groups of the prototypic Me ester, carfentanil, and methylene Me ethers, sufentanil, and alfentanil, 4-propionanilido analgesics. Me 1-[2-(1H-pyrazol-1-yl)ethyl]-4-[(1-oxopropyl)phenylamino]-4-piperidinecarboxylate, which exhibited appreciable .mu.-opioid receptor affinity, was a more potent and short-acting analgesic, than alfentanil

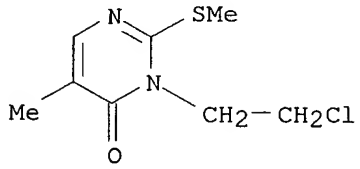
with less respirtory depression in the rat. On the other hand, the phthalimides I [R = 2-phthalimidoethyl; R1 = CO2Me (II), CH2OMe (III)], which exhibited negligible affinity for opioid receptor-assocd. with the mediation of nociceptive transmission (i.e., .mu.-, .kappa.-, and .delta.-subtypes), displayed analgesic efficacy in all antinociception tests. In addn., while III, compared to clin. opioids, showed a superior recovery of motor coordination after regaining of righting reflex from full anesthetic doses in the rat rotorod test, II showed significantly less depression of cardiovasculr function at supraanalgesic doses in the isoflurane-anesthetized rat.

IT **131728-27-3 131728-32-0**
RL: RCT (Reactant)
(substitution reaction of, with propionanilidopiperidines)

RN 131728-27-3 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(2-chloroethyl)- (9CI) (CA INDEX NAME)

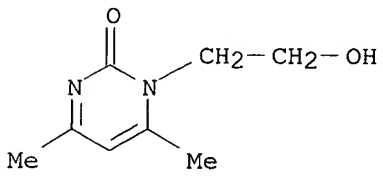


RN 131728-32-0 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(2-chloroethyl)-5-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

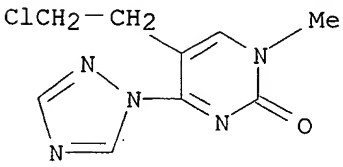


L72 ANSWER 55 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1990:522566 HCAPLUS
DN 113:122566
TI Electrodeposition of nickel in the presence of some nitrogen-containing heterocycles
AU Taran, L. A.; Raimanova, T. I.
CS Inst. Org. Fiz. Khim., Kazan, USSR
SO Zashch. Met. (**1990**), 26(3), 483-6
CODEN: ZAMEA9; ISSN: 0044-1856
DT Journal
LA Russian
AB Ni electrodeposition was studied in solns. contg. NiSO4, NH4Cl and H3BO3. The effect of oxopyrimidines addn. was examd. The cathodic polarizability, differential capacitance of the double layer and leveling ability of the electrolyte were measured. The properties of Ni electroplates (reflection, internal stress and microhardness) were also studied. The effect of the additive type on the brightness of the Ni electrodeposits is briefly discussed.
IT **14716-32-6**

RL: PRP (Properties)
(nickel electrodeposition in presence of, electrodeposit properties in relation to)
RN 14716-32-6 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)



L72 ANSWER 56 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1990:198296 HCAPLUS
DN 112:198296
TI Synthesis of derivatives of 3,4-dihydro-6H,8H-pyrimido[4,5-c][1,2]oxazin-7-one
AU Lin, P. Kong Thoo; Brown, D. M.
CS Lab. Mol. Biol., MRC, Cambridge, CB2 2QH, UK
SO Heterocycles (**1989**), 29(9), 1735-40
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
OS CASREACT 112:198296
AB In model expts. seeking a pyrimidine which had the hydrogen-bonding potential of both thymine and cytosine synthetic routes to the bicyclic 3,4-dihydro-6H,8H-pyrimido[4,5][1,2]oxazin-7-one ring system have been investigated. 1-Methyl-5-(2-bromoethyl)uracil was converted to the 5-(2-phthalimidooxyethyl) deriv. and then to the corresponding 4-triazolo deriv. NH3 in dioxane afforded 6-methyl-3,4-dihydro-6H,8H-pyrimido[4,5-c][1,2]oxazin-7-one (I). The ring closure of 4-oxyimino-5-(2-chloroethyl)pyrimid-2-ones was also investigated, yielding 1,6-dimethyl- and 1-benzyl-6-methylpyrimido[4,5-c][1,2]oxazin-7-one, but not I.
IT **124928-62-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with hydroxylamine derivs.)
RN 124928-62-7 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-(2-chloroethyl)-1-methyl-4-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)

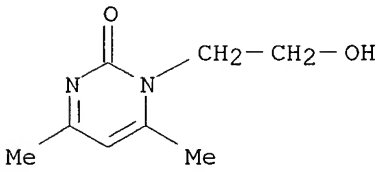


L72 ANSWER 57 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1990:175190 HCAPLUS
DN 112:175190

L72 ANSWER 8 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1996:315227 HCAPLUS
DN 124:343330
TI Process for preparing N-(.beta.-hydroxyethyl)-4,6-dimethyldihydropyrimid-2-one
IN Abdrakhmanov, Ildus Sh.; Khisamutdinov, Gilmutdin Kh.; Belyaev, Petr G.; Sharypova, Svetlana G.; Lyadova, Tatyana P.
PA Gosudarstvennyj Nauchno-Issledovatelskij Institut "kristall", USSR
SO Russ.
From: Izobreteniya 1995, (27), 206.
CODEN: RUXXE7
DT **Patent**
LA Russian
FAN.CNT 1

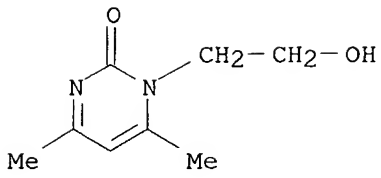
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI RU 2044730	C1	19950927	RU 1992-5055446	19920720 <--
PRAI SU 1992-5055446		19920720		

AB An improved prepn. of the title compd. (I) from urea, acetylacetone, and ethanolamine is described.
IT **176793-48-9P**, N-(.beta.-Hydroxyethyl)-4,6-dimethylpyrimidin-2(1H)-one hydrochloride
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(improved prepn. of (hydroxyethyl)dimethyldihydropyrimidinone)
RN 176793-48-9 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

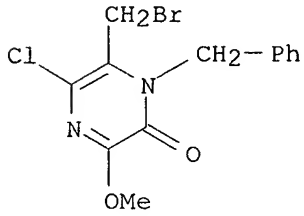


● HCl

IT **14716-32-6P**, N-(.beta.-Hydroxyethyl)-4,6-dimethylpyrimidin-2(1H)-one
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(improved prepn. of (hydroxyethyl)dimethyldihydropyrimidinone)
RN 14716-32-6 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)



L72 ANSWER 9 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1996:96236 HCAPLUS
DN 124:289442
TI Generation of 6-alkylidene/benzylidene-3,6-dihydropyrazin-2(1H)-ones by reaction of 6-bromomethylpyrazin-2(1H)-ones with methoxide and further conversion into specific piperazine-2,5-diones and pyrazin-2(1H)-ones
AU Buysens, Kris J.; Vandenberghe, Didier M.; Toppet, Suzanne M.; Hoornaert, Georges J.
CS Laboratorium voor Organische Synthese, K. U. Leuven, Leuven-Heverlee, B-3001, Belg.
SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (**1996**), (3), 231-7
CODEN: JCPRB4; ISSN: 0300-922X
PB Royal Society of Chemistry
DT Journal
LA English
OS CASREACT 124:289442
AB 3-Aryl-, 3-benzyl- and 3-methoxy-6-(1-bromoalkyl/benzyl)-5-chloropyrazin-2(1H)-ones I (R = H, Ph, CHMe2, R1 = Ph, CH2Ph, Y = OMe, CH2Ph, Ph, H, SnBu3) have been synthesized and converted into new 6-alkylidene/benzylidene-5-chloro-3,6-dihydropyrazin-2(1H)-ones II (X = Cl, Y = OMe, CH2Ph, Ph) by reaction with methoxide in THF. With 2 equiv. of alkoxide the corresponding 5-alkoxy derivs. II (X = OMe) were obtained. Reaction of compds. of type I or II with various nucleophiles generated 3,6-dihydropyrazin-2(1H)-ones, piperazine-2,5-diones and pyrazin-2(1H)-ones.
IT **175468-52-7P 175468-53-8P 175468-54-9P**
175468-57-2P 175468-58-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperazinediones and pyrazinones)
RN 175468-52-7 HCAPLUS
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-5-chloro-3-methoxy-1-(phenylmethyl)-(9CI) (CA INDEX NAME)



RN 175468-53-8 HCAPLUS
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-5-chloro-1-phenyl-3-(phenylmethyl)-

	HU 53783	A2	19901228	HU 1990-2252	19900411 <--
	HU 206596	B	19921228		
	CA 2014509	AA	19901017	CA 1990-2014509	19900412 <--
	BR 9001764	A	19910604	BR 1990-1764	19900416 <--
	CN 1047285	A	19901128	CN 1990-102319	19900417 <--
PRAI	GB 1989-8638		19890417		
	GB 1990-6725		19900326		

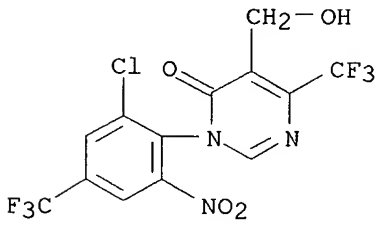
OS MARPAT 114:185532

AB The title compds. [I; R1, R2 = H, halo, haloalkyl, alkoxy, NO2; R1, R2 are not both NO2; R3, R4 = H, halo, alkyl, cycloalkyl; R5, R6 = halo, NO2, haloalkyl, haloalkoxy, SOnR10; R7 = H, halo, hydroxyalkyl, cyano, amino, haloalkyl, CHO, NO2, alkoxy, SOnR10; R8 = any group listed in R4, (substituted) amino, SOnR10; R9 = O, S; R10 = (halo)alkyl, cycloalkyl; n = 0-2], were prepd. Thus, 6-trifluoromethylpyridin-6-one and then 3,5-dichloro-4-fluoro-2-methyl-trifluoromethylbenzene were added to NaH in DMF and the mixt. was heated at 90.degree. for 16 h to give title compd. II. II at 500 ppm gave 80-100% control of Musca domestica.

IT **133306-99-7P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as insecticide and acaricide)

RN 133306-99-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 3-[2-chloro-6-nitro-4-(trifluoromethyl)phenyl]-5-(hydroxymethyl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L72 ANSWER 52 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1991:185242 HCAPLUS

DN 114:185242

TI Preparation of N-aryl-N-(4-heterocyclic alkyl)piperidinyl)amides

IN Bagley, Jerome R.; Lalinde, Nhora Lucia; Huang, Bao Shan; Spencer, H. Kenneth

PA BOC Inc., USA

SO Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW

DT **Patent**

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 396282	A2	19901107	EP 1990-304210	19900419 <--
	EP 396282	A3	19920108		
	R: DE, ES, FR, GB, IT				
	US 5053411	A	19911001	US 1989-341094	19890420 <--
	CA 2010425	AA	19901020	CA 1990-2010425	19900220 <--
	JP 02292279	A2	19901203	JP 1990-102759	19900418 <--

	US 34201	E	19930323	US 1992-868750	19920414 <--
PRAI	US 1989-341094		19890420		

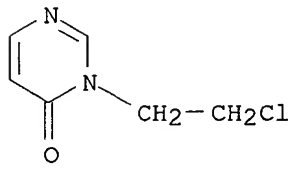
OS MARPAT 114:185242

AB Title N-aryl-N-piperidinylamides I [R = (substituted) Ph; R1 = (alkoxy) C2-6 alkyl, C2-6 alkenyl, C2-6 alkoxy; R2 = heterocyclylalkyl; R3 = H, alkoxycarbonyl, alkoxymethyl; R4 = H, Me], useful as analgesics, were prepd. For example piperidinylpropanamide II was subjected to N-alkylation by BrCH2CH2OH, followed by reaction with MeSO2Cl. Subsequent reaction with clonidine hydrochloride gave title propanamide III. The ED50 of III in the mouse hot-plate analgesia test was 2 mg/kg. The ED50 of 126 other I were detd.

IT **131728-27-3P 131728-32-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of analgesics)

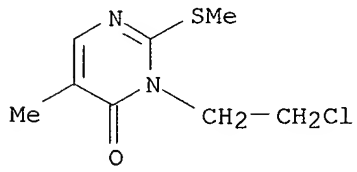
RN 131728-27-3 HCAPLUS

CN 4(3H)-Pyrimidinone, 3-(2-chloroethyl)- (9CI) (CA INDEX NAME)



RN 131728-32-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 3-(2-chloroethyl)-5-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)



L72 ANSWER 53 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1991:102032 HCAPLUS

DN 114:102032

TI 2-Aminopyrimidinone derivatives as serotonin, histamine, and dopamine antagonists

IN Kennis, Ludo E. J.; Vandenberk, Jan; Boey, Jozef M.

PA Janssen Pharmaceutica N. V., Belg.

SO Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW

DT **Patent**

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 378255	A2	19900718	EP 1990-200005	19900103 <--
	EP 378255	A3	19910109		
	EP 378255	B1	19940427		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL

IL 92730	A1	19930610	IL 1989-92730	19891215	<--
AT 104971	E	19940515	AT 1990-200005	19900103	<--
ES 2055860	T3	19940901	ES 1990-200005	19900103	<--
CA 2007200	AA	19900709	CA 1990-2007200	19900105	<--
NO 9000071	A	19900710	NO 1990-71	19900108	<--
NO 173139	B	19930726			
NO 173139	C	19931103			
FI 9000085	A	19900710	FI 1990-85	19900108	<--
FI 94525	B	19950615			
FI 94525	C	19950925			
AU 9047779	A1	19900712	AU 1990-47779	19900108	<--
AU 617918	B2	19911205			
HU 52770	A2	19900828	HU 1990-64	19900108	<--
HU 203747	B	19910930			
ZA 9000123	A	19910925	ZA 1990-123	19900108	<--
RU 2028297	C1	19950209	RU 1990-4742788	19900108	<--
CN 1044094	A	19900725	CN 1990-100075	19900109	<--
CN 1034865	B	19970514			
JP 02225482	A2	19900907	JP 1990-2415	19900109	<--
JP 2938492	B2	19990823			
US 5140029	A	19920818	US 1991-643867	19910118	<--
US 5256659	A	19931026	US 1992-901465	19920619	<--
US 5284854	A	19940208	US 1993-82225	19930624	<--

PRAI GB 1989-382 19890109
US 1989-456319 19891226
EP 1990-200005 19900103
US 1991-643867 19910118
US 1992-901465 19920619

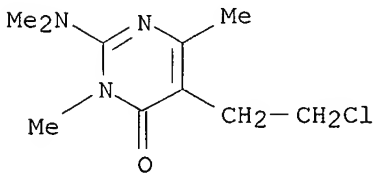
OS MARPAT 114:102032

AB The title compds. [I; R1 = (R7-substituted) PhCO, Q1, Q2, Q3; R7 = H, alkyl, halo; B = O, S, NR8; R8 = H, alkyl, arylalkyl; R2, R3 = H, alkyl; R4 = H, (substituted) alkyl; R5 = R2, alkylaminocarbonyl, arylaminocarbonyl, alkylcarbonyl, arylcarbonyl; R6 = R2, arylalkyl; R5R6 = (substituted) CH2CH2, CH2CH2CH2, CH:CH, CH:N, N:CH, N:CHCH2; X = C, CH, N; Y = alkylene] were prepd. Thus, 5-(2-chloroethyl)-3,6-dimethyl-2-methylamino-4(3H)pyrimidinone hydrochloride (prepn. given), 4-[bis(4-fluorophenyl)methylene]piperidine hydrobromide, Na2CO3, KI, and 4-methyl-2-pentanone were refluxed overnight to give 68.9% title compd. II. II at 0.04-0.63 mg/kg i.p. in rats increased the duration of deep slow-wave sleep (SWS2) episodes while reducing the no. of such episodes; II was 10 .times. more active than ritanserin in this screen.

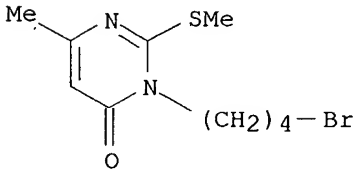
IT **132137-02-1P 132137-03-2P 132137-04-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for serotonin, histamine, and dopamine antagonist)

RN 132137-02-1 HCAPLUS

CN 4(3H)-Pyrimidinone, 5-(2-chloroethyl)-2-(dimethylamino)-3,6-dimethyl- (9CI) (CA INDEX NAME)



DN 87:68281
TI Reaction of sodium salts of some oxypyrimidines with .alpha.,.omega.-dihaloalkanes
AU Reznik, V. S.; Salikhov, I. Sh.; Shvetsov, Yu. S.; Shirshov, A. N.; Bakulin, V. S.; Ivanov, B. E.
CS Inst. Org. Fiz. Khim. im. Arbuzova, Kazan, USSR
SO Izv. Akad. Nauk SSSR, Ser. Khim. (**1977**), (4), 880-4
CODEN: IASKA6
DT Journal
LA Russian
AB Uracil derivs. I (R = H, Me, R1 = H, Me, NO2, PhNH, morpholino, Ac, MeOC6H4NH, n = 3, 4, 5, 6) were obtained in 46-60% yields by treatment of the corresponding uracil deriv. with Br(CH2)nBr. Uracils II [R = (CH2)nBr, R1 = H, n = 3, 4] were obtained in 6-12% yields from the di-Na salt of 6-methyluracil and Br(CH2)nBr. II [R = Me, (CH2)nBr, R1 = (CH2)nBr, Me] were obtained in 65-75% yields from the Na salt of dimethyluracil. Addnl. obtained were 19% III and 53% IV.
IT **63550-52-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 63550-52-7 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(4-bromobutyl)-6-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)



L72 ANSWER 108 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1977:453374 HCAPLUS
DN 87:53374
TI Pyrimidone derivatives
IN Gacek, Mikkel Josef; Oftebro, Reidar; Laland, Soren Gustav Moe; Undheim, Kjell
PA Nyegaard og Co. A/S, Norway
SO Ger. Offen., 57 pp.
CODEN: GWXXBX
DT **Patent**
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	DE 2646676	A1	19770428	DE 1976-2646676	19761015	<--
	DE 2646676	C2	19870619			
	GB 1561290	A	19800220	GB 1975-42509	19751016	<--
	BE 847234	A1	19770413	BE 1976-171474	19761013	<--
	DK 7604623	A	19770417	DK 1976-4623	19761014	<--
	DK 144525	B	19820322			
	DK 144525	C	19821018			
	FR 2327765	A1	19770513	FR 1976-30923	19761014	<--
	FR 2327765	B1	19811120			
	SE 7611493	A	19770417	SE 1976-11493	19761015	<--

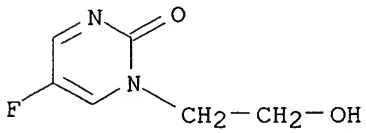
	SE 439305	B	19850610		
	SE 439305	C	19850919		
	NO 7603533	A	19770419	NO 1976-3533	19761015 <--
	NO 151040	B	19841022		
	NO 151040	C	19850130		
	NL 7611415	A	19770419	NL 1976-11415	19761015 <--
	JP 52053869	A2	19770430	JP 1976-123013	19761015 <--
	CA 1086736	A1	19800930	CA 1976-263452	19761015 <--
	CH 634308	A	19830131	CH 1976-13103	19761015 <--
	US 4395406	A	19830726	US 1980-166600	19800707 <--
	CH 634309	A	19830131	CH 1981-4054	19810618 <--
	CH 634310	A	19830131	CH 1981-4055	19810618 <--

PRAI GB 1975-42509 19751016
US 1976-732189 19761013
CH 1976-13103 19761015
US 1978-937579 19780829
US 1979-61269 19790727

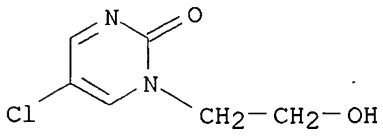
AB 5-Halo-2(1H)-pyrimidinones (I; R = e.g. Me, Pr, HO2CCH2, Et2NCH2CH2, ClCH2CH2, PhCH2, H2C:CHCH2; R1 = e.g. H, Me, MeS, BuS, EtO2CCH2S, HC.tplbond.CCH2S; R2 = Br, Cl, F; R3 = H, Me), useful as neoplasm inhibitors (no data), are prepd. by known procedures. Thus, reaction of 5-fluoro-2(1H)-pyrimidinone K salt with MeI in DMF at room temp. gives after 20 h 40% I (R = Me, R1 = R3 = H, R2 = F).

IT **63331-08-8P 63331-09-9P 63331-10-2P**
63331-16-8P 63331-17-9P 63331-50-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

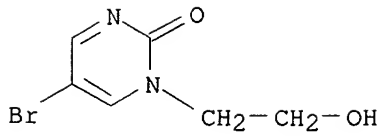
RN 63331-08-8 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-fluoro-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



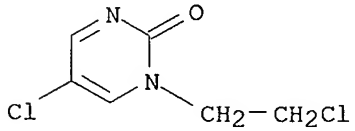
RN 63331-09-9 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-chloro-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



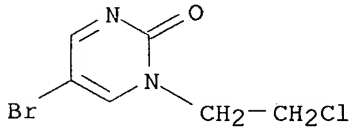
RN 63331-10-2 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-bromo-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



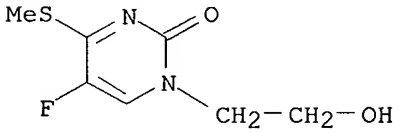
RN 63331-16-8 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-chloro-1-(2-chloroethyl)- (9CI) (CA INDEX NAME)



RN 63331-17-9 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-bromo-1-(2-chloroethyl)- (9CI) (CA INDEX NAME)

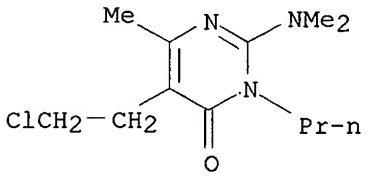


RN 63331-50-0 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-fluoro-1-(2-hydroxyethyl)-4-(methylthio)- (9CI) (CA INDEX NAME)

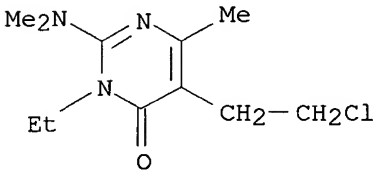


L72 ANSWER 109 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1976:577930 HCAPLUS
DN 85:177930
TI Methotrexate analogs. 7. Synthesis of two higher homologs and a positional isomer of methotrexate diethyl ester as potential antitumor agents
AU Rosowsky, Andre; Chen, Katherine K. N.; Papathanasopoulos, Nickolas
CS Sidney Farber Cancer Cent., Harvard Med. Sch., Boston, Mass., USA
SO J. Heterocycl. Chem. (**1976**), 13(4), 727-32
CODEN: JHTCAD
DT Journal
LA English
AB The analogs of methotrexate diethyl ester I (m = 0, n = 3,4) with D,L-.alpha.-aminoadipate and D,L-.alpha.-aminopimelate side chains in place of L-glutamate, were prepd. and displayed approx. the same order of

RN 132137-03-2 HCAPLUS
CN 4(3H)-Pyrimidinone, 5-(2-chloroethyl)-2-(dimethylamino)-6-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 132137-04-3 HCAPLUS
CN 4(3H)-Pyrimidinone, 5-(2-chloroethyl)-2-(dimethylamino)-3-ethyl-6-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



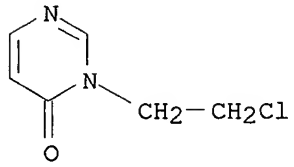
● HCl

L72 ANSWER 54 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1991:101642 HCAPLUS
DN 114:101642
TI New 1-(heterocyclylalkyl)-4-(propionanilido)-4-piperidinyl methyl ester and methylene methyl ether analgesics
AU Bagley, Jerome R.; Thomas, Sheela A.; Rudo, Frieda G.; Spencer, H. Kenneth; Doorley, Brian M.; Ossipov, Michael H.; Jerussi, Thomas P.; Benvenga, Mark J.; Spaulding, Theodore
CS Chem. Dep., Anaquest, Murray Hill, NJ, 07974, USA
SO J. Med. Chem. (**1991**), 34(2), 827-41
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 114:101642
AB A series of new 1-(heterocyclylalkyl)-4-(propionanilido)-4-piperidinyl Me esters (I; R = heterocyclic substituted alkyl, R1 = CO2Me) and methylene Me esters (I; R1 = CH2OMe) have been synthesized and pharmacol. evaluated. In the mouse hot-plate test, the majority of compds. exhibited an analgesia (ED50 < 1 mg/kg) superior to that of morphine. These studies revealed a pharmacol. accommodation for many more structurally diverse and far bulkier arom. ring systems than the corresponding components of the arylethyl groups of the prototypic Me ester, carfentanil, and methylene Me ethers, sufentanil, and alfentanil, 4-propionanilido analgesics. Me 1-[2-(1H-pyrazol-1-yl)ethyl]-4-[(1-oxopropyl)phenylamino]-4-piperidinecarboxylate, which exhibited appreciable .mu.-opioid receptor affinity, was a more potent and short-acting analgesic, than alfentanil

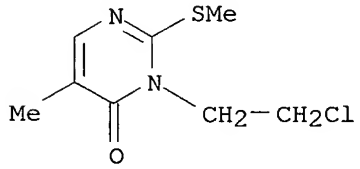
with less respirtory depression in the rat. On the other hand, the phthalimides I [R = 2-phthalimidoethyl; R1 = CO2Me (II), CH2OMe (III)], which exhibited negligible affinity for opioid receptor-assocd. with the mediation of nociceptive transmission (i.e., .mu.-, .kappa.-, and .delta.-subtypes), displayed analgesic efficacy in all antinociception tests. In addn., while III, compared to clin. opioids, showed a superior recovery of motor coordination after regaining of righting reflex from full anesthetic doses in the rat rotorod test, II showed significantly less depression of cardiovasculr function at supraanalgesic doses in the isoflurane-anesthetized rat.

IT **131728-27-3 131728-32-0**
RL: RCT (Reactant)
(substitution reaction of, with propionanilidopiperidines)

RN 131728-27-3 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(2-chloroethyl)- (9CI) (CA INDEX NAME)

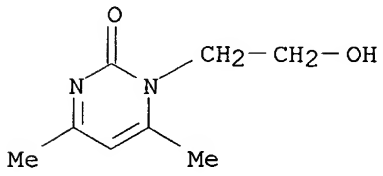


RN 131728-32-0 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(2-chloroethyl)-5-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

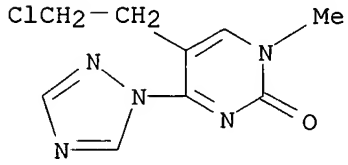


L72 ANSWER 55 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1990:522566 HCAPLUS
DN 113:122566
TI Electrodeposition of nickel in the presence of some nitrogen-containing heterocycles
AU Taran, L. A.; Raimanova, T. I.
CS Inst. Org. Fiz. Khim., Kazan, USSR
SO Zashch. Met. (**1990**), 26(3), 483-6
CODEN: ZAMEA9; ISSN: 0044-1856
DT Journal
LA Russian
AB Ni electrodeposition was studied in solns. contg. NiSO4, NH4Cl and H3BO3. The effect of oxopyrimidines addn. was examd. The cathodic polarizability, differential capacitance of the double layer and leveling ability of the electrolyte were measured. The properties of Ni electroplates (reflection, internal stress and microhardness) were also studied. The effect of the additive type on the brightness of the Ni electrodeposits is briefly discussed.
IT **14716-32-6**

RL: PRP (Properties)
(nickel electrodeposition in presence of, electrodeposit properties in relation to)
RN 14716-32-6 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)



L72 ANSWER 56 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1990:198296 HCAPLUS
DN 112:198296
TI Synthesis of derivatives of 3,4-dihydro-6H,8H-pyrimido[4,5-c][1,2]oxazin-7-one
AU Lin, P. Kong Thoo; Brown, D. M.
CS Lab. Mol. Biol., MRC, Cambridge, CB2 2QH, UK
SO Heterocycles (**1989**), 29(9), 1735-40
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
OS CASREACT 112:198296
AB In model expts. seeking a pyrimidine which had the hydrogen-bonding potential of both thymine and cytosine synthetic routes to the bicyclic 3,4-dihydro-6H,8H-pyrimido[4,5][1,2]oxazin-7-one ring system have been investigated. 1-Methyl-5-(2-bromoethyl)uracil was converted to the 5-(2-phthalimidooxyethyl) deriv. and then to the corresponding 4-triazolo deriv. NH3 in dioxane afforded 6-methyl-3,4-dihydro-6H,8H-pyrimido[4,5-c][1,2]oxazin-7-one (I). The ring closure of 4-oxyimino-5-(2-chloroethyl)pyrimid-2-ones was also investigated, yielding 1,6-dimethyl- and 1-benzyl-6-methylpyrimido[4,5-c][1,2]oxazin-7-one, but not I.
IT **124928-62-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with hydroxylamine derivs.)
RN 124928-62-7 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-(2-chloroethyl)-1-methyl-4-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)

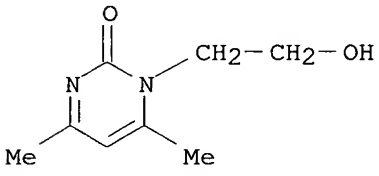


L72 ANSWER 57 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1990:175190 HCAPLUS
DN 112:175190

L72 ANSWER 8 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1996:315227 HCAPLUS
DN 124:343330
TI Process for preparing N-(.beta.-hydroxyethyl)-4,6-dimethyldihydropyrimid-2-one
IN Abdrakhmanov, Ildus Sh.; Khisamutdinov, Gilmutdin Kh.; Belyaev, Petr G.; Sharypova, Svetlana G.; Lyadova, Tatyana P.
PA Gosudarstvennyj Nauchno-Issledovatelskij Institut "kristall", USSR
SO Russ.
From: Izobreteniya 1995, (27), 206.
CODEN: RUXXE7
DT **Patent**
LA Russian
FAN.CNT 1

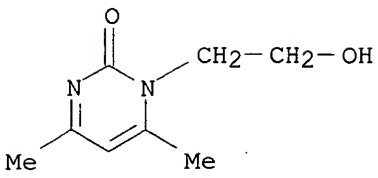
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI RU 2044730	C1	19950927	RU 1992-5055446	19920720 <--
PRAI SU 1992-5055446		19920720		

AB An improved prepn. of the title compd. (I) from urea, acetylacetone, and ethanolamine is described.
IT **176793-48-9P**, N-(.beta.-Hydroxyethyl)-4,6-dimethylpyrimidin-2(1H)-one hydrochloride
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(improved prepn. of (hydroxyethyl)dimethyldihydropyrimidinone)
RN 176793-48-9 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

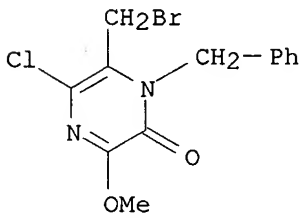


● HCl

IT **14716-32-6P**, N-(.beta.-Hydroxyethyl)-4,6-dimethylpyrimidin-2(1H)-one
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(improved prepn. of (hydroxyethyl)dimethyldihydropyrimidinone)
RN 14716-32-6 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-hydroxyethyl)-4,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)



L72 ANSWER 9 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1996:96236 HCAPLUS
DN 124:289442
TI Generation of 6-alkylidene/benzylidene-3,6-dihydropyrazin-2(1H)-ones by reaction of 6-bromomethylpyrazin-2(1H)-ones with methoxide and further conversion into specific piperazine-2,5-diones and pyrazin-2(1H)-ones
AU Buysens, Kris J.; Vandenberghe, Didier M.; Toppet, Suzanne M.; Hoornaert, Georges J.
CS Laboratorium voor Organische Synthese, K. U. Leuven, Leuven-Heverlee, B-3001, Belg.
SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (**1996**), (3), 231-7
CODEN: JCPRB4; ISSN: 0300-922X
PB Royal Society of Chemistry
DT Journal
LA English
OS CASREACT 124:289442
AB 3-Aryl-, 3-benzyl- and 3-methoxy-6-(1-bromoalkyl/benzyl)-5-chloropyrazin-2(1H)-ones I (R = H, Ph, CHMe2, R1 = Ph, CH2Ph, Y = OMe, CH2Ph, Ph, H, SnBu3) have been synthesized and converted into new 6-alkylidene/benzylidene-5-chloro-3,6-dihydropyrazin-2(1H)-ones II (X = Cl, Y = OMe, CH2Ph, Ph) by reaction with methoxide in THF. With 2 equiv. of alkoxide the corresponding 5-alkoxy derivs. II (X = OMe) were obtained. Reaction of compds. of type I or II with various nucleophiles generated 3,6-dihydropyrazin-2(1H)-ones, piperazine-2,5-diones and pyrazin-2(1H)-ones.
IT **175468-52-7P 175468-53-8P 175468-54-9P**
175468-57-2P 175468-58-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperazinediones and pyrazinones)
RN 175468-52-7 HCAPLUS
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-5-chloro-3-methoxy-1-(phenylmethyl)-(9CI) (CA INDEX NAME)



RN 175468-53-8 HCAPLUS
CN 2(1H)-Pyrazinone, 6-(bromomethyl)-5-chloro-1-phenyl-3-(phenylmethyl)-

	HU 53783	A2	19901228	HU 1990-2252	19900411 <--
	HU 206596	B	19921228		
	CA 2014509	AA	19901017	CA 1990-2014509	19900412 <--
	BR 9001764	A	19910604	BR 1990-1764	19900416 <--
	CN 1047285	A	19901128	CN 1990-102319	19900417 <--
PRAI	GB 1989-8638		19890417		
	GB 1990-6725		19900326		

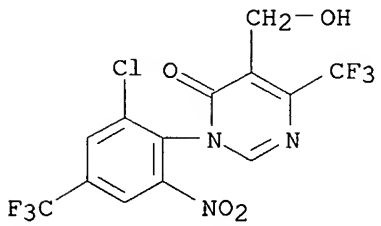
OS MARPAT 114:185532

AB The title compds. [I; R1, R2 = H, halo, haloalkyl, alkoxy, NO2; R1, R2 are not both NO2; R3, R4 = H, halo, alkyl, cycloalkyl; R5, R6 = halo, NO2, haloalkyl, haloalkoxy, SOnR10; R7 = H, halo, hydroxyalkyl, cyano, amino, haloalkyl, CHO, NO2, alkoxy, SOnR10; R8 = any group listed in R4, (substituted) amino, SOnR10; R9 = O, S; R10 = (halo)alkyl, cycloalkyl; n = 0-2], were prepd. Thus, 6-trifluoromethylpyridin-6-one and then 3,5-dichloro-4-fluoro-2-methyl-trifluoromethylbenzene were added to NaH in DMF and the mixt. was heated at 90.degree. for 16 h to give title compd. II. II at 500 ppm gave 80-100% control of Musca domestica.

IT **133306-99-7P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as insecticide and acaricide)

RN 133306-99-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 3-[2-chloro-6-nitro-4-(trifluoromethyl)phenyl]-5-(hydroxymethyl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L72 ANSWER 52 OF 136 HCAPLUS COPYRIGHT 2002 ACS

AN 1991:185242 HCAPLUS

DN 114:185242

TI Preparation of N-aryl-N-(4-heterocyclic alkyl)piperidinyl)amides

IN Bagley, Jerome R.; Lalinde, Nhora Lucia; Huang, Bao Shan; Spencer, H. Kenneth

PA BOC Inc., USA

SO Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW

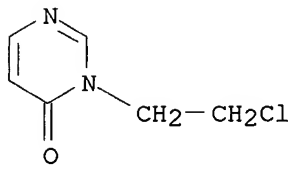
DT **Patent**

LA English

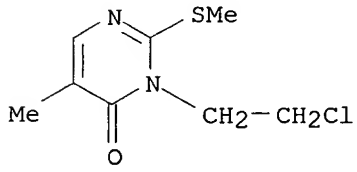
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 396282	A2	19901107	EP 1990-304210	19900419 <--
	EP 396282	A3	19920108		
	R: DE, ES, FR, GB, IT				
	US 5053411	A	19911001	US 1989-341094	19890420 <--
	CA 2010425	AA	19901020	CA 1990-2010425	19900220 <--
	JP 02292279	A2	19901203	JP 1990-102759	19900418 <--

US 34201 E 19930323 US 1992-868750 19920414 <--
PRAI US 1989-341094 19890420
OS MARPAT 114:185242
AB Title N-aryl-N-piperidinylamides I [R = (substituted) Ph; R1 = (alkoxy) C2-6 alkyl, C2-6 alkenyl, C2-6 alkoxy; R2 = heterocyclylalkyl; R3 = H, alkoxycarbonyl, alkoxymethyl; R4 = H, Me], useful as analgesics, were prepd. For example piperidinylpropanamide II was subjected to N-alkylation by BrCH2CH2OH, followed by reaction with MeSO2Cl. Subsequent reaction with clonidine hydrochloride gave title propanamide III. The ED50 of III in the mouse hot-plate analgesia test was 2 mg/kg. The ED50 of 126 other I were detd.
IT **131728-27-3P 131728-32-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of analgesics)
RN 131728-27-3 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(2-chloroethyl)- (9CI) (CA INDEX NAME)



RN 131728-32-0 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(2-chloroethyl)-5-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)



L72 ANSWER 53 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1991:102032 HCAPLUS
DN 114:102032
TI 2-Aminopyrimidinone derivatives as serotonin, histamine, and dopamine antagonists
IN Kennis, Ludo E. J.; Vandenberk, Jan; Boey, Jozef M.
PA Janssen Pharmaceutica N. V., Belg.
SO Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 378255	A2	19900718	EP 1990-200005	19900103 <--
EP 378255	A3	19910109		
EP 378255	B1	19940427		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL

IL 92730	A1	19930610	IL 1989-92730	19891215	<--
AT 104971	E	19940515	AT 1990-200005	19900103	<--
ES 2055860	T3	19940901	ES 1990-200005	19900103	<--
CA 2007200	AA	19900709	CA 1990-2007200	19900105	<--
NO 9000071	A	19900710	NO 1990-71	19900108	<--
NO 173139	B	19930726			
NO 173139	C	19931103			
FI 9000085	A	19900710	FI 1990-85	19900108	<--
FI 94525	B	19950615			
FI 94525	C	19950925			
AU 9047779	A1	19900712	AU 1990-47779	19900108	<--
AU 617918	B2	19911205			
HU 52770	A2	19900828	HU 1990-64	19900108	<--
HU 203747	B	19910930			
ZA 9000123	A	19910925	ZA 1990-123	19900108	<--
RU 2028297	C1	19950209	RU 1990-4742788	19900108	<--
CN 1044094	A	19900725	CN 1990-100075	19900109	<--
CN 1034865	B	19970514			
JP 02225482	A2	19900907	JP 1990-2415	19900109	<--
JP 2938492	B2	19990823			
US 5140029	A	19920818	US 1991-643867	19910118	<--
US 5256659	A	19931026	US 1992-901465	19920619	<--
US 5284854	A	19940208	US 1993-82225	19930624	<--

PRAI GB 1989-382 19890109
US 1989-456319 19891226
EP 1990-200005 19900103
US 1991-643867 19910118
US 1992-901465 19920619

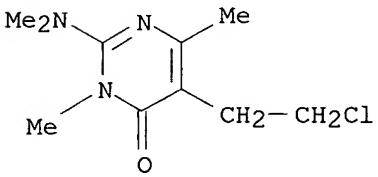
OS MARPAT 114:102032

AB The title compds. [I; R1 = (R7-substituted) PhCO, Q1, Q2, Q3; R7 = H, alkyl, halo; B = O, S, NR8; R8 = H, alkyl, arylalkyl; R2, R3 = H, alkyl; R4 = H, (substituted) alkyl; R5 = R2, alkylaminocarbonyl, arylaminocarbonyl, alkylcarbonyl, arylcarbonyl; R6 = R2, arylalkyl; R5R6 = (substituted) CH2CH2, CH2CH2CH2, CH:CH, CH:N, N:CH, N:CHCH2; X = C, CH, N; Y = alkylene] were prepd. Thus, 5-(2-chloroethyl)-3,6-dimethyl-2-methylamino-4(3H)pyrimidinone hydrochloride (prepn. given), 4-[bis(4-fluorophenyl)methylene]piperidine hydrobromide, Na2CO3, KI, and 4-methyl-2-pentanone were refluxed overnight to give 68.9% title compd. II. II at 0.04-0.63 mg/kg i.p. in rats increased the duration of deep slow-wave sleep (SWS2) episodes while reducing the no. of such episodes; II was 10 .times. more active than ritanserin in this screen.

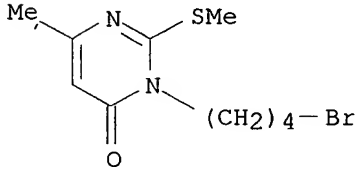
IT **132137-02-1P 132137-03-2P 132137-04-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for serotonin, histamine, and dopamine antagonist)

RN 132137-02-1 HCAPLUS

CN 4(3H)-Pyrimidinone, 5-(2-chloroethyl)-2-(dimethylamino)-3,6-dimethyl- (9CI) (CA INDEX NAME)



DN 87:68281
TI Reaction of sodium salts of some oxypyrimidines with .alpha.,.omega.-dihaloalkanes
AU Reznik, V. S.; Salikhov, I. Sh.; Shvetsov, Yu. S.; Shirshov, A. N.; Bakulin, V. S.; Ivanov, B. E.
CS Inst. Org. Fiz. Khim. im. Arbuzova, Kazan, USSR
SO Izv. Akad. Nauk SSSR, Ser. Khim. (**1977**), (4), 880-4
CODEN: IASKA6
DT Journal
LA Russian
AB Uracil derivs. I (R = H, Me, R1 = H, Me, NO2, PhNH, morpholino, Ac, MeOC6H4NH, n = 3, 4, 5, 6) were obtained in 46-60% yields by treatment of the corresponding uracil deriv. with Br(CH2)nBr. Uracils II [R = (CH2)nBr, R1 = H, n = 3, 4] were obtained in 6-12% yields from the di-Na salt of 6-methyluracil and Br(CH2)nBr. II [R = Me, (CH2)nBr, R1 = (CH2)nBr, Me] were obtained in 65-75% yields from the Na salt of dimethyluracil. Addnl. obtained were 19% III and 53% IV.
IT **63550-52-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 63550-52-7 HCAPLUS
CN 4(3H)-Pyrimidinone, 3-(4-bromobutyl)-6-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)



L72 ANSWER 108 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1977:453374 HCAPLUS
DN 87:53374
TI Pyrimidone derivatives
IN Gacek, Mikkel Josef; Oftebro, Reidar; Laland, Soren Gustav Moe; Undheim, Kjell
PA Nyegaard og Co. A/S, Norway
SO Ger. Offen., 57 pp.
CODEN: GWXXBX
DT **Patent**
LA German
FAN.CNT 1

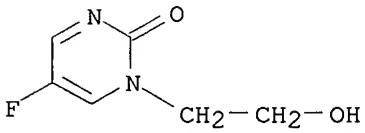
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	DE 2646676	A1	19770428	DE 1976-2646676	19761015	<--
	DE 2646676	C2	19870619			
	GB 1561290	A	19800220	GB 1975-42509	19751016	<--
	BE 847234	A1	19770413	BE 1976-171474	19761013	<--
	DK 7604623	A	19770417	DK 1976-4623	19761014	<--
	DK 144525	B	19820322			
	DK 144525	C	19821018			
	FR 2327765	A1	19770513	FR 1976-30923	19761014	<--
	FR 2327765	B1	19811120			
	SE 7611493	A	19770417	SE 1976-11493	19761015	<--

	SE 439305	B	19850610		
	SE 439305	C	19850919		
	NO 7603533	A	19770419	NO 1976-3533	19761015 <--
	NO 151040	B	19841022		
	NO 151040	C	19850130		
	NL 7611415	A	19770419	NL 1976-11415	19761015 <--
	JP 52053869	A2	19770430	JP 1976-123013	19761015 <--
	CA 1086736	A1	19800930	CA 1976-263452	19761015 <--
	CH 634308	A	19830131	CH 1976-13103	19761015 <--
	US 4395406	A	19830726	US 1980-166600	19800707 <--
	CH 634309	A	19830131	CH 1981-4054	19810618 <--
	CH 634310	A	19830131	CH 1981-4055	19810618 <--
PRAI	GB 1975-42509		19751016		
	US 1976-732189		19761013		
	CH 1976-13103		19761015		
	US 1978-937579		19780829		
	US 1979-61269		19790727		

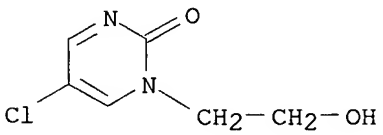
AB 5-Halo-2(1H)-pyrimidinones (I; R = e.g. Me, Pr, HO2CCH2, Et2NCH2CH2, ClCH2CH2, PhCH2, H2C:CHCH2; R1 = e.g. H, Me, MeS, BuS, EtO2CCH2S, HC.tplbond.CCH2S; R2 = Br, Cl, F; R3 = H, Me), useful as neoplasm inhibitors (no data), are prepd. by known procedures. Thus, reaction of 5-fluoro-2(1H)-pyrimidinone K salt with MeI in DMF at room temp. gives after 20 h 40% I (R = Me, R1 = R3 = H, R2 = F).

IT **63331-08-8P 63331-09-9P 63331-10-2P**
63331-16-8P 63331-17-9P 63331-50-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

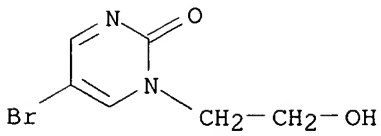
RN 63331-08-8 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-fluoro-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



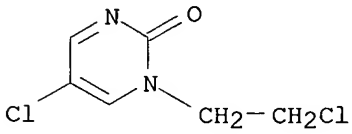
RN 63331-09-9 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-chloro-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



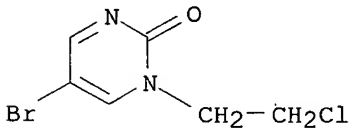
RN 63331-10-2 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-bromo-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



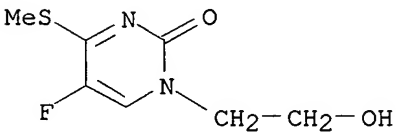
RN 63331-16-8 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-chloro-1-(2-chloroethyl)- (9CI) (CA INDEX NAME)



RN 63331-17-9 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-bromo-1-(2-chloroethyl)- (9CI) (CA INDEX NAME)



RN 63331-50-0 HCAPLUS
CN 2(1H)-Pyrimidinone, 5-fluoro-1-(2-hydroxyethyl)-4-(methylthio)- (9CI) (CA INDEX NAME)



L72 ANSWER 109 OF 136 HCAPLUS COPYRIGHT 2002 ACS
AN 1976:577930 HCAPLUS
DN 85:177930
TI Methotrexate analogs. 7. Synthesis of two higher homologs and a positional isomer of methotrexate diethyl ester as potential antitumor agents
AU Rosowsky, Andre; Chen, Katherine K. N.; Papathanasopoulos, Nickolas
CS Sidney Farber Cancer Cent., Harvard Med. Sch., Boston, Mass., USA
SO J. Heterocycl. Chem. (**1976**), 13(4), 727-32
CODEN: JHTCAD
DT Journal
LA English
AB The analogs of methotrexate diethyl ester I (m = 0, n = 3,4) with D,L-.alpha.-aminoadipate and D,L-.alpha.-aminopimelate side chains in place of L-glutamate, were prepd. and displayed approx. the same order of